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(71) Applicant (for all designated States except US): VERNALIS RESEARCH LIMITED [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB).

(72) Inventors; and
(75) Inventors/Applicants (for US only): GILLESPIE, Roger, John [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB). LERPINIERE, Joanne [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB). DAWSON, Claire, Elizabeth [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB). GAUR, Suneel [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB). PRATT, Robert, Mark [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB). STRATTON, Gemma, Caroline [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB). WEISS, Scott, Murray [US/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB).

(74) Agents: COCKERTON, Bruce, Roger et al.; Carpmaels & Ransford, 43 Bloomsbury Square, London WC1A 2RA (GB).

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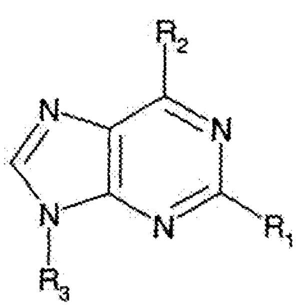
(54) Title: PURINE DERIVATIVES AS PURINERGIC RECEPTOR ANTAGONISTS



(I)

(57) Abstract: Use of a compound of formula (I) wherein R_1 is selected from alkyl, aryl, alkoxy, aryloxy, 0thioalkyl, thioaryl, CN, halo, NR_5R_6, NR_4COR_5, $NR_4CONR_5R_6$, $NR_4CO_2R_7$ and $NR_4SO_2R_7$; R_2 is selected from N, O or S-containing heteroaryl groups, wherein the heteroaryl group is attached via an unsaturated carbon atom which is adjacent to one or two N, O or S-heteroatom(s), other than ortho, ortho-disubstituted heteroaryl groups; R_3 is selected from H, alkyl, COR_8, $CONR_9R_{10}$, $CONR_8NR_9R_{10}$, CO_2R_{11} and SO_2R_{11}; R_4, R_5 and R_6 are independently selected from H, alkyl and aryl or where R_5 and R_6 are in an (NR_5R_6) group then R_5 and R_6 may be linked to form a heterocyclic ring; R_7 is selected from alkyl and aryl; R_8, R_9 and R_{10} are independently selected from H, alkyl and aryl, or R_9 and R_{10} may be linked to form a heterocyclic ring, or where R_8, R_9 and R_{10} are in a $(CONR_8NR_9R_{10})$ group, R_8 and R_9 may be linked to form a heterocyclic group; and R_{11} is selected from alkyl and aryl, or a pharmaceutically acceptable salt thereof or prodrug thereof, in the treatment or prevention of a disorder in which the blocking of purine receptors, particularly adenosine receptors and more particularly A_{2A} receptors, may be beneficial, particularly wherein said disorder is a movement disorder such as Parkinson's disease or said disorder is depression, cognitive or memory impairment, acute or chronic pain, ADHD or narcolepsy, or for neuroprotection in a subject; compounds of formula (I) for use in therapy; and novel compounds of formula (I) *per se*.

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PURINE DERIVATIVES AS PURINERGIC RECEPTOR ANTAGONISTS

The present invention relates to purine derivatives and their use in therapy. In particular, the present invention relates to the treatment of disorders in which the reduction of purinergic neurotransmission could be beneficial. The invention relates in particular to blockade of adenosine receptors and particularly adenosine A_{2A} receptors, and to the treatment of movement disorders such as Parkinson's disease.

Movement disorders constitute a serious health problem, especially amongst the elderly sector of the population. These movement disorders are often the result of brain lesions. Disorders involving the basal ganglia which result in movement disorders include Parkinson's disease, Huntington's chorea and Wilson's disease. Furthermore, dyskinesias often arise as sequelae of cerebral ischaemia and other neurological disorders.

There are four classic symptoms of Parkinson's disease: tremor, rigidity, akinesia and postural changes. The disease is also commonly associated with depression, dementia and overall cognitive decline. Parkinson's disease has a prevalence of 1 per 1,000 of the total population. The incidence increases to 1 per 100 for those aged over 60 years. Degeneration of dopaminergic neurones in the substantia nigra and the subsequent reductions in interstitial concentrations of dopamine in the striatum are critical to the development of Parkinson's disease. Some 80% of cells from the substantia nigra need to be destroyed before the clinical symptoms of Parkinson's disease are manifested.

Current strategies for the treatment of Parkinson's disease are based on transmitter replacement therapy (L-dihydroxyphenylacetic acid (L-DOPA)), inhibition of monoamine oxidase (e.g. Deprenyl®), dopamine receptor agonists (e.g. bromocriptine and apomorphine) and anticholinergics (e.g. benztrophine, orphenadrine). Transmitter replacement therapy in particular does not provide consistent clinical benefit, especially after prolonged treatment when "on-off" symptoms develop, and this treatment has also been associated with involuntary movements of athetosis and chorea, nausea and vomiting. Additionally current therapies do not treat the underlying neurodegenerative disorder resulting in a continuing cognitive decline in patients. Despite new drug approvals, there is

still a medical need in terms of improved therapies for movement disorders, especially Parkinson's disease. In particular, effective treatments requiring less frequent dosing, effective treatments which are associated with less severe side-effects, and effective treatments which control or reverse the underlying neurodegenerative disorder, are required.

Blockade of A_2 adenosine receptors has recently been implicated in the treatment of movement disorders such as Parkinson's disease (Richardson, P.J. *et al.*, *Trends Pharmacol. Sci.* 1997, 18, 338-344) and in the treatment of cerebral ischaemia (Gao, Y. and Phillis, J.W., *Life Sci.* 1994, 55, 61-65). The potential utility of adenosine A_{2A} receptor antagonists in the treatment of movement disorders such as Parkinson's Disease has recently been reviewed (Mally, J. and Stone, T.W., *CNS Drugs*, 1998, 10, 311-320).

Adenosine is a naturally occurring purine nucleoside which has a wide variety of well-documented regulatory functions and physiological effects. The central nervous system (CNS) effects of this endogenous nucleoside have attracted particular attention in drug discovery, owing to the therapeutic potential of purinergic agents in CNS disorders (Jacobson, K.A. *et al.*, *J. Med. Chem.* 1992, 35, 407-422). This therapeutic potential has resulted in considerable recent research endeavour within the field of adenosine receptor agonists and antagonists (Bhagwhat, S.S.; Williams, M. *Exp. Opin. Ther. Patents* 1995, 5,547-558).

Adenosine receptors represent a subclass (P_1) of the group of purine nucleotide and nucleoside receptors known as purinoreceptors. The main pharmacologically distinct adenosine receptor subtypes are known as A_1, A_{2A}, A_{2B} (of high and low affinity) and A_3 (Fredholm, B.B., *et al.*, *Pharmacol. Rev.* 1994, 46, 143-156). The adenosine receptors are present in the CNS (Fredholm, B.B., *News Physiol. Sci.*, 1995, 10, 122-128).

The design of P_1 receptor-mediated agents has been reviewed (Jacobson, K.A., Suzuki, F., *Drug Dev. Res.*, 1997, 39, 289-300; Baraldi, P.G. *et al.*, *Curr. Med. Chem.* 1995, 2, 707-722), and such compounds are claimed to be useful in the treatment of cerebral ischemia or neurodegenerative disorders, such as Parkinson's disease (Williams, M. and Burnstock, G.

Purinergic Approaches Exp. Ther. (1997), 3-26. Editor: Jacobson, Kenneth A.; Jarvis, Michael F. Publisher: Wiley-Liss, New York, N.Y.)

It has been speculated that xanthine derivatives such as caffeine may offer a form of treatment for attention-deficit hyperactivity disorder (ADHD). A number of studies have demonstrated a beneficial effect of caffeine on controlling the symptoms of ADHD (Garfinkel, B.D. *et al., Psychiatry*, 1981, **26**, 395-401). Antagonism of adenosine receptors is thought to account for the majority of the behavioural effects of caffeine in humans and thus blockade of adenosine A_{2A} receptors may account for the observed effects of caffeine in ADHD patients. Therefore a selective A_{2A} receptor antagonist may provide an effective treatment for ADHD but without the unwanted side-effects associated with current therapy.

Adenosine receptors have been recognised to play an important role in regulation of sleep patterns, and indeed adenosine antagonists such as caffeine exert potent stimulant effects and can be used to prolong wakefulness (Porkka-Heiskanen, T. *et al., Science,* 1997, **276,** 1265-1268). Recent evidence suggests that a substantial part of the actions of adenosine in regulating sleep is mediated through the adenosine A_{2A} receptor (Satoh, S., *et al., Proc. Natl. Acad. Sci.*, USA, 1996). Thus, a selective A_{2A} receptor antagonist may be of benefit in counteracting excessive sleepiness in sleep disorders such as hypersomnia or narcolepsy.

It has recently been observed that patients with major depression demonstrate a blunted response to adenosine agonist-induced stimulation in platelets, suggesting that a dysregulation of A_{2A} receptor function may occur during depression (Berk, M. et al, 2001, *Eur. Neuropsychopharmacol.* 11, 183-186). Experimental evidence in animal models has shown that blockade of A_{2A} receptor function confers antidepressant activity (El Yacoubi, M et al. *Br. J. Pharmacol.* 2001, 134, 68-77). Thus, A_{2A} receptor antagonists may offer a novel therapy for the treatment of major depression and other affective disorders in patients.

The pharmacology of adenosine A_{2A} receptors has been reviewed (Ongini, E.; Fredholm, B.B. *Trends Pharmacol. Sci.* 1996, 17(10), 364-372). One potential underlying mechanism in the aforementioned treatment of movement disorders by the blockade of A_2 adenosine receptors is the evidence of a functional link between adenosine A_{2A} receptors to dopamine

D_2 receptors in the CNS. Some of the early studies (e.g. Ferre, S. *et al.*, Stimulation of high-affinity adenosine A_2 receptors decreases the affinity of dopamine D_2 receptors in rat striatal membranes. *Proc. Natl. Acad. Sci.* U.S.A. 1991, 88, 7238-41) have been summarised in two more recent articles (Fuxe, K. *et al., Adenosine Adenine Nucleotides Mol. Biol. Integr. Physiol.*, [Proc. Int. Symp.], 5th (1995), 499-507. Editors: Belardinelli, Luiz; Pelleg, Amir. Publisher: Kluwer, Boston, Mass.; Ferre, S. *et al.*, *Trends Neurosci.* 1997, 20, 482-487).

As a result of these investigations into the functional role of adenosine A_{2A} receptors in the CNS, especially *in vivo* studies linking A_2 receptors with catalepsy (Ferre *et al.*, *Neurosci. Lett.* 1991, 130, 162-4; Mandhane, S.N. *et al.*, *Eur. J. Pharmacol.* 1997, 328, 135-141) investigations have been made into agents which selectively bind to adenosine A_{2A} receptors as potentially effective treatments for Parkinson's disease.

While many of the potential drugs for treatment of Parkinson's disease have shown benefit in the treatment of movement disorders, an advantage of adenosine A_{2A} antagonist therapy is that the underlying neurodegenerative disorder may also be treated. The neuroprotective effect of adenosine A_{2A} antagonists has been reviewed (Ongini, E.; Adami, M.; Ferri, C.; Bertorelli, R., *Ann. N. Y. Acad. Sci.* 1997, 825(Neuroprotective Agents), 30-48). In particular, compelling recent evidence suggests that blockade of A_{2A} receptor function confers neuroprotection against MPTP-induced neurotoxicity in mice (Chen, J-F., *J. Neurosci.* 2001, 21, RC143). In addition, several recent studies have shown that consumption of dietary caffeine, a known adenosine A_{2A} receptor antagonist, is associated with a reduced risk of Parkinson's disease in man (Ascherio, A. et al, *Ann Neurol.*, 2001, 50, 56-63; Ross G W, et al., *JAMA*, 2000, 283, 2674-9). Thus, A_{2A} receptor antagonists may offer a novel treatment for conferring neuroprotection in neurodegenerative diseases such as Parkinson's disease.

Xanthine derivatives have been disclosed as adenosine A_2 receptor antagonists as useful for treating various diseases caused by hyperfunctioning of adenosine A_2 receptors, such as Parkinson's disease (see, for example, EP-A-565377).

One prominent xanthine-derived adenosine A_{2A} selective antagonist is CSC [8-(3-chlorostyryl)caffeine] (Jacobson *et al.*, *FEBS Lett.*, 1993, 323, 141-144).

Theophylline (1,3-dimethylxanthine), a bronchodilator drug which is a mixed antagonist at adenosine A_1 and A_{2A} receptors, has been studied clinically. To determine whether a formulation of this adenosine receptor antagonist would be of value in Parkinson's disease an open trial was conducted on 15 Parkinsonian patients, treated for up to 12 weeks with a slow release oral theophylline preparation (150 mg/day), yielding serum theophylline levels of 4.44 mg/L after one week. The patients exhibited significant improvements in mean objective disability scores and 11 reported moderate or marked subjective improvement (Mally, J., Stone, T.W. *J. Pharm. Pharmacol.* 1994, 46, 515-517).

KF 17837 [(E)-8-(3,4-dimethoxystyryl)-1,3-dipropyl-7-methylxanthine] is a selective adenosine A_{2A} receptor antagonist which on oral administration significantly ameliorated the cataleptic responses induced by intracerebroventricular administration of an adenosine A_{2A} receptor agonist, CGS 21680. KF 17837 also reduced the catalepsy induced by haloperidol and reserpine. Moreover, KF 17837 potentiated the anticataleptic effects of a subthreshold dose of L-DOPA plus benserazide, suggesting that KF 17837 is a centrally active adenosine A_{2A} receptor antagonist and that the dopaminergic function of the nigrostriatal pathway is potentiated by adenosine A_{2A} receptor antagonists (Kanda, T. *et al.*, *Eur. J. Pharmacol.* 1994, 256, 263-268). The structure activity relationship (SAR) of KF 17837 has been published (Shimada, J. *et al.*, *Bioorg. Med. Chem. Lett.* 1997, 7, 2349-2352). Recent data has also been provided on the A_{2A} receptor antagonist KW-6002 (Kuwana, Y *et al.*, *Soc. Neurosci. Abstr.* 1997, 23, 119.14; and Kanda, T. *et al.*, *Ann. Neurol.* 1998, 43(4), 507-513).

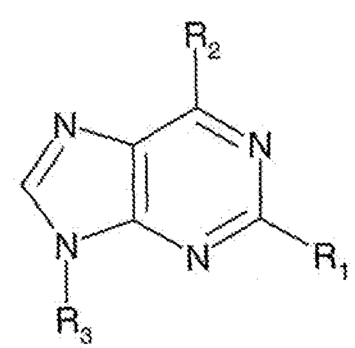
New non-xanthine structures sharing these pharmacological properties include SCH 58261 and its derivatives (Baraldi, P.G. *et al.*, Pyrazolo[4,3-e]-1,2,4-triazolo[1,5-c]pyrimidine Derivatives: Potent and Selective A_{2A} Adenosine Antagonists. *J. Med. Chem.* 1996, 39, 1164-71). SCH 58261 (7-(2-phenylethyl)-5-amino-2-(2-furyl)-pyrazolo-[4,3-e]-1,2,4-triazolo[1,5-c] pyrimidine) is reported as effective in the treatment of movement disorders (Ongini, E. *Drug Dev. Res.* 1997, 42(2), 63-70) and has been followed up by a later series of compounds (Baraldi, P.G. *et al.*, *J. Med. Chem.* 1998, 41(12), 2126-2133).

The foregoing discussion indicates that a potentially effective treatment for movement disorders in humans would comprise agents which act as antagonists at adenosine A_{2A} receptors.

It has now been found that purine derivatives, which are structurally unrelated to known adenosine receptor antagonists, exhibit unexpected antagonist binding affinity at adenosine (P_1) receptors, and in particular at the adenosine A_{2A} receptor. Such compounds may therefore be useful for the treatment of disorders in which the blocking of purine receptors, particularly adenosine receptors and more particularly adenosine A_{2A} receptors, may be beneficial. In particular such compounds may be suitable for the treatment of movement disorders, such as disorders of the basal ganglia which result in dyskinesias. Disorders of particular interest in the present invention include Parkinson's disease, Alzheimer's disease, spasticity, Huntington's chorea and Wilson's disease.

Such compounds may also be particularly suitable for the treatment of depression, cognitive or memory impairment including Alzheimer's disease, acute or chronic pain, ADHD, narcolepsy or for neuroprotection.

According to the present invention there is provided the use of a compound of formula (I):



I

wherein

R_1 is selected from alkyl, aryl, alkoxy, aryloxy, thioalkyl, thioaryl, CN, halo, NR_5R_6, NR_4COR_5, $NR_4CONR_5R_6$, $NR_4CO_2R_7$ and $NR_4SO_2R_7$;

R_2 is selected from N, O or S-containing heteroaryl groups, wherein the heteroaryl group is attached via an unsaturated carbon atom which is adjacent to one or two N, O or S-heteroatom(s), other than ortho,ortho-disubstituted heteroaryl groups;

R_3 is selected from H, alkyl, COR_8, $CONR_9R_{10}$, $CONR_8NR_9R_{10}$, CO_2R_{11} and SO_2R_{11};

R_4, R_5 and R_6 are independently selected from H, alkyl and aryl or where R_5 and R_6 are in an (NR_5R_6) group then R_5 and R_6 may be linked to form a heterocyclic group;

R_7 is selected from alkyl and aryl;

R_8, R_9 and R_{10} are independently selected from H, alkyl and aryl, or R_9 and R_{10} may be linked to form a heterocyclic group, or where R_8, R_9 and R_{10} are in a ($CONR_8NR_9R_{10}$) group, R_8 and R_9 may be linked to form a heterocyclic group, and

R_{11} is selected from alkyl and aryl,

or a pharmaceutically acceptable salt thereof or prodrug thereof, in the manufacture of a medicament for the treatment or prevention of a disorder in which the blocking of purine receptors, particularly adenosine receptors and more particularly A_{2A} receptors, may be beneficial.

As used herein, the term "alkyl" means a branched or unbranched, cyclic or acyclic, saturated or unsaturated (e.g. alkenyl or alkynyl) hydrocarbyl radical which may be substituted or unsubstituted. Where cyclic, the alkyl group is preferably C_3 to C_{12}, more preferably C_5 to C_{10}, more preferably C_5, C_6 or C_7. Where acyclic, the alkyl group is preferably C_1 to C_{10}, more preferably C_1 to C_6, more preferably methyl, ethyl, propyl (n-propyl or isopropyl), butyl (n-butyl, isobutyl or tertiary-butyl) or pentyl (including n-pentyl and iso-pentyl), more preferably methyl. It will be appreciated therefore that the term "alkyl" as used herein includes alkyl (branched or unbranched), alkenyl (branched or unbranched), alkynyl (branched or unbranched), cycloalkyl, cycloalkenyl and cycloalkynyl.

As used herein, the term "lower alkyl" means methyl, ethyl, propyl (n-propyl or isopropyl) or butyl (n-butyl, isobutyl or tertiary-butyl).

As used herein, the term "aryl" means an aromatic group, such as phenyl or naphthyl (preferably phenyl), or a heteroaromatic group containing one or more heteroatom(s) preferably selected from N, O and S, such as pyridyl, pyrrolyl, quinolinyl, furanyl, thienyl,

oxadiazolyl, thiadiazolyl, thiazolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, imidazolyl, pyrimidinyl, indolyl, pyrazinyl or indazolyl.

As used herein, the term "heteroaryl" means an aromatic group containing one or more heteroatom(s) preferably selected from N, O and S, such as pyridyl, pyrrolyl, quinolinyl, furanyl, thienyl, oxadiazolyl, thiadiazolyl, thiazolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, imidazolyl, pyrimidinyl, indolyl, pyrazinyl or indazolyl.

As used herein, the term "non-aromatic heterocyclyl" means a non-aromatic cyclic group containing one or more heteroatom(s) preferably selected from N, O and S, such as a cyclic amino group (including aziridinyl, azetidinyl, pyrrolidinyl, piperidyl, piperazinyl, morpholinyl) or a cyclic ether (including tetrahydrofuranyl).

As used herein, the term "alkoxy" means alkyl-O-. As used herein, the term "aryloxy" means aryl-O-.

As used herein, the term "halogen" means a fluorine, chlorine, bromine or iodine radical.

As used herein, the term "ortho,ortho-disubstituted heteroaryl groups" refers to heteroaryl groups which are substituted in both ortho positions of the heteroaryl group relative to the point of attachment of the heteroaryl group to the purine ring.

As used herein, the term "prodrug" means any pharmaceutically acceptable prodrug of a compound of the present invention.

Where any of R_1 to R_{120} is selected from alkyl, alkoxy and thioalkyl, in accordance with formula (I) as defined above, then that alkyl group, or the alkyl group of the alkoxy or thioalkyl group, may be substituted or unsubstituted. Where any of R_1 to R_{20} are selected from aryl, aryloxy and thioaryl, in accordance with formula (I) as defined above, then said aryl group, or the aryl group of the aryloxy or thioaryl group, may be substituted or unsubstituted. Where R_5 and R_6, or R_9 and R_{10}, or R_8 and R_9, or R_{14} and R_{15}, are linked to form a heterocyclic group in accordance with formula (I) as defined above, then said

heterocyclic ring may be substituted or unsubstituted. Where substituted, there will generally be 1 to 3 substituents present, preferably 1 substituent. Substituents may include:

carbon-containing groups such as

alkyl,	
aryl,	(e.g. substituted and unsubstituted phenyl, including (alkyl)phenyl, (alkoxy)phenyl and halophenyl),
arylalkyl;	(e.g. substituted and unsubstituted benzyl, including alkylbenzyl);

halogen atoms and halogen containing groups such as

haloalkyl	(e.g. trifluoromethyl),
haloaryl	(e.g. chlorophenyl);

oxygen containing groups such as

alcohols	(e.g. hydroxy, hydroxyalkyl, hydroxyaryl, (aryl)(hydroxy)alkyl),
ethers	(e.g. alkoxy, aryloxy, alkoxyalkyl, aryloxyalkyl, alkoxyaryl, aryloxyaryl),
aldehydes	(e.g. carboxaldehyde),
ketones	(e.g. alkylcarbonyl, arylcarbonyl, alkylcarbonylalkyl, alkylcarbonylaryl, arylcarbonylalkyl, arylcarbonylaryl, arylalkylcarbonyl, arylalkylcarbonylalkyl, arylalkylcarbonylaryl)
acids	(e.g. carboxy, carboxyalkyl, carboxyaryl),

acid derivatives such as esters

(e.g. alkoxycarbonyl, aryloxycarbonyl, alkoxycarbonylalkyl, aryloxycarbonylalkyl, alkoxycarbonylaryl, aryloxycarbonylaryl, alkylcarbonyloxy, alkylcarbonyloxyalkyl),

amides

(e.g. aminocarbonyl, mono- or di-alkylaminocarbonyl, cyclicaminocarbonyl, aminocarbonylalkyl, mono- or di-alkylaminocarbonylalkyl, arylaminocarbonyl or arylalkylaminocarbonyl, alkylcarbonylamino, arylcarbonylamino, arylalkylcarbonylamino,

alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl or arylalkylcarbonylaminoalkyl),

carbamates

(eg. alkoxycarbonylamino, aryloxycarbonylamino, arylalkyloxycarbonylamino, aminocarbonyloxy, mono- or di-alkylaminocarbonyloxy, arylaminocarbonyloxy or arylalkylaminocarbonyloxy)

and ureas

(eg. mono- or di-alkylaminocarbonylamino, arylaminocarbonylamino or arylalkylaminocarbonylamino);

nitrogen containing groups such as

amines (e.g. amino, mono- or dialkylamino, cyclicamino, arylamino, aminoalkyl, mono- or dialkylaminoalkyl),

azides,

nitriles (e.g. cyano, cyanoalkyl),

nitro;

sulfonamides (e.g. aminosulfonyl, mono- or di-alkylaminosulfonyl, mono- or di-arylaminosulfonyl, alkyl- or aryl-sulfonylamino, alkyl- or aryl-sulfonyl(alkyl)amino, alkyl- or aryl-sulfonyl(aryl)amino)

sulfur containing groups such as

thiols, thioethers, sulfoxides, and sulfones

(e.g. alkylthio, alkylsulfinyl, alkylsulfonyl, alkylthioalkyl, alkylsulfinylalkyl, alkylsulfonylalkyl, arylthio, arylsulfinyl, arylsulfonyl, arylthioalkyl, arylsulfinylalkyl, arylsulfonylalkyl);

heterocyclic groups containing one or more, preferably one, heteroatom,

(e.g. thienyl, furanyl, pyrrolyl, imidazolyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, oxadiazolyl, thiadiazolyl, aziridinyl, azetidinyl, pyrrolidinyl, pyrrolinyl, imidazolidinyl, imidazolinyl, pyrazolidinyl, tetrahydrofuranyl, pyranyl, pyronyl, pyridyl, pyrazinyl,

pyridazinyl, piperidyl, hexahydroazepinyl, piperazinyl, morpholinyl, thianaphthyl, benzofuranyl, isobenzofuranyl, indolyl, oxyindolyl, isoindolyl, indazolyl, indolinyl, 7-azaindolyl, benzopyranyl, coumarinyl, isocoumarinyl, quinolinyl, isoquinolinyl, naphthridinyl, cinnolinyl, quinazolinyl, pyridopyridyl, benzoxazinyl, quinoxalinyl, chromenyl, chromanyl, isochromanyl, phthalazinyl and carbolinyl); and

silicon-containing groups such as

silanes (e.g. trialkylsilyl).

Where any of R_1 to R_{20} is selected from aryl or from an aryl-containing group such as aryloxy or arylthio, preferred substituent group(s) are selected from halogen, alkyl (substituted or unsubstituted; and where substituted particularly from alkoxyalkyl, hydroxyalkyl, aminoalkyl and haloalkyl), hydroxy, alkoxy, CN, NO_2, amines (including amino, mono- and di-alkylamino), alkoxycarbonyl, aminocarbonyl, carboxamido, sulfonamido, alkoxycarbonylamino and aryl, and particularly from unsubstituted alkyl, substituted alkyl (including alkoxyalkyl and aminoalkyl), halogen and amines.

In one embodiment, where any of R_1 to R_{20} is directly substituted by an alkyl substituent group, or by an alkyl-containing substituent group (such as alkoxy or alkylcarbonylamino for example), then the alkyl moiety of the substituent group directly attached to any of R_1 to R_{20} may be further substituted by the substituent groups hereinbefore described and particularly by halogen, hydroxy, alkoxy, CN, amines (including amino, mono- and di-alkyl amino) and aryl.

In a further embodiment, where any of R_1 to R_{20} is directly substituted by an aryl substitutent group, or by an aryl-containing substituent group (such as aryloxy or arylaminocarbonylamino for example), then the aryl moiety of the substituent group directly attached to any of R_1 to R_{20} may be further substituted by the substituent groups hereinbefore described and particularly by halogen, alkyl (substituted or unsubstituted; and where substituted particularly from alkoxyalkyl, hydroxyalkyl, aminoalkyl and haloalkyl), hydroxy, alkoxy, CN, NO_2, amines (including amino, mono- and di-alkylamino), alkoxycarbonyl, aminocarbonyl, carboxamido,

sulfonamido, alkoxycarbonylamino and aryl. In a further embodiment, said aryl moiety is substituted by halogen, alkyl (including CF_3), hydroxy, alkoxy, CN, amines (including amino, mono- and di-alkyl amino) and NO_2. In a further embodiment, said aryl moiety is substituted by unsubstituted alkyl, substituted alkyl (particularly alkoxyalkyl and aminoalkyl), halogen and amines.

The terms "directly substituted" and "directly attached", as used herein, mean that the substituent group is bound directly to any of R_1 to R_{20} without any intervening divalent atoms or groups.

In the compounds of formula (I), R_1 is selected from alkyl (including haloalkyl (such as CF_3), branched alkyl, cycloalkyl and arylalkyl), aryl (including heteroaryl), alkoxy, aryloxy, thioalkyl, thioaryl, halo, CN, NR_5R_6 (including NH_2), NR_4COR_5, $NR_4CONR_5R_6$, $NR_4CO_2R_7$ and $NR_4SO_2R_7$.

In a preferred embodiment, R_1 is selected from NR_5R_6 (including NH_2), alkoxy, thioalkyl and alkyl.

In a particularly preferred embodiment, R_1 is selected from NR_5R_6 (including NH_2), and is preferably NH_2.

Where R_1 is selected from alkyl, preferably R_1 is selected from C_{1-6} alkyl, more preferably from saturated C_{1-6} alkyl and more preferably from lower alkyl.

Where R_1 is selected from alkoxy and thioalkyl, preferably the alkyl moiety of said thioalkyl or alkoxy group is selected from C_{1-6} alkyl, more preferably from saturated C_{1-6} alkyl and more preferably from lower alkyl.

Where R_1 is selected from halo, preferably R_1 is selected from chloro.

Where R_1 is selected from NR_5R_6, preferably at least one and more preferably both of R_5 and R_6 are hydrogen.

In one embodiment, R_1 is selected from NR_4COR_5, $NR_4CONR_5R_6$, $NR_4CO_2R_7$ and $NR_4SO_2R_7$, and R_4 is selected from H and alkyl, and more preferably hydrogen.

In a preferred embodiment, R_2 is selected from furyl (including 2-furyl), thienyl (including 2-thienyl), pyridyl (including 2-pyridyl), thiazolyl (including 2- and 5- thiazolyl), pyrazolyl (including 3-pyrazolyl), triazolyl (including 4-triazolyl), pyrrolyl (including 2-pyrrolyl) and oxazolyl (including 5-oxazolyl). In a further embodiment, R_2 is selected from 2-furyl, 2-thienyl, 2-thiazolyl, 2-pyridyl, 3-pyrazolyl, 2-pyrrolyl, 4-triazolyl and 5-oxazolyl. In a further preferred embodiment, R_2 is selected from furyl, thienyl, pyridyl, thiazolyl and pyrazolyl, and particularly from 2-furyl, 2-thienyl, 2-thiazolyl, 2-pyridyl and 3-pyrazolyl. In a further embodiment, R_2 is selected from furyl, thienyl and pyridyl, preferably 2-furyl, 2-thienyl and 2-pyridyl, and more preferably from 2-furyl.

In the compounds of formula (I), where R_2 is substituted heteroaryl, it is preferred that the substituent group(s) are not present in the ortho position relative to the point of attachment of the heteroaryl group to the purine moiety. As used herein, reference to ortho-substitution of the R_2 group means the ortho positions of the R_2 group relative to the point of attachment of R_2 to the pyrimidine moiety of formula (I).

In a preferred embodiment, R_2 is an unsubstituted heteroaryl group.

In the compounds of formula (I), R_3 is selected from H, substituted and unsubstituted alkyl (including saturated alkyl, alkenyl, alkynyl, branched and unbranched alkyl, and cyclic and acyclic alkyl), COR_8, $CONR_9R_{10}$, $CONR_8NR_9R_{10}$, CO_2R_{11} and SO_2R_{11}.

In a preferred embodiment, R_3 is selected from H, alkyl and $CONR_9R_{10}$.

In a particularly preferred embodiment, R_3 is selected from H, substituted alkyl and $CONR_9R_{10}$. In an alternative embodiment, R_3 is selected from alkyl (substituted or unsubstituted) and $CONR_9R_{10}$, preferably substituted alkyl and $CONR_9R_{10}$. Wherein R_3 is substituted alkyl, said substituted alkyl is preferably selected from arylalkyl (including heteroarylalkyl) and alkyl substituted by $CONR_9R_{10}$, and more preferably from arylalkyl

(including heteroarylalkyl), and more preferably from arylmethyl (including heteroarylmethyl).

Where R_3 is selected from COR_8, R_8 is preferably selected from alkyl (including cycloalkyl) and aryl (including heteroaryl), preferably from saturated C_{1-6} alkyl (including cycloalkyl) and aryl.

Where R_3 is selected from $CONR_9R_{10}$, it is preferred that R_9 and R_{10} are selected from H, C_{1-6} alkyl and aryl, and preferably from H, C_{1-6} saturated alkyl (including cycloalkyl) and aryl, and more preferably from H, lower alkyl and aryl. Preferably one of R_9 and R_{10} is hydrogen. Where R_9 or R_{10} is aryl, it is preferred that said aryl is substituted or unsubstituted phenyl. Where R_9 or R_{10} is lower alkyl, said lower alkyl may be substituted by hydroxy, halo, alkoxy, dialkylamino, substituted or unsubstituted aryl, preferably by substituted or unsubstituted aryl (including heteroaryl), more preferably by substituted and unsubstituted phenyl, thienyl, furyl and pyridyl, and more preferably by substituted phenyl, thienyl, furyl and pyridyl.

In a preferred embodiment, R_3 is $CONR_9R_{10}$, R_9 is H and R_{10} is selected from C_{1-6} saturated alkyl, preferably saturated lower alkyl and preferably methyl, preferably substituted by substituted or unsubstituted aryl (including heteroaryl), more preferably substituted by phenyl, thienyl, furyl and pyridyl.

Where R_3 is selected from CO_2R_{11}, preferably R_{11} is selected from C_{1-6} alkyl, preferably saturated C_{1-6} alkyl, preferably saturated C_{1-6} alkyl, and more preferably lower alkyl, optionally substituted by one or more (preferably one) substituent group preferably selected from aryl.

Where R_3 is selected from SO_2R_{11}, it is preferred that R_{11} is selected from C_{1-6} alkyl (including cycloalkyl and alkenyl) and aryl (including heteroaryl). Where R_3 is SO_2R_{11} and R_{11} is aryl, the aryl group may be substituted or unsubstituted, preferably substituted, and preferably substituted by lower alkyl or halo groups.

Where R_3 is selected from alkyl, in one embodiment R_3 is selected from acyclic alkyl (substituted or unsubstituted). In a further embodiment, R_3 is selected from substituted or unsubstituted C_{1-6} alkyl (preferably acyclic, and including alkenyl and alkynyl), preferably from substituted or unsubstituted C_{1-6} saturated alkyl and alkenyl (preferably acyclic), more preferably from substituted or unsubstituted C_{1-6} saturated alkyl (preferably acyclic), preferably substituted or unsubstituted lower alkyl, more preferably from substituted or unsubstituted methyl, ethyl and propyl (n-propyl or isopropyl) groups, and more preferably from substituted or unsubstituted methyl.

In a preferred embodiment, R_3 is selected from substituted alkyl, preferably mono-substituted alkyl where said substituent(s) is/are represented by R_{12}. Preferably, R_{12} is selected from hydroxy, alkoxy, dialkylamino, NH_2, aryloxy, CN, halo, cycloalkyl, aryl (including heteroaryl), non-aromatic heterocyclyl, CO_2R_{13}, $CONR_{14}R_{15}$, $CONR_8NR_9R_{10}$, $C(=NR_{13})NR_{14}R_{15}$, $NR_{13}COR_{14}$, $NR_{13}CO_2R_{11}$, trialkylsilyl and phthalimido, wherein R_{13}, R_{14} and R_{15} are selected from hydrogen, alkyl and aryl, or where R_{14} and R_{15} are in an $(NR_{14}R_{15})$group, R_{14} and R_{15} may be linked to form a heterocyclic ring. Preferably, R_{12} is selected from aryl (including heteroaryl) and $CONR_{14}R_{15}$, and preferably from aryl (including heteroaryl).

Where R_{12} is $CONR_{14}R_{15}$, it is preferred that R_{14} and R_{15} are selected from H, C_{1-6} alkyl and aryl, preferably from H, C_{1-6} saturated alkyl (including cycloalkyl and arylalkyl (including heteroaryl)) and aryl (including heteroaryl) and more preferably from H, lower alkyl and aryl. Preferably one of R_{14} and R_{15} is hydrogen.

In one embodiment, R_{12} is $CONR_{14}R_{15}$ and R_{14} and/or R_{15} are selected from alkyl substituted by one or more, preferably one, substituent group(s) selected from hydroxy, alkoxy and dialkylamino.

Where R_{12} is selected from aryl (including heteroaryl), the aryl group may be unsubstituted or substituted, and is preferably substituted. In a preferred embodiment, R_{12} is selected from mono-, di- or tri-substituted aryl (including heteroaryl) groups. Where R_{12} is heteroaryl, R_{12} is preferably selected from mono or bicyclic heteroaryl groups, more preferably from pyridyl

(including 2-pyridyl, 3-pyridyl and 4-pyridyl, preferably 2-pyridyl), indolyl (including 2-indolyl, 3-indolyl, 4-indolyl, 5-indolyl, 6-indolyl and 7-indolyl), furyl (including 2-furyl and 3-furyl, preferably 2-furyl), thienyl (including 2-thienyl and 3-thienyl, preferably 2-thienyl), isoindolyl, indolinyl, isoxazolyl, oxazolyl, thiazolyl, pyrazinyl, pyrimidinyl, quinolinyl, benzoxadiazolyl, benzothiadiazolyl, benzotriazolyl, indazolyl, benzodioxolyl and dihydrobenzofuranyl, more preferably from pyridyl (preferably 2-pyridyl), indolyl, furyl (preferably 2-furyl) and thienyl (preferably 2-thienyl), and most preferably from pyridyl (preferably 2-pyridyl), furyl (preferably 2-furyl) and thienyl (preferably 2-thienyl). Preferably, R_{12} is selected from phenyl, thienyl, furyl and pyridyl, more preferably from phenyl, 2-thienyl, 2-furyl and 2-pyridyl In a preferred embodiment, R_{12} is phenyl.

In one embodiment, R_{12} is selected from mono-, di- or tri-substituted aryl (including heteroaryl) groups represented by the formula $Ar(R_{18})_a(R_{19})_b(R_{20})_c$ wherein Ar is an aryl (including heteroaryl) group, preferably selected from the preferred aryl groups described above for R_{12}; wherein R_{18}, R_{19} and R_{20} are substituent group(s), the same or different; and wherein a, b and c are 0 or 1 such that $a+b+c \geq 1$.

The substituent groups R_{18}, R_{19} and R_{20} may be selected from any of the substituent groups described herein above.

In a preferred embodiment, R_{18}, R_{19} and R_{20} are selected from NR_5R_6 (including NH_2, and NHR_5) alkyl (substituted or unsubstituted; preferably C_{1-6} acyclic alkyl), alkoxy (including fluoroalkoxy), halogen (including F, Cl, Br and I), NO_2, CN, hydroxy, NHOH, CHO, $CONR_5R_6$, CO_2R_5, NR_4COR_5 (preferably $NHCOR_5$), $NR_4CO_2R_7$ (preferably $NHCO_2R_7$), $NR_4SO_2R_7$ (preferably $NHSO_2R_7$), OCO_2R_7 and aryl (including heteroaryl).

In a more preferred embodiment, R_{18}, R_{19} and R_{20} are selected from NR_5R_6 (including NH_2 and NHR_5), alkyl (substituted or unsubstituted; and preferably C_{1-6} acyclic saturated alkyl) and halogen (preferably F or Cl, particularly F).

In a particularly preferred embodiment, R_{18}, R_{19} and R_{20} are selected from NR_5R_6 (including NH_2 and NHR_5, preferably NH_2) and alkyl (substituted or unsubstituted; preferably C_{1-6} acyclic saturated alkyl).

Where R_{18}, R_{19} and R_{20} are selected from substituted alkyl, said alkyl is preferably selected from alkoxyalkyl, hydroxyalkyl, aminoalkyl (including NH_2-alkyl, mono-alkylaminoalkyl and di-alkylaminoalkyl), haloalkyl (particularly fluoroalkyl (including CF_3)), cyanoalkyl, alkylthioalkyl, alkylcarboxyaminoalkyl, alkoxycarbonylaminoalkyl and alkylsulfonylamino, more preferably from alkoxyalkyl, hydroxyalkyl, aminoalkyl and haloalkyl (particularly fluoroalkyl (including CF_3)) and most preferably from alkoxyalkyl and aminoalkyl.

In one embodiment, particularly where R_{12} is aryl, preferably phenyl, the substituent groups R_{18}, R_{19} and R_{20} are selected from lower alkyl, hydroxy, lower alkoxy, amino (including NH_2, mono- and di-alkylamino), NO_2, CN, amido, aminocarbonyl (including mono- and di-alkylaminocarbonyl), sulfonamido or halo group(s). In a further embodiment R_{12} is aryl, preferably phenyl, substituted by $NR_{16}SO_2R_{17}$ wherein R_{16} is selected from H, alkyl and aryl and preferably H, and R_{17} is selected from alkyl and aryl, preferably from C_{1-6} saturated alkyl and aryl (including heteroaryl). R_{17} may be unsubstituted or substituted, for instance by alkyl or hydroxy.

In the compounds of formula (I) R_4, R_5, R_6, R_8, R_9, R_{10}, R_{13}, R_{14} and R_{15} are independently selected from H, substituted and unsubstituted alkyl (including saturated alkyl, alkenyl, alkenyl, branched and unbranched alkyl, and cyclic and acyclic alkyl) and substituted and unsubstituted aryl (including heteroaryl), or where R_5 and R_6 are in an (NR_5R_6) group then R_5 and R_6 may be linked to form a heterocyclic group, or where R_9 and R_{10} are in an (NR_9R_{10}) group then R_9 and R_{10} may be linked to form a heterocyclic group, or where R_8, R_9 and R_{10} are in a $(CONR_8NR_9R_{10})$ group, R_8 and R_9 may be linked to form a heterocyclic group, or where R_{14} and R_{15} are in an $(NR_{14}R_{15})$group, R_{14} and R_{15} may be linked to form a heterocyclic group. Preferably, R_4, R_{13} and R_{16} are independently selected from H and alkyl.

In the compounds of formula (I), R_7, R_{11} and R_{17} are independently selected from substituted and unsubstituted alkyl (including saturated alkyl, alkenyl, alkenyl, branched and unbranched alkyl and cyclic and acyclic alkyl) and substituted and unsubstituted aryl (including heteroaryl).

Where R_4, R_5, R_6, R_7, R_{13} and R_{16} are independently selected from alkyl (substituted or unsubstituted), said alkyl group is preferably selected from C_{1-6} alkyl, and preferably from C_{1-6} saturated alkyl and C_{1-6} alkenyl. In one embodiment, R_4 to R_7, R_{13} and R_{16} are selected from C_{1-6} saturated alkyl, preferably lower alkyl.

Where R_4, R_5, R_6, R_7, R_{13} and R_{16} are independently selected from substituted alkyl (including saturated alkyl, alkenyl and alkynyl), the one or more substituent group(s) are preferably selected from cycloalkyl, substituted and unsubstituted aryl (including heteroaryl), non-aromatic heterocyclyl, hydroxy, alkoxy and dialkylamino.

Where R_5 and R_6, or R_9 and R_{10}, or R_8 and R_9, or R_{14} and R_{15}, in accordance with the definitions herein, are linked to form a heterocyclic ring, said heterocyclic ring may be saturated, partially unsaturated or aromatic, and is preferably saturated. Said heterocyclic ring preferably is a 5, 6 or 7-membered ring, preferably a 5 or 6-membered ring, and may contain one or more further heteroatoms preferably selected from N, O and S heteroatoms.

In a particularly preferred embodiment of the invention, the compounds of formula (I) are selected from those compounds wherein R_1 is NH_2, R_2 is 2-furyl and R_3 is arylalkyl (including heteroarylalkyl), particularly arylmethyl (including heteroarylmethyl).

In a particularly preferred embodiment of the invention, the compounds of the present invention are selected from:

N,N-Dimethyl-6-(2-furyl)-1*H*-purine-2-amine;
6-(2-Furyl)-1*H*-purine-2-amine;
6-(2-Furyl)-2-methylthio-1*H*-purine;
2-Amino-N-benzyl-6-(2-furyl)-9*H*-purine-9-carboxamide;
2-Amino-N-*n*-butyl-6-(2-furyl)-9*H*-purine-9-carboxamide;
2-Amino-6-(2-furyl)-N-(4-methoxybenzyl)-9*H*-purine-9-carboxamide;
2-Amino-6-(2-furyl)-N-(4-methylbenzyl)-9*H*-purine-9-carboxamide;
2-Amino-N-(2-chlorobenzyl)-6-(2-furyl)-9*H*-purine-9-carboxamide;
(1S)-2-Amino-6-(2-furyl)-N-(1-phenylethyl)-9*H*-purine-9-carboxamide;
2-Amino-6-(2-furyl)-N-(3-methylbenzyl)-9*H*-purine-9-carboxamide;
2-Amino-6-(2-furyl)-N-*n*-pentyl-9*H*-purine-9-carboxamide;

6-(2-Furyl)-9-(1-phenyl-1-propene-3-yl)-9*H*-purine-2-amine;
6-(2-Furyl)-9-(3-phenylpropyl)-9*H*-purine-2-amine;
2-Amino-N-(4-fluorobenzyl)-6-(2-furyl)-9*H*-purine-9-carboxamide;
2-Amino-N-(3,4-dichlorobenzyl)-6-(2-furyl)-9*H*-purine-9-carboxamide;
6-(2-Furyl)-9-(4-isopropylbenzyl)-9*H*-purine-2-amine;
2-Amino-6-(2-furyl)-N-(2-phenylethyl)-9*H*-purine-9-carboxamide;
2-Amino-N-(2,4-dichlorobenzyl)-6-(2-furyl)-9*H*-purine-9-carboxamide;
Benzyl 2-amino-6-(2-furyl)-9*H*-purine-9-carboxylate;
N-Benzyl-2-methoxy-6-(2-furyl)-9*H*-purine-9-carboxamide;
2-Amino-N-benzyl-6-(2-furyl)-N-methyl-9*H*-purine-9-carboxamide;
9-(3-Chlorobenzyl)-6-(2-furyl)-9*H*-purine-2-amine;
6-(2-Furyl)-9-(3-methylbenzyl)-9*H*-purine-2-amine;
6-(2-Furyl)-9-(4-methylbenzyl)-9*H*-purine-2-amine;
2-Amino-N-(3-chlorophenyl)-6-(2-furyl)-9*H*-purine-9-acetamide;
9-(2-Fluorobenzyl)-6-(2-furyl)-9*H*-purine-2-amine;
6-(2-Furyl)-9-(4-trifluoromethylbenzyl)-9*H*-purine-2-amine;
9-(4-Bromophenyl)sulphonyl-6-(2-furyl)-9*H*-purine-2-amine;
6-(2-Furyl)-9-(2-phenylethenyl)sulphonyl-9*H*-purine-2-amine;
6-(2-Furyl)-9-(3-(3-pyridyl)propyl)-9*H*-purine-2-amine;
9-(3-Aminobenzyl)-6-(2-furyl)-9*H*-purine-2-amine;
6-(2-Furyl)-9-(3-methoxybenzyl)-9*H*-purine-2-amine;
2-Amino-6-(2-furyl)-N-(2-furylmethyl)-9*H*-purine-9-carboxamide;
2-Amino-6-(2-furyl)-N-(2-thienylmethyl)-9*H*-purine-9-carboxamide;
9-(4-Methylbenzyl)-6-(5-methyl-2-furyl)-9*H*-purine-2-amine;
9-(2,6-Difluorobenzyl)-6-(2-furyl)-9*H*-purine-2-amine;
6-(2-Furyl)-9-(6-methyl-2-pyridyl)methyl-9*H*-purine-2-amine;
6-(2-Furyl)-9-(2-(1-methyl-1*H*-imidazol-4-ylsulphonylamino)benzyl)-9*H*-purine-2-amine;
9-(5-Chloro-2-thienylmethyl)-6-(2-furyl)-9*H*-purine-2-amine;
9-(2-Fluorobenzyl)-6-(4-methyl-2-thiazolyl)-9*H*-purine-2-amine; and
9-(2-Fluoro-5-nitrobenzyl)-6-(2-furyl)-9*H*-purine-2-amine.

Where chiral the compounds of the formula (I) may be in the form of a racemic mixture of pairs of enantiomers or in enantiomerically pure form.

The present invention may be employed in respect of a human or animal subject, more preferably a mammal, more preferably a human subject.

According to a further aspect of the present invention there is provided a method of treating or preventing a disorder in which the blocking of purine receptors, particularly adenosine receptors and more particularly adenosine A_{2A} receptors, may be beneficial, the method comprising administration to a subject in need of such treatment an effective dose of a compound of formula (I) or a pharmaceutically acceptable salt or prodrug thereof.

The disorder may be caused by the hyperfunctioning of the purine receptors.

The disorders of particular interest are those in which the blocking of purine receptors, partiucularly adenosine receptors and more particularly adenosine A_{2A} receptors, may be beneficial. These may include movement disorders such as Parkinson's disease, drug-induced Parkinsonism, post-encephalitic Parkinsonism, Parkinsonism induced by poisoning (for example MPTP, manganese, carbon monoxide) and post-traumatic Parkinson's disease (punch-drunk syndrome).

Other movement disorders in which the blocking of purine receptors, may be of benefit include progressive supernuclear palsy, Huntingtons disease, multiple system atrophy, corticobasal degeneration, Wilsons disease, Hallerrorden-Spatz disease, progressive pallidal atrophy, Dopa-responsive dystonia-Parkinsonism, spasticity or other disorders of the basal ganglia which result in abnormal movement or posture. The present invention may also be effective in treating Parkinson's with on-off phenomena; Parkinson's with freezing (end of dose deterioration); and Parkinson's with prominent dyskinesias.

The compounds of formula (I) may be used or administered in combination with one or more additional drugs useful in the treatment of movement disorders, such as L-DOPA or a dopamine agonist, the components being in the same formulation or in separate formulations for administration simultaneously or sequentially.

Other disorders in which the blocking of purine receptors, particularly adenosine receptors and more particularly adenosine A_{2A} receptors may be beneficial include acute and chronic pain; for example neuropathic pain, cancer pain, trigeminal neuralgia, migraine and other conditions associated with cephalic pain, primary and secondary hyperalgesia, inflammatory pain, nociceptive pain, tabes dorsalis, phantom limb pain, spinal cord injury pain, central pain, post-herpetic pain and HIV pain; affective disorders including mood disorders such as bipolar disorder, seasonal affective disorder, depression, manic depression, atypical depression and monodepressive disease; central and peripheral nervous system degenerative disorders including corticobasal degeneration, demyelinating disease (multiple sclerosis, disseminated sclerosis), Freidrich's ataxia, motoneurone disease (amyotrophic lateral sclerosis, progressive bulbar atrophy), multiple system atrophy, myelopathy, radiculopathy, peripheral neuropathy (diabetic neuropathy, tabes dorsalis, drug-induced neuropathy, vitamin deficiency), systemic lupus erythamatosis, granulomatous disease, olivo-ponto-cerebellar atrophy, progressive pallidal atrophy, progressive supranuclear palsy, spasticity; schizophrenia and related pyshoses; cognitive disorders including dementia, Alzheimers Disease, Frontotemporal dementia, multi-infarct dementia, AIDS dementia, dementia associated with Huntingtons Disease, Lewy body dementia, senile dementia, age-related memory impairment, cognitive impairment associated with dementia, Korsakoff syndrome, dementia pugilans; attention disorders such as attention-deficit hyperactivity disorder (ADHD), attention deficit disorder, minimal brain dysfunction, brain-injured child syndrome, hyperkinetic reaction childhood, and hyperactive child syndrome; central nervous system injury including traumatic brain injury, neurosurgery (surgical trauma), neuroprotection for head injury, raised intracranial pressure, cerebral oedema, hydrocephalus, spinal cord injury; cerebral ischaemia including transient ischaemic attack, stroke (thrombotic stroke, ischaemic stroke, embolic stroke, haemorrhagic stroke, lacunar stroke) subarachnoid haemorrhage, cerebral vasospasm, neuroprotection for stroke, peri-natal asphyxia, drowning, cardiac arrest, subdural haematoma; myocardial ischaemia; muscle ischaemia; sleep disorders such as hypersomnia and narcolepsy; eye disorders such as retinal ischaemia-reperfusion injury and diabetic neuropathy; cardiovascular disorders such as claudication and hypotension; and diabetes and its complications.

According to a further aspect of the present invention there is provided use of a compound of formula (I) or a pharmaceutically acceptable salt or prodrug thereof in the manufacture of a medicament for the treatment or prevention of movement disorders in a subject.

According to a further aspect of the invention there is provided a method of treating or preventing movement disorders comprising administration to a subject in need of such treatment an effective dose of a compound of formula (I) or a pharmaceutically acceptable salt or prodrug thereof.

According to a further aspect of the invention there is provided use of a compound of formula (I) or a pharmaceutically acceptable salt or prodrug thereof in the manufacture of a medicament for neuroprotection in a subject.

According to a further aspect of the invention there is provided a method of neuroprotection comprising administration to a subject in need of such treatment an effective dose of a compound of formula (I) or a pharmaceutically acceptable salt or prodrug thereof.

The medicament for or method of neuroprotection may be of use in the treatment of subjects who are suffering from or at risk from a neurodegenerative disorder, such as a movement disorder.

According to a further aspect of the invention, there is provided for use in therapy a compound of formula (I), or a pharmaceutically acceptable salt or prodrug thereof, other than:

(i) compounds wherein R_1 is halogen or aryl and R_3 is benzyl, and preferably other than compounds wherein R_1 is halogen or aryl; and

(ii) compounds wherein R_3 is H, R_1 is NH_2 and R_2 is thienyl, preferably other than compounds wherein R_3 is H and R_1 is NH_2, and preferably other than compounds wherein R_3 is H.

According to a further aspect of the invention, there is provided for use in therapy a compound of formula (I), or a pharmaceutically acceptable salt or prodrug thereof, other than:

(i) compounds wherein R_1 is halogen or aryl and R_3 is benzyl, and preferably other than compounds wherein R_1 is halogen or aryl; and

(ii) compounds wherein R_3 is H, R_1 is NH_2 and R_2 is thienyl, preferably other than compounds wherein R_3 is H and R_2 is thienyl, and preferably other than compounds wherein R_2 is thienyl.

In an alternative embodiment, there is provided for use in therapy a compound of formula (I), or a pharmaceutically acceptable salt or prodrug thereof, wherein:

R_1 is selected from NR_5R_6 (including NH_2), alkoxy, thioalkyl and alkyl, preferably wherein R_1 is selected from NR_5R_6, and more preferably wherein R_1 is NH_2, and

R_3 is selected from alkyl and $CONR_9R_{10}$, preferably wherein R_3 is selected from substituted alkyl and $CONR_9R_{10}$, more preferably wherein R_3 is selected from substituted alkyl and $CONR_9R_{10}$ wherein said substituted alkyl is selected from arylalkyl (including heteroarylalkyl) and alkyl substituted by $CONR_9R_{10}$.

According to a further aspect of the present invention there is provided a compound of formula (I) or a pharmaceutically acceptable salt or prodrug thereof, *per se*, other than:

(i) compounds wherein R_1 is halogen or aryl and R_3 is benzyl, and preferably other than compounds wherein R_1 is halogen or aryl; and

(ii) compounds wherein R_3 is H, R_1 is NH_2 and R_2 is thienyl, preferably other than compounds wherein R_3 is H and R_1 is NH_2, and preferably other than compounds wherein R_3 is H.

According to a further aspect of the invention, there is provided a compound of formula (I), or a pharmaceutically acceptable salt or prodrug thereof, *per se*, other than:

(i) compounds wherein R_1 is halogen or aryl and R_3 is benzyl, and preferably other than compounds wherein R_1 is halogen or aryl; and

(ii) compounds wherein R_3 is H, R_1 is NH_2 and R_2 is thienyl, preferably other than compounds wherein R_3 is H and R_2 is thienyl, and preferably other than compounds wherein R_2 is thienyl.

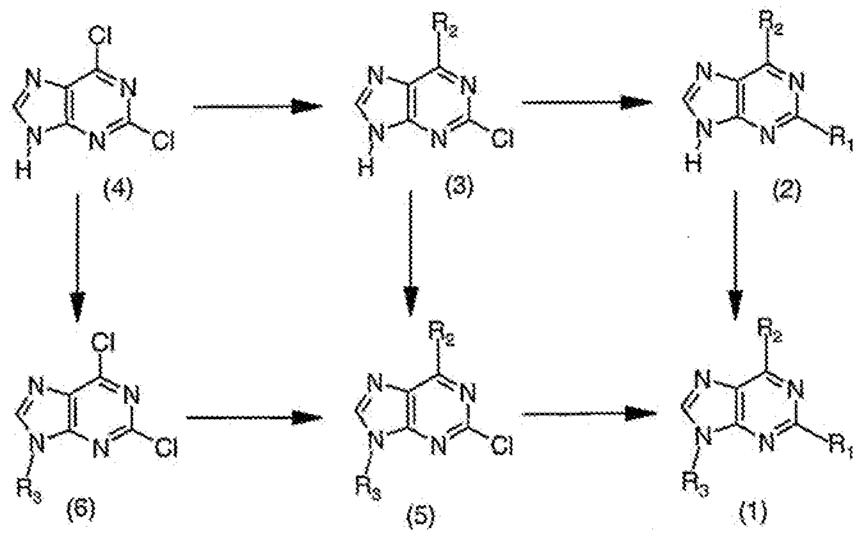
In an alternative embodiment, there is provided a compound of formula (I), or a pharmaceutically acceptable salt or prodrug thereof, *per se*, wherein:

R_1 is selected from NR_5R_6 (including NH_2), alkoxy, thioalkyl and alkyl, preferably wherein R_1 is selected from NR_5R_6, and more preferably wherein R_1 is NH_2, and

R_3 is selected from alkyl and $CONR_9R_{10}$, preferably wherein R_3 is selected from substituted alkyl and $CONR_9R_{10}$, more preferably wherein R_3 is selected from substituted alkyl and $CONR_9R_{10}$ wherein said substituted alkyl is selected from arylalkyl (including heteroarylalkyl) and alkyl substituted by $CONR_9R_{10}$.

According to a further aspect of the invention, there is provided a method of preparing the novel compounds of the present invention. Compounds of formula (I) may be prepared according to conventional synthetic methods, such as set out in Reaction Scheme 1.

Reaction Scheme 1



Compounds of formula (1) where R_3 is alkyl (including arylalkyl, heteroarylalkyl and other substituted alkyl) may be prepared from a compound of formula (2) by standard methods such as reaction with an appropriate alkyl halide, or substituted alkyl halide in the presence of a suitable base such as sodium hydride.

Compounds of formula (1) where R_3 is alkyl substituted with R_{12} wherein R_{12} is $CONR_{14}R_{15}$ or $CONR_8NR_9R_{10}$ may be prepared from compounds of formula (1) where R_3 is alkyl substituted with R_{12} wherein R_{12} is CO_2R_{13} by standard methods such as direct

reaction with an appropriate amine or hydrazine or by initial hydrolysis of the ester group CO_2R_{13} to a carboxylic acid followed by reaction with an appropriate amine or hydrazine in the presence of a standard coupling reagent such as DCC.

Compounds of formula (1) where R_3 is alkyl substituted with R_{12} wherein R_{12} is $C(=NR_{13})NR_{14}R_{15}$ may be prepared from compounds of formula (1) where R_3 is alkyl substituted with R_{12} wherein R_{12} is CN by standard methods such as treatment with an appropriate amine in the presence of trimethylaluminium.

Compounds of formula (1) where R_3 is alkyl substituted with R_{12} wherein R_{12} is CO_2R_{13} or CN may be prepared from compounds of formula (2) by standard methods such as treatment with an appropriate substituted alkyl halide in the presence of a suitable base such as sodium hydride.

Compounds of formula (1) where R_3 is alkyl substituted with R_{12} wherein R_{12} is $NR_{13}COR_{14}$, $NR_{13}CO_2R_{17}$ or $NR_{13}SO_2R_{17}$ may be prepared from compounds of formula (1) where R_3 is alkyl substituted with R_{12} wherein R_{12} is NHR_{13} by standard methods such as treatment with an appropriate acid chloride ($R_{14}COCl$), chloroformate ($ClCO_2R_{17}$) or sulphonyl chloride ($R_{17}SO_2Cl$) in the presence of a suitable base such as triethylamine.

Compounds of formula (1) where R_3 is alkyl substituted with R_{12} wherein R_{12} is $NR_{13}CONR_{14}R_{15}$ may be prepared from compounds of formula (1) where R_3 is alkyl substituted with R_{12} wherein R_{12} is NHR_{13} by standard methods such as treatment with an appropriate isocyanate ($R_{14}NCO$ or $R_{15}NCO$) or carbamoyl chloride ($R_{14}R_{15}NCOCl$).

Compounds of formula (1) where R_3 is alkyl substituted with R_{12} wherein R_{12} is NHR_{13} may be prepared from compounds of formula (1) where R_3 is alkyl substituted with R_{12} wherein R_{12} is NH_2 by standard methods such as alkylation or reductive alkylation. Compounds of formula (1) where R_3 is alkyl substituted with R_{12} wherein R_{12} is NH_2 may be prepared from compounds of formula (1) where R_3 is alkyl substituted with R_{12} wherein R_{12} is phthalimide by standard methods such as treatment with hydrazine. Compounds of formula (1) where R_3 is alkyl substituted with R_{12} wherein R_{12} is phthalimide may be prepared from compounds of formula (2) by standard methods such as treatment with an

appropriate substituted alkyl halide in the presence of a suitable base such as sodium hydride.

Compounds of formula (1) where R_3 is an ethyl group substituted in the β-position with an electron withdrawing group such as an ester, amide, ketone or nitrile group may be prepared from compounds of formula (2) by standard methods such as Michael addition with a suitable α,β-unsaturated ester, amide, ketone or nitrile. It will be appreciated by those skilled in the art that selection of an α,β-unsaturated ester, amide, ketone or nitrile which contained additional substituents would lead in an analogous way to compounds of formula (1) where R_3 is an ethyl group substituted in the β-position with an ester, amide, ketone or nitrile and additionally substituted elsewhere.

Compounds of formula (1) where R_3 is $CONR_9R_{10}$ or $CONR_8NR_9R_{10}$ may be prepared from compounds of formula (2) by standard methods such as treatment with an appropriate isocyanate (R_9NCO or $R_{10}NCO$) or carbamoyl chloride ($R_9R_{10}NCOCl$, or $R_8R_9NR_{10}NCOCl$).

Compounds of formula (1) where R_3 is COR_8, CO_2R_{11} or SO_2R_{11} may be prepared from compounds of formula (2) by standard methods such as treatment with an appropriate acid chloride (R_8COCl), chloroformate ($ClCO_2R_{11}$) or sulphonyl chloride ($R_{11}SO_2Cl$) in the presence of a suitable base such as triethylamine.

Compounds of formula (2) where R_1 is alkoxy, aryloxy, alkylthio, arylthio, CN or NR_5R_6 may be prepared from compounds of formula (3) by standard methods such as nucleophilic displacement using an appropriate nucleophilic reagent such as an alcohol, thiol, cyanide or amine (HNR_5R_6) in the presence of a suitable base if required.

Compounds of formula (3) may be prepared from the commercially available chloro compound of formula (4) by standard methods such as aryl or heteroaryl coupling reactions. Suitable aryl or heteroaryl coupling reactions would include reaction with an appropriate aryl or heteroaryl trialkylstannane derivative, an aryl or heteroarylboronic acid or boronic ester derivative, or an aryl or heteroarylzinc halide derivative in the presence of a suitable catalyst such as a palladium complex.

Compounds of formula (1) where R_1 is $NR_4CONR_5R_6$, wherein R_4 is H, may be prepared from compounds of formula (1) where R_1 is NH_2, by standard methods such as treatment with an appropriate isocyanate (R_5NCO or R_6NCO) or carbamoyl chloride (R_5R_6NCOCl). Compounds of formula (1) where R_1 is $NR_4CONR_5R_6$, wherein R_4 is alkyl or aryl, may be prepared from compounds of formula (1) where R_1 is NR_5R_6, wherein one of R_5 and R_6 is alkyl or aryl and the other is H, by standard methods as described above.

Compounds of formula (1) where R_1 is NR_4COR_5, $NR_4CO_2R_7$ or $NR_4SO_2R_7$, wherein R_4 is H, may be prepared from compounds of formula (1) where R_1 is NH_2 by standard methods such as treatment with an appropriate acid chloride (R_5COCl), chloroformate ($ClCO_2R_7$) or sulphonyl chloride (R_7SO_2Cl) in the presence of a suitable base. Compounds of formula (1) where R_1 is NR_4COR_5, $NR_4CO_2R_7$ or $NR_4SO_2R_7$, wherein R_4 is alkyl or aryl, may be prepared from compounds of formula (1) where R_1 is NR_5R_6, wherein one of R_5 and R_6 is alkyl or aryl and the other is H, as described above.

Compounds of formula (1) where R_1 is NH_2 may be prepared from compounds of formula (1) where R_1 is NR_5R_6, wherein one of R_5 and R_6 is a protecting group and the other is H by standard methods such as treatment with TFA or Amberlyst-15. Suitable protecting groups would include 3,4-dimethoxybenzyl and THP.

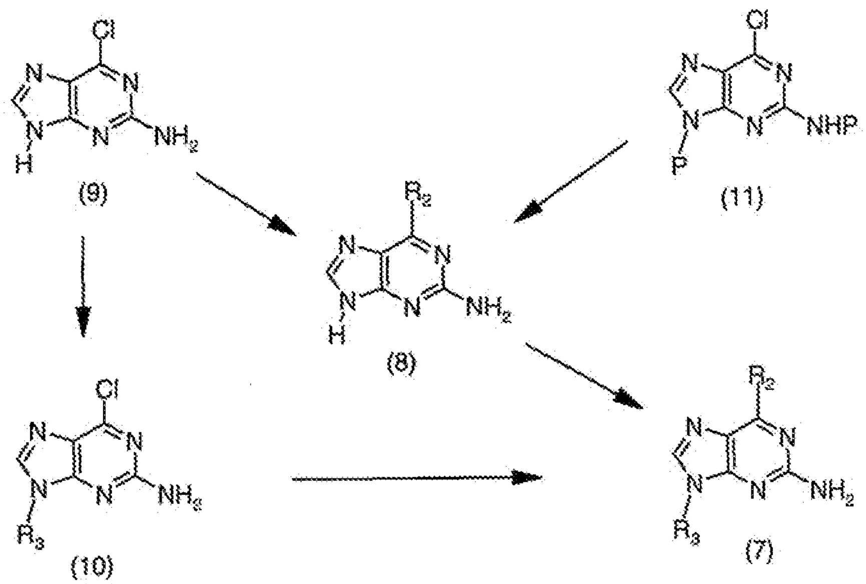
Alternatively it may be advantageous to prepare compounds of formula (1) from compounds of formula (5) by standard methods such as nucleophilic displacement reactions as described above. Compounds of formula (5) are prepared either from compounds of formula (3) or from compounds of formula (6) by standard methods as described above. Compounds of formula (6) are prepared from compounds of formula (4) by standard methods as described above.

Compounds of formula (1) where R_1 is alkyl may be prepared from compounds of formula (5) by standard methods such as reaction with a suitable reagent such as a trialkylaluminium reagent preferably in the presence of a suitable catalyst such as a palladium catalyst.

Compounds of formula (1) where R_1 is aryl may be prepared from compounds of formula (5) by standard methods such as aryl coupling reaction as described above.

Alternatively compounds of formula (1) where R_1 is NH_2 may be prepared by standard methods such as those illustrated in Reaction Scheme 2.

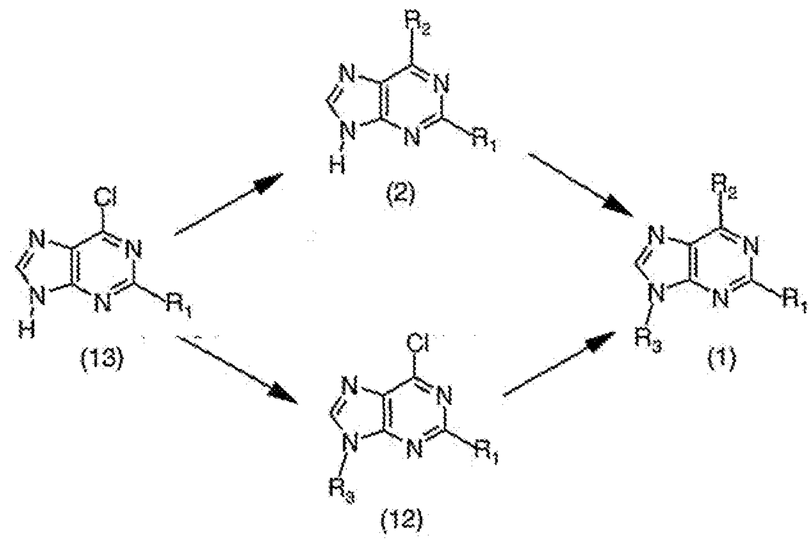
Reaction Scheme 2



Compounds of formula (7) are prepared from compounds of formula (8) by standard methods such as those described above. Alternatively compounds of formula (7) are prepared from compounds of formula (10) by standard methods such as those described above. Compounds of formula (8) and formula (10) are prepared from the commercially available compound of formula (9) by standard methods such as those described above. In certain cases it may be advantageous to prepare compounds of formula (8) from compounds of formula (11) where P is a protecting group, for example THP. Compounds of formula (11) may be transformed into compounds of formula (8) by standard methods such as aryl coupling reactions as described above followed by removal of the protecting groups by standard methods such as treatment with Amberlyst-15. Compounds of formula (11) are either known in the literature or may be prepared by methods analogous to those reported in the literature.

Alternatively compounds of formula (1) where R_1 is alkyl or aryl are prepared by standard methods such as those illustrated in Reaction Scheme 3.

Reaction Scheme 3



Compounds of formula (1) where R_1 is alkyl or aryl are prepared from compounds of formula (2) where R_1 is alkyl or aryl by standard methods such as those described above. Alternatively compounds of formula (1) where R_1 is alkyl or aryl are prepared from compounds of formula (12) where R_1 is alkyl or aryl by standard methods such as those described above. Compounds of formula (2) where R_1 is alkyl or aryl and compounds of formula (12) where R_1 is alkyl or aryl are prepared from compounds of formula (13) by standard methods such as those described above. Compounds of formula (13) where R_1 is alkyl or aryl are either known in the literature or may be prepared by methods analogous to those reported in the literature.

In the compounds of the present invention, where any of the groups R_1 to R_{11} is an alkyl group or aryl group or where any of the groups R_1 to R_{11} contains an alkyl or aryl substituent, the alkyl or aryl group may also be substituted. It will be appreciated by those skilled in the art that certain substituents on the alkyl or aryl groups mentioned above may be introduced directly as an integral part of the substituent R_1 to R_{11} by using the synthetic methods described above. In other cases it may be advantageous to introduce certain substituents on the alkyl or aryl groups mentioned above by chemical transformation of other substituent groups. For example where the alkyl or aryl group mentioned above

contains an amino substituent this may be converted to an alkylamino or dialkylamino group by standard methods such as alkylation or reductive alkylation, or to an amide, carbamate, urea or sulphonamide by standard methods such as those described above. Additionally, for example, where the alkyl or aryl group mentioned above contains a carboxylic ester substituent this may be converted to an amide or hydrazide derivative by standard methods such as reaction with an amine or hydrazine directly or in the presence of a catalyst such as Me_3Al if required. It will be appreciated by those skilled in the art that substituents such as an amino group or a carboxylic ester group may also be transformed by standard methods to a wide range of additional substituent groups.

According to a further aspect of the invention, there is provided a pharmaceutical composition comprising a compound of formula (I) in combination with a pharmaceutically acceptable carrier or excipient and a method of making such a composition comprising combining a compound of the present invention with a pharmaceutically acceptable carrier or excipient.

The pharmaceutical compositions employed in the present invention comprise a compound of formula (I), or pharmaceutically acceptable salts or prodrugs thereof, and may also contain a pharmaceutically acceptable carrier and optionally other therapeutic ingredients known to those skilled in the art. The term, "pharmaceutically acceptable salts", refers to salts prepared from pharmaceutically acceptable non-toxic acids including inorganic acids and organic acids.

Where the compounds of formula (I) are basic, salts may be prepared from pharmaceutically acceptable non-toxic acids including inorganic and organic acids. Such acids include acetic, benzenesulfonic, benzoic, camphorsulfonic, citric, ethenesulfonic, fumaric, gluconic, glutamic, hippuric, hydrobromic, hydrochloric, isethionic, lactic, maleic, malic, mandelic, methanesulfonic, mucic, nitric, pamoic, pantothenic, phosphoric, succinic, sulfuric, tartaric, oxalic, p-toluenesulfonic and the like. Particularly preferred are hydrochloric, hydrobromic, phosphoric, and sulfuric acids, and most particularly preferred is the hydrochloride salt.

Any suitable route of administration may be employed for providing the patient with an effective dosage of a compound of formula (I). For example, oral, rectal, parenteral (intravenous, intramuscular), transdermal, subcutaneous, and the like may be employed. Dosage forms include tablets, troches, dispersions, suspensions, solutions, capsules, patches, and the like. The most suitable route in any given case will depend on the severity of the condition being treated. The most preferred route of administration is the oral route. The compositions may be conveniently presented in unit dosage form and prepared by any of the methods well known in the art of pharmacy.

In practical use, the compounds of formula (I) can be combined as the active ingredient in intimate admixture with a pharmaceutical carrier according to conventional pharmaceutical compounding techniques. The carrier may take a wide variety of forms depending on the form of preparation desired for administration, *e.g.* oral or parenteral (*e.g.* intravenous). In preparing the compositions for oral dosage form, any of the usual pharmaceutical media may be employed as carriers, such as, for example, water, glycols, oils, alcohols, flavouring agents, preservatives, colouring agents, and the like in the case of oral liquid preparations (such as suspensions, solutions and elixirs) or aerosols; or carriers such as starches, sugars, micro-crystalline cellulose, diluents, granulating agents, lubricants, binders, disintegrating agents, and the like may be used in the case of oral solid preparations such as, for example, powders, capsules, and tablets, with the solid oral preparations being preferred over the liquid preparations. The most preferred solid oral preparation is tablets.

Because of their ease of administration, tablets and capsules represent the most advantageous oral dosage unit form in which case solid pharmaceutical carriers are employed. If desired, tablets may be coated by standard aqueous or non-aqueous techniques.

In addition to the common dosage forms set out above, the compounds of formula (I) may also be administered by controlled release means and/or delivery devices such as those described in United States Patent Nos.: 3,845,770; 3,916,899; 3,536,809; 3,598,123; 3,630,200; 4,008,719; 4,687,660; and 4,769,027, the disclosures of which are hereby incorporated by reference.

Pharmaceutical compositions suitable for oral administration may be presented as discrete units such as capsules, cachets, or tablets, or aerosol sprays each containing a predetermined amount of the active ingredient as a powder or granules, a solution or a suspension in an aqueous liquid, an oil-in-water emulsion, or a water-in-oil liquid emulsion. Such compositions may be prepared by any of the methods of pharmacy, but all methods include the step of bringing the active ingredient into association with the carrier which constitutes one or more necessary ingredients. In general, the compositions are prepared by uniformly and intimately admixing the active ingredient with liquid carriers or finely divided solid carriers or both, and then, if necessary, shaping the product into the desired presentation.

For example, a tablet may be prepared by compression or moulding, optionally with one or more accessory ingredients. Compressed tablets may be prepared by compressing in a suitable machine the active ingredient in a free-flowing form such as powder or granules, optionally mixed with a binder, a lubricant, an inert diluent, and/or a surface active or dispersing agent. Moulded tablets may be made by moulding in a suitable machine a mixture of the powdered compound moistened with an inert liquid diluent.

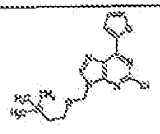
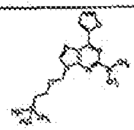
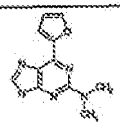
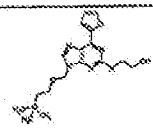
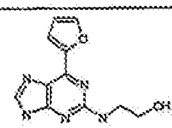
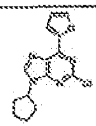
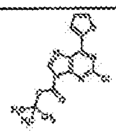
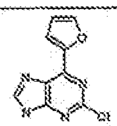
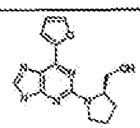
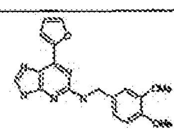
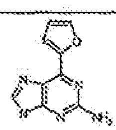
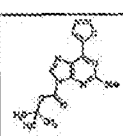
The invention is further defined by reference to the following examples. It will be apparent to those skilled in the art that many modifications, both to materials and methods, may be practised without departing from the purpose and interest of this invention.

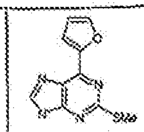
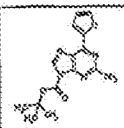
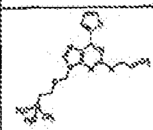
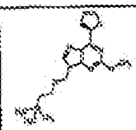
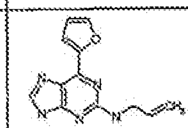
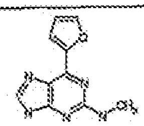
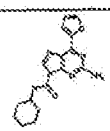
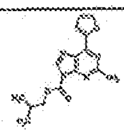
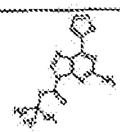
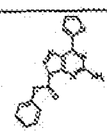
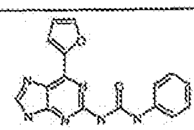
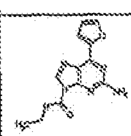
EXAMPLES

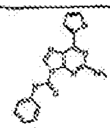
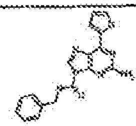
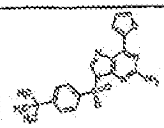
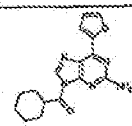
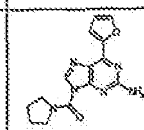
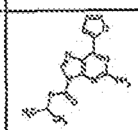
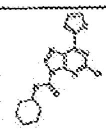
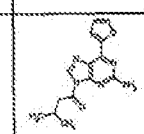
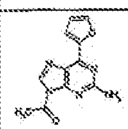
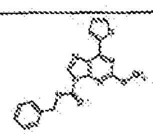
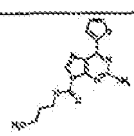
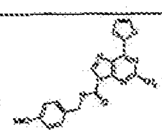
<u>**Synthetic Examples**</u>

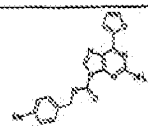
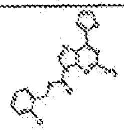
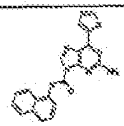
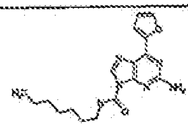
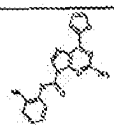
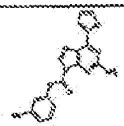
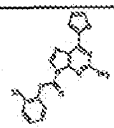
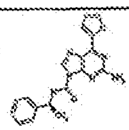
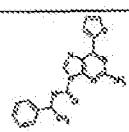
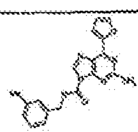
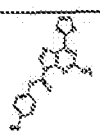
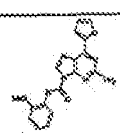
The invention is illustrated with reference to the Examples set out in Table 1. The syntheses of the Examples are performed using the general Synthetic Methods set out hereinafter. The Method used for a given Example is noted in parentheses in column 1 of Table 1. Table 2 includes the analytical data for the compounds.

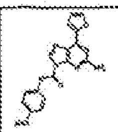
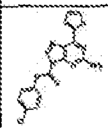
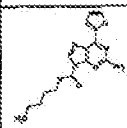
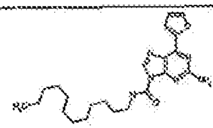
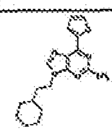
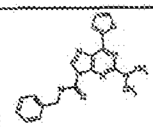
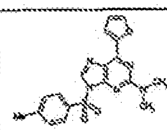
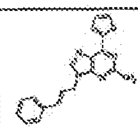
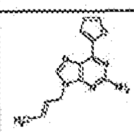
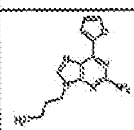
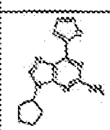
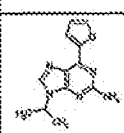
Table 1

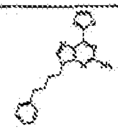
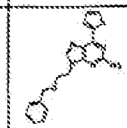
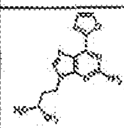
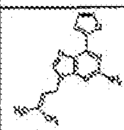
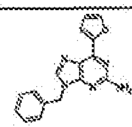
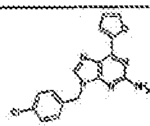
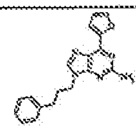
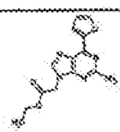
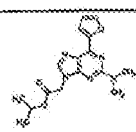
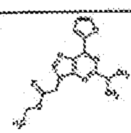
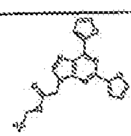
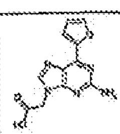
Example	Structure	Compound Name
1 (A)		2-Chloro-6-(2-furyl)-9-(2-trimethylsilylethoxymethyl)-9*H*-purine
2 (B)		N,N-Dimethyl-6-(2-furyl)-9-(2-trimethylsilylethoxymethyl)-9*H*-purine-2-amine
3 (C)		N,N-Dimethyl-6-(2-furyl)-1*H*-purine-2-amine
4 (B)		6-(2-Furyl)-N-(2-hydroxyethyl)-9-(2-trimethylsilylethoxymethyl)-9*H*-purine-2-amine
5 (C)		N-(2-Hydroxyethyl)-6-(2-furyl)-1*H*-purine-2-amine
6 (S)		2-Chloro-9-cyclopentyl-6-(2-furyl)-9*H*-purine
7 (A)		*tert*-Butyl 2-chloro-6-(2-furyl)-9*H*-purine-9-carboxylate
8 (A)		2-Chloro-6-(2-furyl)-1*H*-purine
9 (B)		(2R)-1-(6-(2-Furyl)-1*H*-purine-2-yl)-2-pyrrolidinemethanol
10 (B)		N-(3,4-Dimethoxybenzyl)-6-(2-furyl)-1*H*-purine-2-amine
11 (D)		6-(2-Furyl)-1*H*-purine-2-amine
12 (E)		*tert*-Butyl 6-(2-furyl)-2-methylthio-9*H*-purine-9-carboxylate

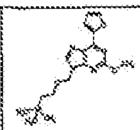
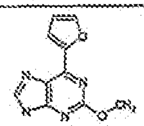
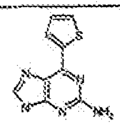
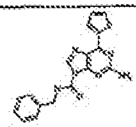
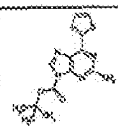
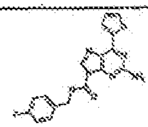
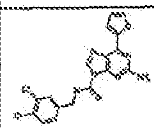
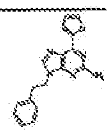
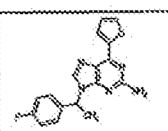
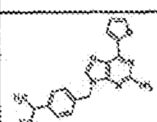
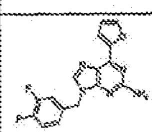
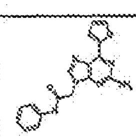
13 (F)		6-(2-Furyl)-2-methylthio-1*H*-purine
14 (A)		*tert*-Butyl 2-amino-6-(2-furyl)-9*H*-purine-9-carboxylate
15 (B)		N-Allyl-6-(2-furyl)-9-(2-trimethylsilylethoxymethyl)-9*H*-purine-2-amine
16 (B)		6-(2-Furyl)-N-methyl-9-(2-trimethylsilylethoxymethyl)-9*H*-purine-2-amine
17 (C)		N-Allyl-6-(2-furyl)-1*H*-purine-2-amine
18 (C)		6-(2-Furyl)-N-methyl-1*H*-purine-2-amine
19 (A)		2-Amino-N-cyclohexyl-6-(2-furyl)-9*H*-purine-9-carboxamide
20 (A)		2-Methylpropyl 2-amino-6-(2-furyl)-9*H*-purine-9-carboxylate
21 (A)		2-Amino-N-*tert*-butyl-6-(2-furyl)-9*H*-purine-9-carboxamide
22 (A)		Phenyl 2-amino-6-(2-furyl)-9*H*-purine-9-carboxylate
23 (A)		N-(6-(2-Furyl)-1*H*-purine-2-yl)-N'-phenylurea
24 (A)		2-Amino-N-ethyl-6-(2-furyl)-9*H*-purine-9-carboxamide

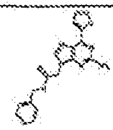
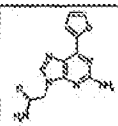
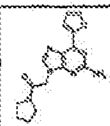
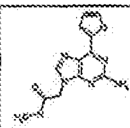
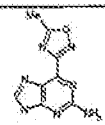
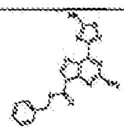
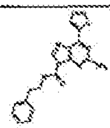
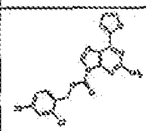
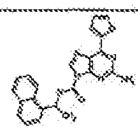
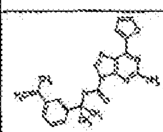
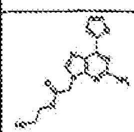
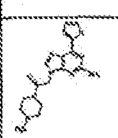
25 (A)		2-Amino-6-(2-furyl)-N-phenyl-9*H*-purine-9-carboxamide
26 (G)		2-Amino-N-benzyl-6-(2-furyl)-9*H*-purine-9-carboxamide
27 (H)		9-(4-*tert*-Butylphenylsulphonyl)-6-(2-furyl)-9*H*-purine-2-amine
28 (H)		9-Cyclohexylcarbonyl-6-(2-furyl)-9*H*-purine-2-amine
29 (I)		6-(2-Furyl)-9-(1-pyrrolidinylcarbonyl)-9*H*-purine-2-amine
30 (G)		2-Amino-6-(2-furyl)-N-isopropyl-9*H*-purine-9-carboxamide
31 (A)		2-Chloro-N-cyclohexyl-6-(2-furyl)-9*H*-purine-9-carboxamide
33 (H)		6-(2-Furyl)-9-(3-methylbutyryl)-9*H*-purine-2-amine
34 (H)		9-Acetyl-6-(2-furyl)-9*H*-purine-2-amine
35 (G)		N-Benzyl-6-(2-furyl)-2-methylthio-9*H*-purine-9-carboxamide
36 (G)		2-Amino-N-*n*-butyl-6-(2-furyl)-9*H*-purine-9-carboxamide
37 (G)		2-Amino-6-(2-furyl)-N-(4-methoxybenzyl)-9*H*-purine-9-carboxamide

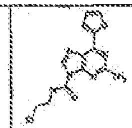
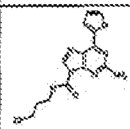
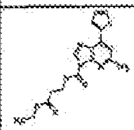
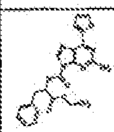
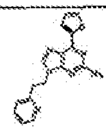
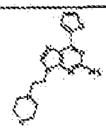
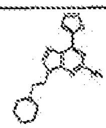
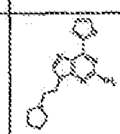
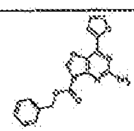
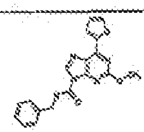
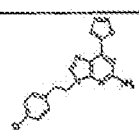
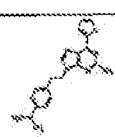
38 (G)		2-Amino-6-(2-furyl)-N-(4-methylbenzyl)-9*H*-purine-9-carboxamide
39 (G)		2-Amino-N-(2-chlorobenzyl)-6-(2-furyl)-9*H*-purine-9-carboxamide
40 (G)		2-Amino-6-(2-furyl)-N-(1-naphthyl)-9*H*-purine-9-carboxamide
41 (G)		2-Amino-6-(2-furyl)-N-*n*-heptyl-9*H*-purine-9-carboxamide
42 (G)		2-Amino-6-(2-furyl)-N-(2-methylphenyl)-9*H*-purine-9-carboxamide
43 (G)		2-Amino-6-(2-furyl)-N-(3-methylphenyl)-9*H*-purine-9-carboxamide
44 (G)		2-Amino-N-(2-chlorophenyl)-6-(2-furyl)-9*H*-purine-9-carboxamide
45 (G)		(1S)-2-Amino-6-(2-furyl)-N-(1-phenylethyl)-9*H*-purine-9-carboxamide
46 (G)		(1R)-2-Amino-6-(2-furyl)-N-(1-phenylethyl)-9*H*-purine-9-carboxamide
47 (G)		2-Amino-6-(2-furyl)-N-(3-methylbenzyl)-9*H*-purine-9-carboxamide
48 (G)		2-Amino-6-(2-furyl)-N-(4-methylphenyl)-9*H*-purine-9-carboxamide
49 (G)		2-Amino-6-(2-furyl)-N-(2-methoxyphenyl)-9*H*-purine-9-carboxamide

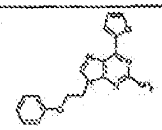
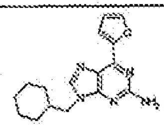
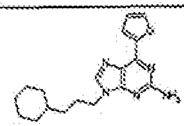
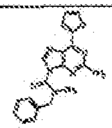
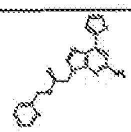
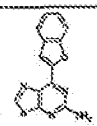
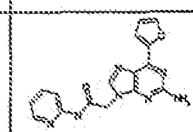
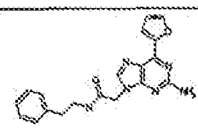
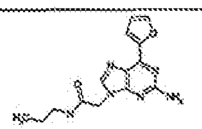
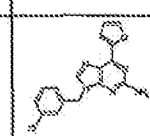
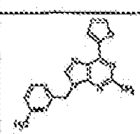
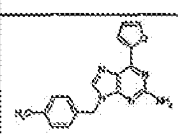
50 (G)		2-Amino-6-(2-furyl)-N-(4-methoxyphenyl)-9*H*-purine-9-carboxamide
51 (G)		2-Amino-N-(4-chlorophenyl)-6-(2-furyl)-9*H*-purine-9-carboxamide
52 (G)		2-Amino-6-(2-furyl)-N-*n*-pentyl-9*H*-purine-9-carboxamide
53 (G)		2-Amino-N-*n*-dodecyl-6-(2-furyl)-9*H*-purine-9-carboxamide
54 (K)		9-(2-Cyclohexylethyl)-6-(2-furyl)-9*H*-purine-2-amine
55 (G)		N-Benzyl-2-dimethylamino-6-(2-furyl)-9*H*-purine-9-carboxamide
56 (H)		N,N-Dimethyl-6-(2-furyl)-9-(4-methylphenylsulphonyl)-9*H*-purine-2-amine
57 (K)		6-(2-Furyl)-9-(1-phenyl-1-propene-3-yl)-9*H*-purine-2-amine
58 (K)		9-(But-2-ene-4-yl)-6-(2-furyl)-9*H*-purine-2-amine
59 (K)		9-*n*-Butyl-6-(2-furyl)-9*H*-purine-2-amine
60 (K)		9-Cyclopentyl-6-(2-furyl)-9*H*-purine-2-amine
61 (K)		6-(2-Furyl)-9-isopropyl-9*H*-purine-2-amine

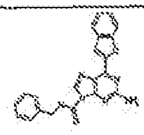
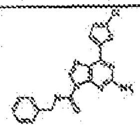
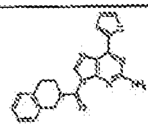
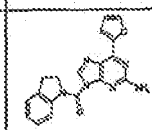
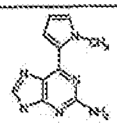
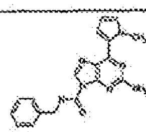
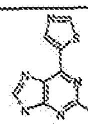
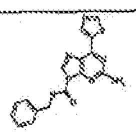
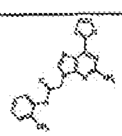
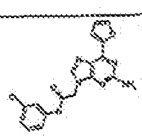
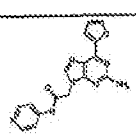
62 (K)		6-(2-Furyl)-9-(4-phenylbutyl)-9*H*-purine-2-amine
63 (K)		9-(2-Benzyloxyethyl)-6-(2-furyl)-9*H*-purine-2-amine
64 (K)		6-(2-Furyl)-9-(3-methylbutyl)-9*H*-purine-2-amine
65 (K)		6-(2-Furyl)-9-(2-methyl-2-buten-4-yl)-9*H*-purine-2-amine
66 (K)		9-Benzyl-6-(2-furyl)-9*H*-purine-2-amine
67 (K)		9-(4-Chlorobenzyl)-6-(2-furyl)-9*H*-purine-2-amine
68 (K)		6-(2-Furyl)-9-(3-phenylpropyl)-9*H*-purine-2-amine
69 (X)		Ethyl 2-amino-6-(2-furyl)-9*H*-purine-9-acetate
70 (L)		Isopropyl 2-dimethylamino-6-(2-furyl)-9*H*-purine-9-acetate
71 (B)		Ethyl 2-dimethylamino-6-(2-furyl)-9*H*-purine-9-acetate
72 (A)		Ethyl 2,6-bis(2-furyl)-9*H*-purine-9-acetate
73 (M)		2-Amino-6-(2-furyl)-9*H*-purine-9-acetic acid

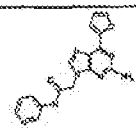
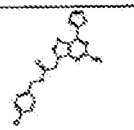
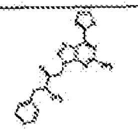
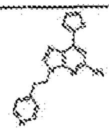
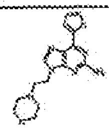
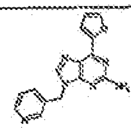
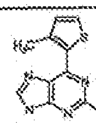
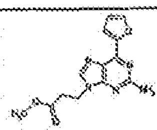
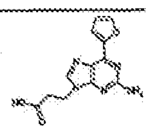
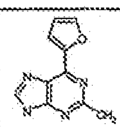
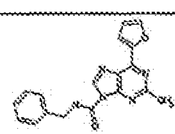
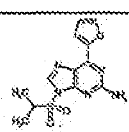
74 (N)		6-(2-Furyl)-2-methoxy-9-(2-trimethylsilylethoxymethyl)-9*H*-purine
75 (C)		6-(2-Furyl)-2-methoxy-1*H*-purine
76(O)		6-(2-Thienyl)-1*H*-purine-2-amine
77 (G)		2-Amino-N-benzyl-6-(2-thienyl)-9*H*-purine-9-carboxamide
78 (A)		*tert*-Butyl 2-amino-6-(2-thienyl)-9*H*-purine-9-carboxylate
79 (G)		2-Amino-N-(4-fluorobenzyl)-6-(2-furyl)-9*H*-purine-9-carboxamide
80 (G)		2-Amino-N-(3,4-dichlorobenzyl)-6-(2-furyl)-9*H*-purine-9-carboxamide
81 (K)		6-(2-Furyl)-9-(2-phenylethyl)-9*H*-purine-2-amine
82 (K)		9-(1-(4-Fluorophenyl)ethyl)-6-(2-furyl)-9*H*-purine-2-amine
83 (K)		6-(2-Furyl)-9-(4-isopropylbenzyl)-9*H*-purine-2-amine
84 (K)		9-(3,4-Difluorobenzyl)-6-(2-furyl)-9*H*-purine-2-amine
85 (P)		2-Amino-6-(2-furyl)-N-phenyl-9*H*-purine-9-acetamide

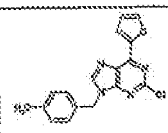
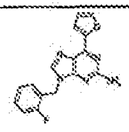
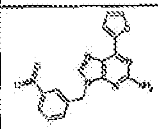
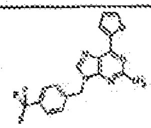
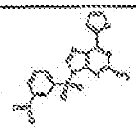
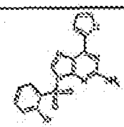
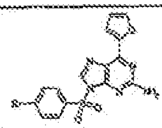
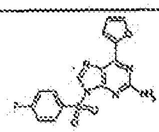
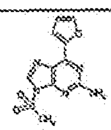
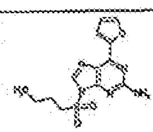
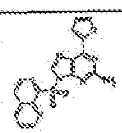
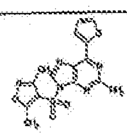
86 (Q)		2-Amino-N-benzyl-6-(2-furyl)-9*H*-purine-9-acetamide
87 (Q)		2-Amino-6-(2-furyl)-9*H*-purine-9-acetamide
88 (Q)		6-(2-Furyl)-9-(2-oxo-2-(1-pyrrolidinyl)ethyl)-9*H*-purine-2-amine
89 (Q)		2-Amino-6-(2-furyl)-N-methyl-9*H*-purine-9-acetamide
90 (R)		6-(5-Methyl-[1,2,4]-oxadiazol-3-yl)-1*H*-purine-2-amine
91 (G)		2-Amino-N-benzyl-6-(5-methyl-[1,2,4]-oxadiazol-3-yl)-9*H*-purine-9-carboxamide
92 (G)		2-Amino-6-(2-furyl)-N-(2-phenylethyl)-9*H*-purine-9-carboxamide
93 (G)		2-Amino-N-(2,4-dichlorobenzyl)-6-(2-furyl)-9*H*-purine-9-carboxamide
94 (G)		(1RS)-2-Amino-6-(2-furyl)-N-(1-(1-naphthyl)ethyl)-9*H*-purine-9-carboxamide
95 (G)		2-Amino-6-(2-furyl)-N-(2-(3-isopropenylphenyl)-2-propyl)-9*H*-purine-9-carboxamide
96 (Q)		2-Amino-6-(2-furyl)-N-(2-hydroxyethyl)-9*H*-purine-9-acetamide
97 (Q)		6-(2-Furyl)-9-(2-oxo-2-(4-methyl-1-piperazinyl)ethyl)-9*H*-purine-2-amine

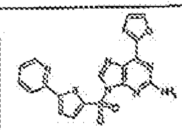
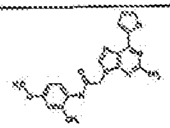
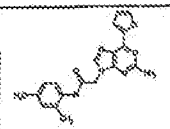
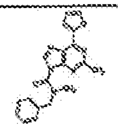
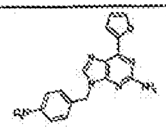
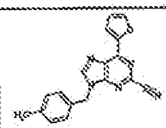
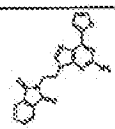
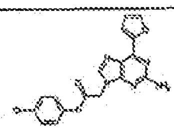
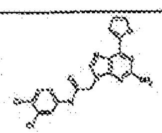
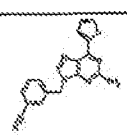
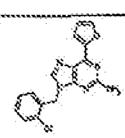
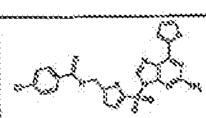
98 (G)		2-Amino-N-(2-chloroethyl)-6-(2-furyl)-9*H*-purine-9-carboxamide
99 (G)		2-Amino-N-(3-chloropropyl)-6-(2-furyl)-9*H*-purine-9-carboxamide
100 (G)		Ethyl 3-(2-Amino-6-(2-furyl)-9*H*-purine-9-yl)carbonylaminopropionate
101 (G)		Ethyl 2-(2-Amino-6-(2-furyl)-9*H*-purine-9-yl)carbonylamino-3-phenylpropionate
102 (S)		6-(2-Furyl)-9-(2-(2-pyridyl)ethyl)-9*H*-purine-2-amine
103 (S)		6-(2-Furyl)-9-(2-(1-piperazinyl)ethyl)-9*H*-purine-2-amine
104 (S)		6-(2-Furyl)-9-(2-(1-piperidinyl)ethyl)-9*H*-purine-2-amine
105 (S)		6-(2-Furyl)-9-(2-(1-pyrrolidinyl)ethyl)-9*H*-purine-2-amine
106 (T)		Benzyl 2-amino-6-(2-furyl)-9*H*-purine-9-carboxylate
112 (G)		N-Benzyl-2-methoxy-6-(2-furyl)-9*H*-purine-9-carboxamide
113 (S)		9-(2-(4-Chlorophenyl)ethyl)-6-(2-furyl)-9*H*-purine-2-amine
114 (S)		9-(2-(4-Dimethylaminophenyl)ethyl)-6-(2-furyl)-9*H*-purine-2-amine

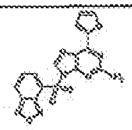
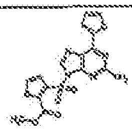
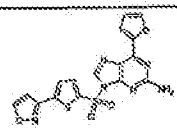
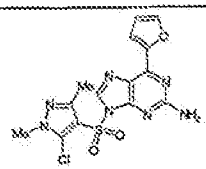
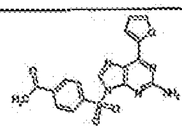
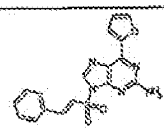
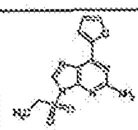
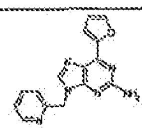
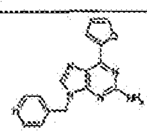
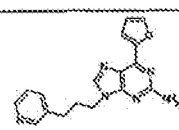
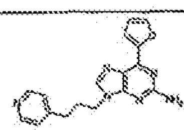
115 (S)		6-(2-Furyl)-9-(2-phenoxyethyl)-9*H*-purine-2-amine
116 (S)		9-Cyclohexylmethyl-6-(2-furyl)-9*H*-purine-2-amine
117 (S)		9-(3-Cyclohexylpropyl)-6-(2-furyl)-9*H*-purine-2-amine
118 (I)		2-Amino-N-benzyl-6-(2-furyl)-N-methyl-9*H*-purine-9-carboxamide
119 (Q)		2-Amino-6-(2-furyl)-N-(2-pyridylmethyl)-9*H*-purine-9-acetamide
120 (O)		6-(Benzofuran-2-yl)-1*H*-purine-2-amine
122 (Q)		2-Amino-6-(2-furyl)-N-(2-pyridyl)-9*H*-purine-9-acetamide
123 (Q)		2-Amino-6-(2-furyl)-N-(2-phenylethyl)-9*H*-purine-9-acetamide
124 (Q)		2-Amino-6-(2-furyl)-N-*n*-propyl-9*H*-purine-9-acetamide
125 (S)		9-(3-Chlorobenzyl)-6-(2-furyl)-9*H*-purine-2-amine
126 (S)		6-(2-Furyl)-9-(3-methylbenzyl)-9*H*-purine-2-amine
127 (S)		6-(2-Furyl)-9-(4-methylbenzyl)-9*H*-purine-2-amine

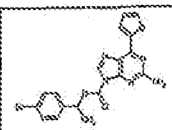
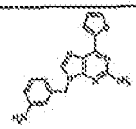
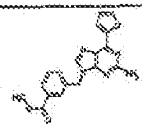
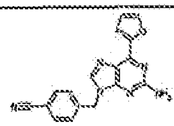
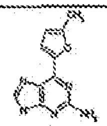
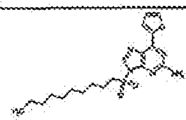
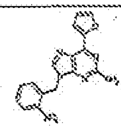
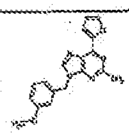
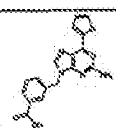
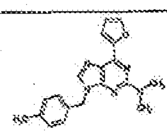
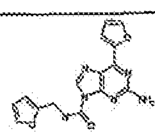
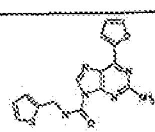
128 (G)		2-Amino-6-(benzofuran-2-yl)-N-benzyl-9*H*-purine-9-carboxamide
129 (O)		6-(5-Chloro-2-thienyl)-1*H*-purine-2-amine
130 (G)		2-Amino-N-benzyl-6-(5-chloro-2-thienyl)-9*H*-purine-9-carboxamide
131 (I)		6-(2-Furyl)-9-(1,2,3,4-tetrahydroisoquinolin-2-ylcarbonyl)-9*H*-purine-2-amine
132 (I)		6-(2-Furyl)-9-(1-indolinylcarbonyl)-9*H*-purine-2-amine
133 (A)		6-(1-Methyl-1*H*-pyrrol-2-yl)-1*H*-purine-2-amine
134 (G)		2-Amino-N-benzyl-6-(1-methyl-1*H*-pyrrol-2-yl)-9*H*-purine-9-carboxamide
137 (Y)		6-(5-Thiazolyl)-1*H*-purine-2-amine
139 (G)		2-Amino-N-benzyl-6-(5-thiazolyl)-9*H*-purine-9-carboxamide
140 (Q)		2-Amino-6-(2-furyl)-N-(2-methylphenyl)-9*H*-purine-9-acetamide
141 (Q)		2-Amino-N-(3-chlorophenyl)-6-(2-furyl)-9*H*-purine-9-acetamide
142 (Q)		2-Amino-6-(2-furyl)-N-(4-pyridyl)-9*H*-purine-9-acetamide

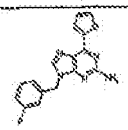
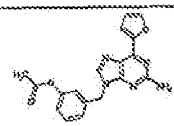
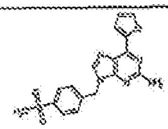
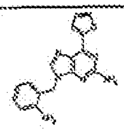
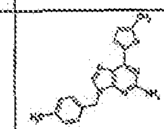
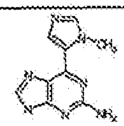
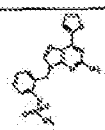
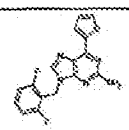
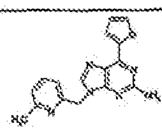
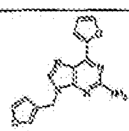
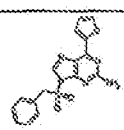
143 (Q)		2-Amino-6-(2-furyl)-N-(3-pyridyl)-9*H*-purine-9-acetamide
144 (Q)		2-Amino-N-(4-chlorobenzyl)-6-(2-furyl)-9*H*-purine-9-acetamide
145 (Q)		2-Amino-N-benzyl-6-(2-furyl)-N-methyl-9*H*-purine-9-acetamide
146 (S)		6-(2-Furyl)-9-(2-(4-pyridyl)ethyl)-9*H*-purine-2-amine
147 (S)		6-(2-Furyl)-9-(2-(4-morpholinyl)ethyl)-9*H*-purine-2-amine
148 (S)		6-(2-Furyl)-9-(3-pyridylmethyl)-9*H*-purine-2-amine
150 (A)		6-(3-Methyl-2-thienyl)-1*H*-purine-2-amine
151 (AA)		Methyl 3-(2-amino-6-(2-furyl)-9*H*-purine-9-yl)propionate
152 (M)		3-(2-Amino-6-(2-furyl)-9*H*-purine-9-yl)propionic acid
153 (AB)		6-(2-Furyl)-2-methyl-1*H*-purine
154 (G)		N-Benzyl-6-(2-furyl)-2-methyl-9*H*-purine-9-carboxamide
155 (H)		6-(2-Furyl)-9-isopropylsulphonyl-9*H*-purine-2-amine

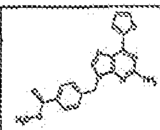
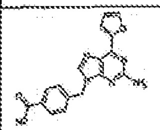
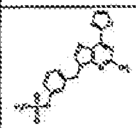
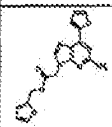
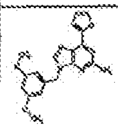
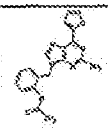
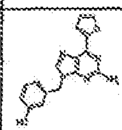
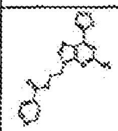
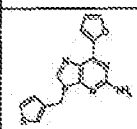
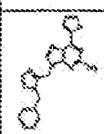
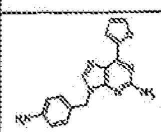
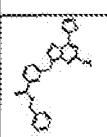
156 (AC)		2-Chloro-6-(2-furyl)-9-(4-methylbenzyl)-9*H*-purine
157 (AC)		9-(2-Fluorobenzyl)-6-(2-furyl)-9*H*-purine-2-amine
158 (AC)		6-(2-Furyl)-9-(3-nitrobenzyl)-9*H*-purine-2-amine
159 (AC)		6-(2-Furyl)-9-(4-trifluoromethylbenzyl)-9*H*-purine-2-amine
160 (H)		6-(2-Furyl)-9-(3-nitrophenyl)sulphonyl-9*H*-purine-2-amine
161 (H)		9-(2-Bromophenyl)sulphonyl-6-(2-furyl)-9*H*-purine-2-amine
162 (H)		9-(4-Bromophenyl)sulphonyl-6-(2-furyl)-9*H*-purine-2-amine
163 (H)		9-(4-Fluorophenyl)sulphonyl-6-(2-furyl)-9*H*-purine-2-amine
164 (H)		6-(2-Furyl)-9-methanesulphonyl-9*H*-purine-2-amine
165 (H)		9-Butanesulphonyl-6-(2-furyl)-9*H*-purine-2-amine
166 (H)		6-(2-Furyl)-9-(8-quinolinesulphonyl)-9*H*-purine-2-amine
167 (H)		9-(3,5-Dimethylisoxazole-4-yl)sulphonyl-6-(2-furyl)-9*H*-purine-2-amine

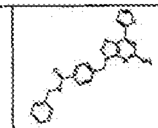
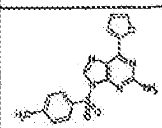
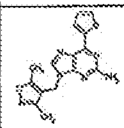
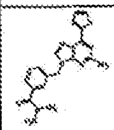
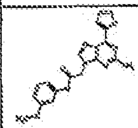
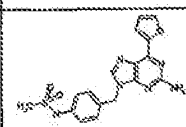
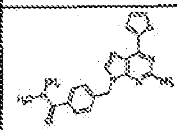
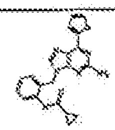
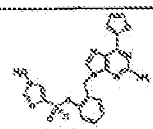
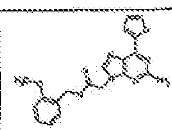
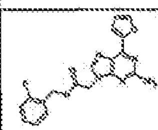
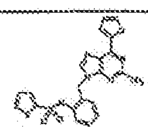
168 (H)		6-(2-Furyl)-9-(5-(2-pyridyl)-2-thienyl)sulphonyl-9*H*-purine-2-amine
169 (Q)		2-Amino-6-(2-furyl)-N-(4-methoxy-2-methylphenyl)-9*H*-purine-9-acetamide
170 (Q)		2-Amino-N-(2,4-dimethylphenyl)-6-(2-furyl)-9*H*-purine-9-acetamide
171 (I)		N-Benzyl-N,2-dimethyl-6-(2-furyl)-9*H*-purine-9-carboxamide
172 (AC)		6-(2-Furyl)-9-(4-nitrobenzyl)-9*H*-purine-2-amine
173 (AH)		6-(2-Furyl)-9-(4-methylbenzyl)-9*H*-purine-2-carbonitrile
174 (X)		6-(2-Furyl)-9-(2-phthalimidoethyl)-9*H*-purine-2-amine
175 (Q)		2-Amino-N-(4-chlorophenyl)-6-(2-furyl)-9*H*-purine-9-acetamide
176 (Q)		2-Amino-N-(3,4-dichlorophenyl)-6-(2-furyl)-9*H*-purine-9-acetamide
177 (AC)		9-(3-Cyanobenzyl)-6-(2-furyl)-9*H*-purine-2-amine
178 (AC)		9-(2-Chlorobenzyl)-6-(2-furyl)-9*H*-purine-2-amine
179 (H)		N-(5-(2-Amino-6-(2-furyl)-9*H*-purine-9-ylsulphonyl)-2-thienylmethyl)-4-chlorobenzamide

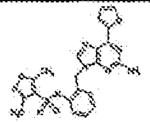
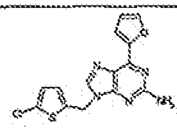
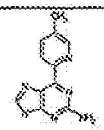
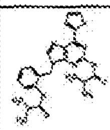
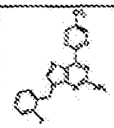
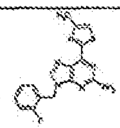
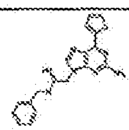
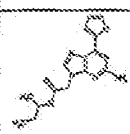
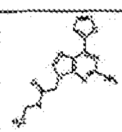
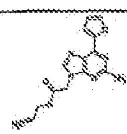
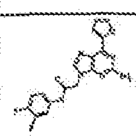
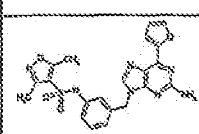
180 (H)		9-(2,1,3-Benzoxadiazol-4-yl)sulphonyl-6-(2-furyl)-9*H*-purine-2-amine
181 (H)		Methyl 3-(2-amino-6-(2-furyl)-9*H*-purine-9-sulphonyl)thiophene-2-carboxylate
182 (H)		6-(2-Furyl)-9-(5-(isoxazol-3-yl)-2-thienyl)sulphonyl-9*H*-purine-2-amine
183 (H)		6-(2-Furyl)-9-(5-chloro-1,3-dimethyl-1*H*-pyrazol-4-yl)sulphonyl-9*H*-purine-2-amine
184 (H)		9-(4-Acetylphenylsulphonyl)-6-(2-furyl)-9*H*-purine-2-amine
185 (H)		6-(2-Furyl)-9-(2-phenylethenyl)sulphonyl-9*H*-purine-2-amine
186 (H)		9-Ethanesulphonyl-6-(2-furyl)-9*H*-purine-2-amine
187 (S)		6-(2-Furyl)-9-(2-pyridylmethyl)-9*H*-purine-2-amine
188 (S)		6-(2-Furyl)-9-(4-pyridylmethyl)-9*H*-purine-2-amine
189 (S)		6-(2-Furyl)-9-(3-(3-pyridyl)propyl)-9*H*-purine-2-amine
190 (S)		6-(2-Furyl)-9-(3-(4-pyridyl)propyl)-9*H*-purine-2-amine

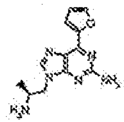
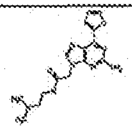
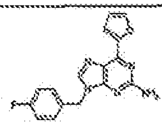
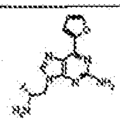
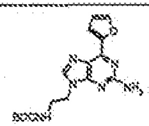
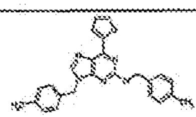
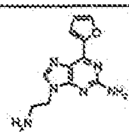
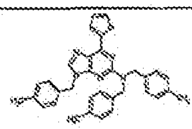
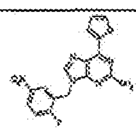
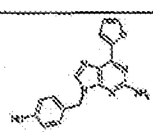
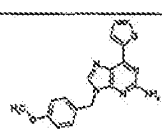
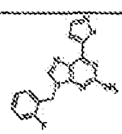
191 (G)		2-Amino-N-(1-(4-bromophenyl)ethyl)-6-(2-furyl)-9*H*-purine-9-carboxamide
192 (AD)		9-(3-Aminobenzyl)-6-(2-furyl)-9*H*-purine-2-amine
193 (AC)		Methyl 3-(2-amino-6-(2-furyl)-9*H*-purine-9-ylmethyl)benzoate
194 (AC)		9-(4-Cyanobenzyl)-6-(2-furyl)-9*H*-purine-2-amine
195 (Y)		6-(5-Methyl-2-furyl)-1*H*-purine-2-amine
196 (H)		9-*n*-Decanesulphonyl-6-(2-furyl)-9*H*-purine-2-amine
197 (AC)		6-(2-Furyl)-9-(2-nitrobenzyl)-9*H*-purine-2-amine
198 (AC)		6-(2-Furyl)-9-(3-methoxybenzyl)-9*H*-purine-2-amine
199 (M)		3-(2-Amino-6-(2-furyl)-9*H*-purine-9-ylmethyl)benzoic acid
200 (B)		N,N-Dimethyl-6-(2-furyl)-9-(4-methylbenzyl)-9*H*-purine-2-amine
201 (G)		2-Amino-6-(2-furyl)-N-(2-furylmethyl)-9*H*-purine-9-carboxamide
202 (G)		2-Amino-6-(2-furyl)-N-(2-thienylmethyl)-9*H*-purine-9-carboxamide

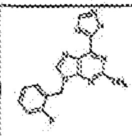
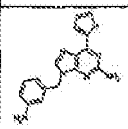
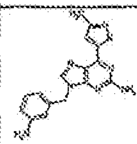
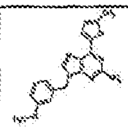
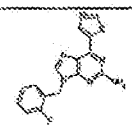
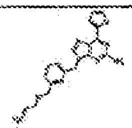
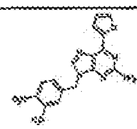
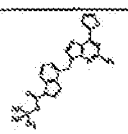
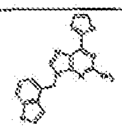
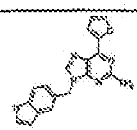
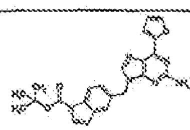
203 (AC)		9-(3-Fluorobenzyl)-6-(2-furyl)-9*H*-purine-2-amine
204 (G)		2-Amino-N-benzyl-6-(5-methyl-2-furyl)-9*H*-purine-9-carboxamide
205 (AF)		9-(3-Acetamidobenzyl)-6-(2-furyl)-9*H*-purine-2-amine
206 (AC)		6-(2-Furyl)-9-(4-methanesulphonylbenzyl)-9*H*-purine-2-amine
207 (AD)		9-(2-Aminobenzyl)-6-(2-furyl)-9*H*-purine-2-amine
208 (AC)		9-(4-Methylbenzyl)-6-(5-methyl-2-furyl)-9*H*-purine-2-amine
209 (Y)		6-(1-Methyl-1*H*-imidazol-5-yl)-1*H*-purine-2-amine
210 (AF)		6-(2-Furyl)-9-(2-methanesulphonylaminobenzyl)-9*H*-purine-2-amine
211 (AC)		9-(2,6-Difluorobenzyl)-6-(2-furyl)-9*H*-purine-2-amine
212 (S)		6-(2-Furyl)-9-(6-methyl-2-pyridyl)methyl-9*H*-purine-2-amine
213 (S)		6-(2-Furyl)-9-(3-furylmethyl)-9*H*-purine-2-amine
214 (H)		9-Benzylsulphonyl-6-(2-furyl)-9*H*-purine-2-amine

215 (AC)		Methyl 4-(2-amino-6-(2-furyl)-9*H*-purine-9-ylmethyl)benzoate
216 (M)		4-(2-Amino-6-(2-furyl)-9*H*-purine-9-ylmethyl)benzoic acid
217 (AF)		6-(2-Furyl)-9-(3-methanesulphonylaminobenzyl)-9*H*-purine-2-amine
218 (Q)		2-Amino-6-(2-furyl)-N-(2-furylmethyl)-9*H*-purine-9-acetamide
219 (AC)		9-(3,5-Dimethoxybenzyl)-6-(2-furyl)-9*H*-purine-2-amine
220 (AF)		9-(2-Acetamidobenzyl)-6-(2-furyl)-9*H*-purine-2-amine
221 (AG)		6-(2-Furyl)-9-(3-hydroxybenzyl)-9*H*-purine-2-amine
222 (S)		N-(2-(2-Amino-6-(2-furyl)-9*H*-purine-9-yl)ethyl)-4-pyridinecarboxamide
223 (S)		6-(2-Furyl)-9-(3-thienylmethyl)-9*H*-purine-2-amine
224 (S)		9-(1-Benzyl-1*H*-imidazol-2-ylmethyl)-6-(2-furyl)-9*H*-purine-2-amine
225 (AD)		9-(4-Aminobenzyl)-6-(2-furyl)-9*H*-purine-2-amine
226 (P)		3-(2-Amino-6-(2-furyl)-9*H*-purine-9-ylmethyl)-N-benzylbenzamide

227 (P)		4-(2-Amino-6-(2-furyl)-9*H*-purine-9-ylmethyl)-N-benzylbenzamide
228 (H)		6-(2-Furyl)-9-(4-methylphenylsulphonyl)-9*H*-purine-2-amine
229 (AC)		9-(3,5-Dimethylisoxazol-4-ylmethyl)-6-(2-furyl)-9*H*-purine-2-amine
230 (P)		3-(2-Amino-6-(2-furyl)-9*H*-purine-9-ylmethyl)-N,N-dimethylbenzamide
231 (Q)		2-Amino-6-(2-furyl)-N-(3-methoxyphenyl)-9*H*-purine-9-acetamide
232 (AF)		6-(2-Furyl)-9-(4-methanesulphonylaminobenzyl)-9*H*-purine-2-amine
233 (P)		4-(2-Amino-6-(2-furyl)-9*H*-purine-9-ylmethyl)-N,N-dimethylbenzamide
234 (AF)		N-(2-(2-Amino-6-(2-furyl)-9*H*-purine-9-ylmethyl)phenyl)cyclopropanecarboxamide
235 (AF)		6-(2-Furyl)-9-(2-(1-methyl-1*H*-imidazol-4-ylsulphonylamino)benzyl)-9*H*-purine-2-amine
236 (Q)		2-Amino-6-(2-furyl)-N-(2-methoxybenzyl)-9*H*-purine-9-acetamide
237 (Q)		2-Amino-N-(2-fluorobenzyl)-6-(2-furyl)-9*H*-purine-9-acetamide
238 (AF)		6-(2-Furyl)-9-(2-(2-thienylsulphonylamino)benzyl)-9*H*-purine-2-amine

239 (AF)		6-(2-Furyl)-9-(2-(3,5-dimethylisoxazol-4-ylsulphonylamino)benzyl)-9*H*-purine-2-amine
240 (AC)		9-(5-Chloro-2-thienylmethyl)-6-(2-furyl)-9*H*-purine-2-amine
241 (Z)		6-(5-Methyl-2-pyridinyl)-1*H*-purine-2-amine
242 (AF)		N-(6-(2-Furyl)-9-(2-(2-methylpropanamido)benzyl)-9*H*-purine-2-yl)-2-methylpropanamide
243 (AC)		9-(2-Fluorobenzyl)-6-(5-methyl-2-pyridinyl)-9*H*-purine-2-amine
244 (AJ)		9-(2-Fluorobenzyl)-6-(4-methyl-2-thiazolyl)-9*H*-purine-2-amine
245 (AK)		2-Amino-N-benzyl-6-(2-furyl)-9*H*-purine-9-acetimidamide
246 (Q)		2-Amino-6-(2-furyl)-N-(1-methylpropyl)-9*H*-purine-9-acetamide
247 (Q)		2-Amino-N-ethyl-6-(2-furyl)-9*H*-purine-9-acetamide
248 (Q)		N-Allyl-2-amino-6-(2-furyl)-9*H*-purine-9-acetamide
249 (Q)		2-Amino-N-(3,4-difluorophenyl)-6-(2-furyl)-9*H*-purine-9-acetamide
250 (AF)		6-(2-Furyl)-9-(3-(3,5-dimethylisoxazol-4-ylsulphonylamino)benzyl)-9*H*-purine-2-amine

251 (AL)		(2S)-9-(2-Amino-1-propyl)-6-(2-furyl)-9*H*-purine-2-amine
252 (Q)		2-Amino-N-(2-dimethylaminoethyl)-6-(2-furyl)-9*H*-purine-9-acetamide
253 (AC)		9-(4-Fluorobenzyl)-6-(2-furyl)-9*H*-purine-2-amine
254 (AL)		(2R)-9-(2-Amino-1-propyl)-6-(2-furyl)-9*H*-purine-2-amine
255 (X)		9-(2-(Butoxycarbonylamino)ethyl)-6-(2-furyl)-9*H*-purine-2-amine
256 (AC)		N,9-Bis(4-methylbenzyl)-6-(2-furyl)-9*H*-purine-2-amine
257 (F)		9-(2-Aminoethyl)-6-(2-furyl)-9*H*-purine-2-amine
258 (AC)		6-(2-Furyl)-N,N,9-tris(4-methylbenzyl)-9*H*-purine-2-amine
259 (AC)		9-(2-Fluoro-5-nitrobenzyl)-6-(2-furyl)-9*H*-purine-2-amine
260 (AG)		6-(2-Furyl)-9-(4-hydroxybenzyl)-9*H*-purine-2-amine
261 (AC)		6-(2-Furyl)-9-(4-methoxybenzyl)-9*H*-purine-2-amine
262 (AM)		9-(2-Fluorobenzyl)-6-(1*H*-pyrazol-3-yl)-9*H*-purine-2-amine

263 (AM)		9-(2-Fluorobenzyl)-6-(1*H*-triazol-3-yl)-9*H*-purine-2-amine
264 (AM)		9-(3-Aminobenzyl)-6-(1*H*-pyrazol-3-yl)-9*H*-purine-2-amine
265 (AO)		9-(3-Aminobenzyl)-6-(5-methyl-1*H*-pyrazol-3-yl)-1*H*-purine-2-amine
266 (AC)		9-(3-Methoxybenzyl)-6-(5-methyl-2-furyl)-9*H*-purine-2-amine
267 (AC)		9-(2-Fluorobenzyl)-6-(thiazol-5-yl)-9*H*-purine-2-amine
268 (AC)		9-(6-Allyloxymethyl-2-pyridyl)-6-(2-furyl)-9*H*-purine-2-amine
269 (AC)		9-(3-Methyl-4-nitrobenzyl)-6-(2-furyl)-9*H*-purine-2-amine
270 (AC)		*tert*-butyl 4-(2-amino-6-(2-furyl)-1*H*-purine-9-ylmethyl)indole-1-carboxylate
271 (AQ)		6-(2-Furyl)-9-(4-indolylmethyl)-9*H*-purine-2-amine
272 (AQ)		6-(2-Furyl)-9-(5-indolylmethyl)-9*H*-purine-2-amine
273 (AC)		*tert*-butyl 5-(2-amino-6-(2-furyl)-1*H*-purine-9-ylmethyl)indole-1-carboxylate

Method A

2-Chloro-6-(2-furyl)-9-(2-trimethylsilylethoxymethyl)-9*H*-purine (Example 1)

A solution of 2,6-dichloro-9-(2-trimethylsilylethoxymethyl)-9*H*-purine (957 mg, 3 mmol) in DMF (2.5 mL) was treated with $PdCl_2(PPh_3)_2$ (105 mg, 0.15 mmol) and 2-(tributylstannyl)furan (944 µL, 3 mmol), stirred at room temperature for 16 h, diluted with EtOAc, washed with water, dried ($MgSO_4$) and concentrated *in vacuo*, purified by chromatography [SiO_2; EtOAc : Heptane, (1:2)] and the resulting cream solid recrystallised (heptane) to give the *title compound* (738 mg, 70 %) as a white solid.

Method B

N,N-Dimethyl-6-(2-furyl)-9-(2-trimethylsilylethoxymethyl)-9*H*-purine-2-amine (Example 2)

A solution of 2-chloro-6-(2-furyl)-9-(2-trimethylsilylethoxymethyl)-9*H*-purine (488 mg, 1.4 mmol) in isopropanol (5 mL) was treated with 40 % dimethylamine in water (1 mL), refluxed for 2 h, concentrated *in vacuo* and purified by chromatography [SiO_2; EtOAc : Heptane, (1:1)] to give the *title compound* (431 mg, 86 %) as a white solid.

Method C

N,N-Dimethyl-6-(2-furyl)-1*H*-purine-2-amine (Example 3)

A solution of N,N-dimethyl-6-(2-furyl)-9-(2-trimethylsilylethoxymethyl)-9*H*-purine-2-amine (200 mg, 0.56 mmol) in THF (5 mL) was treated with tetra-*n* butylammonium fluoride (1-M in THF, 0.67 mL, 0.67 mmol), refluxed for 4 h, cooled, poured into water and extracted with EtOAc. The combined organic phase was dried ($MgSO_4$), concentrated *in vacuo* and purified by chromatography (SiO_2; EtOAc) to give the *title compound* (98 mg, 76 %) as a pale yellow solid.

Method D

6-(2-Furyl)-1*H*-purine-2-amine (Example 11)

A solution of N-(3,4-dimethoxybenzyl)-6-(2-furyl)-1*H*-purine-2-amine (194 mg, 0.55 mmol) in TFA (1 mL) was heated at 60 °C for 30 min, poured into water, extracted with EtOAc and the combined organic phase was dried ($MgSO_4$), concentrated *in vacuo* and purified by chromatography (SiO_2; 5% MeOH in EtOAc). The resulting yellow solid was dissolved in MeOH, treated with HCl (1-M in Et_2O) and filtered to give the *title compound* (75 mg, 57 %) as a yellow solid.

Method E

***tert*-Butyl 6-(2-furyl)-2-thiomethoxy-9*H*-purine-9-carboxylate (Example 12)**

A solution of *tert*-butyl 2-chloro-6-(2-furyl)-9*H*-purine-9-carboxylate (320 mg, 1 mmol) in 1-methyl-2-pyrrolidinone (2 mL) was treated with NaSMe (140 mg, 2 mmol), heated at 110 °C for 48 h, cooled, poured into water, extracted with $CHCl_3$ and the combined organic phase dried ($MgSO_4$) and concentrated *in vacuo*. The resulting crude intermediate was dissolved in THF (2 mL), treated with di-*tert*-butyl dicarbonate (218 mg, 1 mmol), Et_3N (139 μL, 1 mmol) and a catalytic amount of DMAP, stirred for 1 h, poured into water, extracted with $CHCl_3$ and the combined organic phase dried ($MgSO_4$), concentrated *in vacuo* and purified by chromatography [SiO_2; Heptane : EtOAc (4:1)] to give the *title compound* (106 mg, 32 %) as a cream solid.

Method F

6-(2-Furyl)-2-thiomethoxy-1*H*-purine (Example 13)

A solution of *tert*-butyl 6-(2-furyl)-2-thiomethoxy-9*H*-purine-9-carboxylate (75 mg, 0.23 mmol) in dioxan (0.5 mL) was treated with HCl in dioxan (4-M, 0.5 mL, 2 mmol), stirred at room temperature for 30 min, poured into sat. $NaHCO_3$, extracted with EtOAc and the combined organic phase dried ($MgSO_4$), concentrated *in vacuo* and the resulting cream solid triturated with EtOAc and filtered to give the *title compound* (46 mg, 86 %) as a cream solid.

Method G

2-Amino-N-*n*-butyl-6-(2-furyl)-9*H*-purine-9-carboxamide (Example 36)

A solution of 6-(2-furyl)-1*H*-purine-2-amine (0.050 g, 0.25 mmol) and DMAP (5 mg, 0.03 mmol) in anhydrous DMF (1 mL) was treated with *n*-butylisocyanate (0.029 g, 0.30 mmol), shaken at 65 °C for 1 h, poured onto ice-cold water (10 mL), cooled at 0 °C for 15 min and the resulting precipitate filtered and dried *in vacuo* over P_2O_5 to give the *title compound* (74 mg, 100 %) as a white solid.

Method H

9-(4-*tert*-Butylphenylsulphonyl)-6-(2-furyl)-9*H*-purine-2-amine (Example 27)

A solution of 6-(2-furyl)-1*H*-purine-2-amine (100 mg, 0.5 mmol) in THF (2 mL) and DMF (0.5 mL) was treated with 4-*tert*-butylbenzenesulphonyl chloride (116 mg, 0.5 mmol) and

Et_3N (69 μL, 0.6 mmol), heated at 60 °C for 2 h, cooled, diluted with water and the resulting solid filtered and washed with EtOAc to give the *title compound* (106 mg, 53 %) as a cream solid.

Method I

6-(2-Furyl)-9-(1-pyrrolidinylcarbonyl)-9*H*-purine-2-amine (Example 29)

A solution of pyrrolidine (50 mL, 0.6 mmol) in toluene (2 mL) was treated with a solution of phosgene in toluene (0.31 mL, 1.93-M, 0.6 mmol), heated at 80 °C for 30 mins, cooled and concentrated *in vacuo*. The residue was dissolved in THF (2 mL) and added to a solution of 6-(2-furyl)-1*H*-purine-2-amine (100 mg, 0.5 mmol) and Et_3N (83 mL, 0.6 mmol) in DMF (0.5 mL), stirred at 60 °C for 16 h, poured into water and extracted with EtOAc. The combined organic phase was dried ($MgSO_4$), concentrated *in vacuo* and the resulting solid triturated with EtOAc/heptane and filtered to give the *title compound* (92 mg, 62 %) as a cream solid.

Method K

9-(2-Cyclohexylethyl)-6-(2-furyl)-9*H*-purine-2-amine (Example 54)

A solution of 6-(2-furyl)-1*H*-purine-2-amine (25 mg, 0.12 mmol) in anhydrous DMF (0.5 mL) and anhydrous THF (2 mL) was treated with triphenylphosphine polystyrene (65 mg, 0.25 mmol) and 2-cyclohexylethanol (35 mg, 0.25 mmol), shaken at room temperature for 10 min, treated with di-*tert*-butyl azodicarboxylate (0.058 g, 0.25 mmol), shaken at room temperature for 16 h, filtered and concentrated *in vacuo*. The resulting oil was dissolved in CH_2Cl_2 (2 mL) and TFA (1 mL), shaken for 2 h and concentrated *in vacuo*. The resulting oil was dissolved in CH_2Cl_2 (3 mL), shaken with 1-M aq HCl (1 mL) for 15 min and the organic phase concentrated *in vacuo* and purified by chromatography (SiO_2; EtOAc) to give the *title compound* (22 mg, 57 %) as a yellow solid.

Method L

Isopropyl 2-dimethylamino-6-(2-furyl)-9*H*-purine-9-acetate (Example 70)

A solution of ethyl 2-chloro-6-(2-furyl)-9*H*-purine-9-acetate (100 mg, 0.33 mol) in isopropanol (1 mL) was treated with 40% dimethylamine in water, refluxed for 2 h, cooled, poured into water, extracted with EtOAc and the combined organic phase dried ($MgSO_4$),

concentrated *in vacuo* and purified by chromatography [SiO_2; Heptane : EtOAc, (1:1)] to give the *title compound* (20 mg, 19 %) as a white solid.

Method M

2-Amino-6-(2-furyl)-9*H*-purine-9-acetic acid (Example 73)

A solution of ethyl 2-amino-6-(2-furyl)-9*H*-purine-9-acetate (200 mg, 0.69 mmol) in MeOH (3 mL) was treated with aq NaOH (2-M, 0.5 mL, 1 mmol), refluxed for 10 min, cooled, diluted with water, acidified with aq HCl (1-M) and the resulting solid filtered, washed with water and dried to give the *title compound* (129 mg, 72 %) as a yellow solid.

Method N

6-(2-Furyl)-2-methoxy-9-(2-trimethylsilylethoxymethyl)-9*H*-purine (Example 74)

A solution of 2-chloro-6-(2-furyl)-9-(2-trimethylsilylethoxymethyl)-9*H*-purine (0.35g, 1.0 mmol) and sodium methoxide (60 mg, 1.1 mmol) in methanol (5 mL) was refluxed for 23 h, cooled, concentrated *in vacuo* and the resulting solid treated with water, acidified to pH 4 with acetic acid, extracted with EtOAc, dried (Na_2SO_4), concentrated *in vacuo* and purified by chromatography [SiO_2; EtOAc:heptane (1:1)]to give the title compound (232 mg, 67 %) as a pale yellow solid.

Method O

6-(5-Chloro-2-thienyl)-1*H*-purine-2-amine (Example 129)

A solution of N,9-bis(tetrahydropyran-2-yl)-6-chloro-9*H*-purine-2-amine (1.01 g, 3.0 mmol) and $Pd(PPh_3)_4$ (250 mg, 10 mol%) in THF (20 mL) was treated with 5-chloro-2-thiopheneboronic acid (536 mg, 3.3 mmol) and saturated aq $NaHCO_3$ (10 mL), refluxed for 1 h, diluted with H_2O, extracted with EtOAc and the organic phase dried ($MgSO_4$), concentrated *in vacuo* and purified by chromatography [SiO_2; heptane: EtOAc (2:1)] to give the coupled product as a pale-yellow syrup. This material was dissolved in MeOH (20 mL) and stirred vigorously at 50 °C with Amberlyst-15 resin for 1 hr. The resin was filtered off, washed once with MeOH, and then re-suspended in fresh MeOH (20 mL), treated with NH_3 solution (2-M in MeOH , 2.0 mL), stirred vigorously at 50 °C for 1 h, filtered, the resin washed twice with MeOH, and the filtrate concentrated *in vacuo* to give *the title compound* (230 mg, 36 %) as a yellow solid.

Method P

2-Amino-6-(2-furyl)-N-phenyl-9*H*-purine-9-acetamide (Example 85)

A solution of 2-amino-6-(2-furyl)-9*H*-purine-9-acetic acid (129 mg, 0.5 mmol) in DCM (2 mL) was treated with EDCI (96 mg, 0.5 mmol) and aniline (45 µL, 0.5 mmol), stirred at room temperature for 3 days, diluted with DCM, washed with water, dried ($MgSO_4$), concentrated *in vacuo* and purified by chromatography (SiO_2; 1% MeOH in EtOAc) to give the *title compound* (51 mg, 31 %) as a white solid.

Method Q

2-Amino-N-benzyl-6-(2-furyl)-9*H*-purine-9-acetamide (Example 86)

A suspension of 2-amino-6-(2-furyl)-9*H*-purine-9-acetic acid (129 mg, 0.5 mmol) in DMF (2 mL) was treated with carbonyl diimidazole (81 mg, 0.5 mmol), stirred at room temperature for 1 h, treated with benzylamine (55 µL, 0.5 mmol), stirred at room temperature for 2 h, diluted with water, filtered and dried to give the *title compound* (115 mg, 66 %) as a white solid.

Method R

6-(5-Methyl-[1,2,4]-oxadiazol-3-yl)-1*H*-purine-2-amine (Example 90)

A mixture of hydroxylamine hydrochloride (847 mg, 12.2 mmol) and potassium hydroxide (855 mg, 15.3 mmol) in EtOH was refluxed for 30 min, cooled, filtered to remove solid potassium chloride, treated with 9-(2-tetrahydropyranyl)-2-(2-tetrahydropyranylamino)-9*H*-purine-6-carbonitrile (1.0 g, 3.05 mmol), refluxed for 1 h, concentrated *in vacuo* and the residue triturated with Et_2O to give a pale yellow solid (1.12 g). A portion (600 mg) of this material was stirred with N,N-dimethylacetamide dimethylacetal at 100 °C for 1 h, concentrated *in vacuo* and purified by chromatography (SiO_2; EtOAc) to give a pale yellow syrup (212 mg). This material was dissolved in MeOH and stirred vigorously at 50 °C with Amberlyst-15 resin for 1 hr and the resin filtered off and washed once with MeOH. The resin was then re-suspended in fresh MeOH, treated with a solution of NH_3 in MeOH (2-M, 2 mL), stirred vigorously at 60 °C for 1 h, filtered, washed twice with MeOH, and the filtrate concentrated *in vacuo* to give the *title compound* (73 mg, 21 %) as a pale grey solid.

Method S

6-(2-Furyl)-9-(2-(2-pyridyl)ethyl)-9*H*-purine-2-amine (Example 102)

A mixture of 6-(2-furyl)-1*H*-purine-2-amine (50 mg, 0.25 mmol) and triphenylphosphine polystyrene (0.21 g, 0.62 mmol) in anhydrous DMF (0.5 mL) and anhydrous THF (2 mL) was treated with 2-(2-hydroxyethyl)pyridine (61 mg, 0.50 mmol), shaken at room temperature for 10 min, treated with di-*tert*-butyl azodicarboxylate (0.115 g, 0.50 mmol), shaken for 16 h, filtered and the filtrate concentrated *in vacuo* and purified by chromatography [SiO_2; CH_2Cl_2-MeOH (100 : 5)] to give the *title compound* (36 mg, 47 %) as an off-white solid.

Method T

Benzyl 2-amino-6-(2-furyl)-9*H*-purine-9-carboxylate (Example 106)

A solution of 6-(2-furyl)-1*H*-purine-2-amine (0.201 g, 1.0 mmol), benzyl chloroformate (0.20 mL, 1.1 mmol), triethylamine (0.21 mL, 1.5 mmol) and DMAP (15 mg) in DMF (10 mL) was stirred at room temperature for 4 h, poured into cold water, cooled for 30 min at 5 °C and the resulting solid filtered and dried at 40 °C to give the *title compound* (0.327 g, 98 %) as a cream solid.

Method X

Ethyl 2,6-dichloro-9*H*-purine-9-acetate

An ice-cold solution of 2,6-dichloro-1*H*-purine (1.89 g, 10 mmol) in THF (10 mL) was treated with NaH (60% in oil, 440 mg, 11 mmol), stirred at 0 °C for 30 min, treated with ethyl bromoacetate (1.22 mL, 11 mmol), stirred at room temperature for 2 h, poured into sat. $NaHCO_3$, extracted with EtOAc and the combined organic phase dried ($MgSO_4$), concentrated *in vacuo* and purified by chromatography [SiO_2; Heptane : EtOAc (2:1)] to give the *title compound* (1.46 g, 53 %) as a white solid: IR ν_{max} (Nujol)/cm^{-1} 3106, 2985, 2955, 2924, 2854, 1734, 1598, 1557, 1374, 1341, 1298, 1156 and 884; NMR δ_H (400 MHz, $CDCl_3$) 1.31 (3H, t, *J* 7.0 Hz), 4.29 (2H, q, *J* 7.0 Hz), 5.01 (2H, s), 8.17 (1H, s).

Method Y

6-(5-Methyl-2-furyl)-1*H*-purine-2-amine (Example 195)

A solution of N,9-bis(tetrahydropyran-2-yl)-4-chloro-9*H*-purine-2-amine (338 mg, 1 mmol), 5-methyl-2-(tributylstannyl)furan and $Pd(PPh_3)_2Cl_2$ (70 mg) in DMF was heated at 80 °C for 5 h, cooled, diluted with H_2O, extracted with EtOAc and the organic phase dried ($MgSO_4$), concentrated *in vacuo* and purified by chromatography [SiO_2; heptane:EtOAc

(6:1)] to give the coupled product. This material was dissolved in MeOH (20 mL), stirred vigorously at 50 °C with Amberlyst-15 resin for 1 h then the resin was filtered off and washed once with MeOH. The resin was then re-suspended in fresh MeOH (20 mL), treated with NH_3 solution (2-M in MeOH, 1.0 mL) stirred vigorously at 50 °C for 1 h, filtered, washed twice with MeOH, and the filtrate concentrated *in vacuo* to give *the title compound* (45 mg, 21 %) as a pale-yellow solid.

Method Z

6-(5-Methyl-2-pyridinyl)-1*H*-purine-2-amine (Example 241)

A stirred solution of 5-methyl-2-pyridylzinc bromide (0.5 M, 8 mL, 4 mmol) was treated with $Pd(PPh_3)_4$ (250 mg) and N,9-bis(tetrahydropyran-2-yl)-4-chloro-9*H*-purine-2-amine (676 mg, 2 mmol), refluxed for 1 h, cooled, diluted with H_2O, extracted with EtOAc, the extracts dried ($MgSO_4$), concentrated *in vacuo* and purified by chromatography [SiO_2; heptane: EtOAc (1:2), then EtOAc] to give the coupled product (498 mg). A portion of this material (100 mg) was suspended in MeOH, treated with a solution of HCl (4-M in dioxan, 0.5 mL), stirred for 17 h, diluted with Et_2O and filtered to afford the *title compound* (37 mg, 35 %) as a yellow solid.

Method AA

Methyl 3-(2-amino-6-(2-furyl)-9*H*-purine-9-yl)propionate (Example 151)

A solution of 6-(2-furyl)-1*H*-purine-2-amine (0.70 g, 3.48 mmol) and K_2CO_3 (0.48g, 3.48 mmol) in DMF (20 mL) was treated with methyl acrylate (3.3 g, 38.3 mmol), stirred for 40 h, diluted with EtOAc, filtered to remove polymeric acrylate, washed with water, dried ($MgSO_4$), concentrated *in vacuo* and purified by chromatography [SiO_2: EtOAc-heptane, (4:1)] to give the *title compound* (114 mg, 11 %) as a white solid.

Method AB

6-(2-Furyl)-2-methyl-1*H*-purine (Example 153)

A solution of 2-chloro-6-(2-furyl)-1*H*-purine (1.1 g, 5.0 mmol) and $Pd(PPh_3)_4$ (0.58 g, 0.5 mmol) in 1,2-dichloroethane (50 mL) at room temperature was treated dropwise with trimethylaluminium (3.3 mL, 2.0 M hexane), refluxed for 16 h, treated with water (100 mL) then EtOAc (100 mL), stirred for 60 h and filtered through glass microfibre paper. The organic phase was separated, dried ($MgSO_4$), concentrated *in vacuo* and the resulting solid

recrystallised from 90 % ethanol to give the *title compound* (0.30 g, 30 %) as a pale brown solid.

Method AC

6-(2-Furyl)-9-(3-nitrobenzyl)-*9H*-purine-2-amine (Example 158)

An ice-cold solution of 6-(2-furyl)-1*H*-purine-2-amine (201 mg, 1 mmol) in DMF (6 mL) was treated with NaH (44 mg, 1.1 mmol), stirred for 30 min, treated with 3-nitrobenzyl bromide (238 mg, 1.1 mmol), stirred at room temperature for 3 h, treated with water and the resulting solid filtered, suspended in methanol, stirred for 30 min, and filtered to give the *title compound* (201 mg, 60 %) as a yellow solid.

Method AD

9-(3-Aminobenzyl)-6-(2-furyl)-*9H*-purine-2-amine (Example 192)

A solution of 6-(2-furyl)-9-(3-nitrobenzyl)-9*H*-purine-2-amine (400 mg, 1.12 mmol) in EtOH (10 mL) at 50 °C was treated with a solution of $SnCl_2.2H_2O$ (808 mg, 3.58 mmol) in conc.HCl (1.8 mL, 21.42 mmol), stirred for 1.5 h, cooled, basified to pH 10 (1-M NaOH) and the resulting solid was filtered, suspended in methanol, treated with HCl in dioxane (4-M, 2 mL), diluted with diethyl ether and filtered to give the *title compound* (90 mg, 22 %) as a yellow solid.

Method AF

9-(3-Acetamidobenzyl)-6-(2-furyl)-*9H*-purine-2-amine (Example 205)

An ice-cold solution of 9-(3-aminobenzyl)-6-(2-furyl)-9*H*-purine-2-amine (145 mg, 0.48 mmol) in pyridine (3 mL) was treated with acetyl chloride (38 µL, 0.53 mmol), stirred for 1 h, quenched with water, extracted with EtOAc, dried ($MgSO_4$), concentrated *in vacuo* and purified by chromatography (SiO_2: Hexane:EtOAc (1:3) to EtOAc:MeOH (99:1)) to give the *title compound* (71 mg, 43 %) as a yellow solid.

Method AG

6-(2-Furyl)-9-(3-hydroxybenzyl)-*9H*-purine-2-amine (Example 221)

An ice-cold solution of 6-(2-furyl)-9-(3-methoxybenzyl)-9*H*-purine-2-amine (160 mg, 0.5 mmol) in DCM (3 mL) was treated with BBr_3 (1 mL, 1-M in DCM, 1 mmol), stirred at 0 °C for 3 h, treated with more BBr_3 (2 mL, 1-M in DCM, 2 mmol), stirred for 16 h, treated with

NH_4Cl solution, extracted with EtOAc, dried ($MgSO_4$), concentrated *in vacuo*, triturated with ether and filtered. The resulting solid was suspended in aqueous sodium bicarbonate, extracted with ether, the aqueous phase was acidified to pH 7 and the resulting solid filtered, suspended in methanol, treated with HCl in dioxane (4-M, 2 mL), diluted with ether and filtered to give the *title compound* (82 mg, 48 %) as a yellow solid.

Method AH

6-(2-Furyl)-9-(4-methylbenzyl)-9*H*-purine-2-carbonitrile (Example 173)

A solution of 2-chloro-6-(2-furyl)-9-(4-methylbenzyl)-9*H*-purine (0.10 g, 0.31 mmol) and Et_4NCN (0.10 g, 0.62 mmol) in acetonitrile (10 mL) was treated with DABCO (0.07 g, 0.62 mmol), stirred for 48 h, concentrated *in vacuo*, dissolved in chloroform (50 mL), washed with water (2 x 30 mL), dried ($MgSO_4$) and concentrated *in vacuo* to give the title compound (56 mg, 57 %) as a pale green solid.

Method AI

2-Amino-9-(2-fluorobenzyl)-9*H*-purine-6-thiocarboxamide

A suspension of 2-amino-9-(2-fluorobenzyl)-9*H*-purine-6-carbonitrile (680 mg, 1.85 mmol) in isopropanol (50 mL) was treated with H_2S gas for 15 min, then treated with Et_3N (0.51 mL, 3.7 mmol), heated at 50 °C for 1 h, concentrated *in vacuo*, diluted with Et_2O and filtered to give the *title compound* (757 mg, 100 %) as a yellow solid; NMR δ_H (400 MHz, DMSO) 5.36 (2H, s), 6.66 (2H, br s), 7.06-7.43 (4H, m), 8.15 (1H, s), 9.81 (1H, br s) and 10.22 (1H, br s).

Method AJ

9-(2-Fluorobenzyl)-6-(4-methyl-2-thiazolyl)-9*H*-purine-2-amine (Example 244)

A stirred suspension of 2-amino-9-(2-fluorobenzyl)-9*H*-purine-6-thiocarboxamide (200 mg, 0.5 mmol) and chloroacetone (1 mL) in isopropanol (5 mL) was heated at 80 °C for 2 h, filtered and the filtrate concentrated *in vacuo* and purified by chromatography [SiO_2; EtOAc] to give the *title compound* (26 mg, 12 %) as a yellow solid.

Method AK

2-Amino-N-benzyl-6-(2-furyl)-9*H*-purine-9-acetimidamide (Example 245)

A solution of 2-amino-6-(2-furyl)-9*H*-purine-9-acetonitrile (0.24 g, 1.0 mmol) in dry toluene (5 mL) under argon was treated with *N*-benzylmethylchloroaluminium amide in toluene (1.2-M, 5 mL, 6.0 mmol), heated to 80 °C for 3 h, stirred at room temperature for 16 h, poured into a slurry of SiO_2 (5 g) and $CHCl_3$ (25 mL) and stirred for 5 min. The slurry was filtered, the filtrate concentrated *in vacuo* and the resulting solid purified by chromatography [SiO_2; CH_2Cl_2-MeOH-NH_4OH (100:10:1)] to give the *title compound* (0.16 g, 46 %) as a white solid.

Method AL

(2S)-9-(2-Amino-1-propyl)-6-(2-furyl)-9*H*-purine-2-amine (Example 251)

A solution of the 6-(2-furyl)-1*H*-purine-2-amine (0.1 g, 0.5 mmol) in DMSO was treated with freshly ground KOH (112 mg, 2 mmol), shaken for 10 min, treated with N-butoxycarbonyl-L-alaninol mesylate (316 mg, 3 mmol), shaken at 40 °C for a further 17 h, treated with di-tert-butyl dicarbonate (655 mg, 3 mmol), shaken for a further 30 min, diluted with H_2O, extracted with EtOAc and the extracts dried ($MgSO_4$), concentrated *in vacuo* and purified by chromatography [SiO_2; (EtOAc)]. The resulting gelatinous solid was dissolved in MeOH (3 mL), treated with HCl solution (4-M in dioxan, 0.5 mL), stirred for 17 h, diluted with Et_2O and filtered to give the *title compound* (67 mg, 45 %) as a yellow solid.

Method AM

9-(2-Fluorobenzyl)-6-(1*H*-pyrazol-3-yl)-9*H*-purine-2-amine (Example 262)

A mixture of 1-(2-trimethylsilylethoxymethyl)-1*H*-pyrazole-5-boronic acid, $Pd(PPh_3)_4$ and saturated aqueous $NaHCO_3$ in THF was refluxed with vigorous stirring for 1 h, cooled, diluted with EtOAc, washed with water, dried ($MgSO_4$), concentrated *in vacuo* and purified by chromatography [SiO_2; isohexane:EtOAc (2:1)] to give the coupled product. This material was dissolved in MeOH (2 mL), treated with HCl solution (4-M in dioxan, 2 mL), stirred for 17 h, diluted with Et_2O and filtered to give the *title compound* (161 mg, 46 %) as a cream solid.

Method AO

9-(3-Aminobenzyl)-6-(5-methyl-1*H*-pyrazol-3-yl)-1*H*-purine-2-amine (Example 265)

A mixture of 6-chloro-9-(3-nitrobenzyl)-1*H*-purine-2-amine (304 mg, 1 mmol), 1-((2-trimethylsilylethoxy)methyl)-1*H*-pyrazole-5-boronic acid (2.4 mmol), $Pd(PPh_3)_4$ (110 mg, 10 mol%) and saturated $NaHCO_3$ (5 mL) in THF (20 mL) was refluxed for 3 h, treated with more $Pd(PPh_3)_4$ (50 mg, 5 mol%) and refluxed for a further 17 h. The mixture was diluted with H_2O (50 mL), extracted with EtOAc (2 x 25 mL), dried ($MgSO_4$), concentrated *in vacuo* and purified by chromatography [SiO_2; iso-hexane:EtOAc (1:2)] to afford a brown gum. This material was treated with MeOH (10 mL) and 10% Pd/C, stirred under an atmosphere of hydrogen for 30 min, filtered through a pad of Celite and concentrated *in vacuo*. The resulting gum was dissolved in MeOH (5 mL), treated with HCl solution (4-M in dioxane, 1 mL), stirred for 17 h and the filtered to give the *title compound* (25 mg, 7 %) as a grey solid.

Method AP

2-Allyloxymethyl-6-bromomethylpyridine

A solution of 6-allyloxymethylpyridine-2-methanol (1.56 g, 8.72 mmol) and triphenylphosphine (2.74 g, 10.5 mmol) in dichloromethane (40 mL) at 0 °C was treated portionwise with CBr_4 (4.34 g, 13.1 mmol), stirred for 1 h, concentrated *in vacuo* and purified by chromatography [SiO_2; isohexane:EtOAc (3:1)] to give the *title compound* (1.99 g, 94 %) as a colourless oil: NMR δ_H (400 MHz, $CDCl_3$) 7.71 (1H, t, *J* 7.5 Hz), 7.40 (1H, d, *J* 7.5 Hz), 7.34 (1H, d, *J* 7.5 Hz), 6.03 - 5.93 (1H, m), 5.37 - 5.32 (1H, m), 5.26 - 5.22 (1H, m), 4.64 (2H, s), 4.54 (2H, s) and 4.14 - 4.12 (2H, m).

Method AQ

6-(2-Furyl)-9-(5-indolylmethyl)-1*H*-purine-2-amine (Example 272)

A solution of *tert*-butyl 5-(2-amino-6-(2-furyl)-1*H*-purine-9-ylmethyl)indole-1-carboxylate (352 mg, 0.82 mmol) in MeOH (3 mL) was treated with NaOMe (221 mg, 4.1 mmol), refluxed for 17 h, diluted with water (10 mL) and filtered to give the *title compound* (168 mg, 62 %) as a brown powder.

Method AR

***tert*-Butyl 5-bromomethylindole-1-carboxylate**

A solution of *tert*-butyl 5-methylindole-1-carboxylate (2.07g, 9.0 mmol) in CCl_4 (50 mL) was treated with N-bromosuccinimide (1.60 g, 9.0 mmol) and benzoyl peroxide (75 % in H_2O, 276 mg, 9.0 mmol),refluxed for 3 h, concentrated *in vacuo* and purified by chromatography [SiO_2; iso-hexane:EtOAc (20:1)] to give the title compound (1.67 g, 60 %) as an orange oil: NMR δ_H (400 MHz, $CDCl_3$) 8.11 (1H, br d, *J* 8.5 Hz), 6.72 (1H, d, *J* 3.5 Hz), 7.59 (1H, d, *J* 1.5 Hz), 7.35 (1H, dd, *J* 8.5, 1.5 Hz), 6.54 (1H, d, *J* 4.0 Hz), 4.64 (2H, s) and 1.67 (9H, s).

Method AS

6-Allyloxymethyl-2-pyridinemethanol

A solution of 2,6-pyridinedimethanol (5.0 g, 35.9 mmol) in DMF (30 mL) at 0 °C was treated with sodium hydride (1.44 g, 35.9 mmol), stirred for 30 min, treated with allyl bromide (3.42 ml, 39.5 mmol), stirred for 16 h at room temperature, poured into water (150 mL), extracted with EtOAc (3 x 30 mL) and the combined organic phase was dried ($MgSO_4$), concentrated *in vacuo* and purified by chromatography [SiO_2; isohexane:EtOAc (3:1 to 1:1)] to give the *title compound* (1.56 g, 24 %) as a colourless oil: NMR δ_H (400 MHz, $CDCl_3$) 7.69 (1H, t, *J* 7.5 Hz), 7.37 (1H, d, *J* 7.5 Hz), 7.13 (1H, d, *J* 7.5 Hz), 6.04 – 5.93 (1H, m), 5.38 – 5.21 (2H, m), 4.74 (2H, d, *J* 5.0 Hz), 4.65 (2H, s), 4.15 – 4.09 (2H, m) and 3.76 (1H, t, *J* 5.0 Hz).

The following intermediates were synthesised by the methods described above.

6-Chloro-9-(3-nitrobenzyl)-1*H*-purine-2-amine

This was prepared from 6-chloro-1*H*-purine-2-amine by method AC: NMR δ_H (400 MHz, DMSO) 8.82 (1H, s), 8.20 - 8.13 (2H, m), 7.73 - 7.61 (2H, m), 6.94 (2H, br s) and 5.45 (2H, s).

6-Chloro-9-(3-methoxybenzyl)-1*H*-purine-2-amine

This was prepared from 6-chloro-1*H*-purine-2-amine by method AC: NMR δ_H (400 MHz, DMSO) 8.22 (1H, s), 7.25 (1H, t, *J* 7.5 Hz), 6.91 (2H, br s), 6.89 - 6.84 (2H, m), 6.79 (1H, d, *J* 7.5 Hz), 5.25 (2H, s) and 3.72 (3H, s).

6-Chloro-9-(2-fluorobenzyl)-1*H*-purine-2-amine

This was prepared from 6-chloro-1*H*-purine-2-amine by method AC: IR (Nujol)/cm^{-1} 3488, 3379, 2926, 1569, 1568, 1465, 1378, 918 and 756; NMR δ_H (400 MHz, DMSO) 8.17 (1H, s), 7.43 - 7.33 (1H, m), 7.29 - 7.21 (1H, m), 7.20 - 7.07 (2H, m), 6.91 (2H, br s) and 5.35 (2H, s).

2,6-Dichloro-9-(2-trimethylsilylethoxymethyl)-9*H*-purine

This was prepared from 2,6-dichloro-1*H*-purine by method X to give the *title compound* (1.77 g, 78 %) as a pale yellow oil; NMR δ_H (400 MHz, $CDCl_3$) 0.00 (9H, s), 0.94 (2H, t, *J* 8.3 Hz), 3.63 (2H, t, *J* 8.3 Hz), 5.63 (2H, s) and 8.25 (1H, s).

***tert*-Butyl 2-amino-6-chloro-9*H*-purine-9-carboxylate**

This was prepared from 6-chloro-1*H*-purine-2-amine and di-tert-butyl dicarbonate by method G to give *title compound* (862 mg, 64 %) as a white solid; mp >350 °C; IR ν_{max} (Nujol)/cm^{-1} 3521, 3304, 3193, 3129, 2955, 2925, 2854, 1772, 1730, 1632, 1561, 1511, 1367, 1308 and 1155; NMR δ_H (400 MHz, DMSO) 1.58 (9H, s), 7.06 (2H, s), 8.36 (1H, s). Anal. Calcd for $C_{10}H_{12}ClN_5O_2$: C, 44.54; H, 4.48; N, 25.96. Found: C, 44.27; H, 4.54; N, 25.88.

Isobutyl 2-amino-6-chloro-9*H*-purine-9-carboxylate

This was prepared from 6-chloro-1*H*-purine-2-amine by method T to give the *title compound* (528 mg, 98 %) as a white solid; IR ν_{max} (Nujol)/cm^{-1} 3519, 3310, 3201, 3124, 2955, 2925, 2854, 1778, 1624, 1560, 1469, 1367, 1301 and 1186; NMR δ_H (400 MHz, $CDCl_3$) 1.07 (6H, d, *J* 7.0 Hz), 2.10 – 2.25 (1H, m), 4.29 (2H, d, *J* 6.6 Hz), 5.48 (2H, s) and 8.25 (1H, s).

2-Amino-N-*tert*-butyl-6-chloro-9*H*-purine-9-carboxamide

This was prepared from 6-chloro-1*H*-purine-2-amine by method G to give the *title compound* (286 mg, 53 %) as a white solid; IR ν_{max} (Nujol)/cm^{-1} 3501, 3299, 3190, 3156, 2993, 2955, 2924, 2854, 1742, 1627, 1563, 1506 and 1369; NMR δ_H (400 MHz, $CDCl_3$) 1.46 (9H, s), 7.40 (1H, s), 8.45 (1H, s) and 8.57 (1H, s).

Phenyl 2-amino-6-chloro-9*H*-purine-9-carboxylate

This was prepared from 6-chloro-1*H*-purine-2-amine by method T to give the crude *title compound* (625 mg, 100 %) as a white solid.

2-Amino-6-chloro-N-phenyl-9*H*-purine-9-carboxamide

This was prepared from 6-chloro-1*H*-purine-2-amine by method G to give the *title compound* (424 mg, 73 %) as a white solid; IR ν_{max} (Nujol)/cm^{-1} 3506, 3333, 3292, 3191, 3140, 2925, 2854, 1740, 1653, 1637, 1562, 1481 and 1367; NMR δ_H (400 MHz, DMSO) 7.20 (1H, m), 7.44 – 7.50 (2H, m), 7.61 (2H, s), 7.75 – 7.81 (2H, m), 8.60 (1H, s), 10.86 (1H, s).

2-Amino-6-chloro-N-ethyl-9*H*-purine-9-carboxamide

This was prepared from 6-chloro-1*H*-purine-2-amine by method G to give the *title compound* (449 mg, 93 %) as a white solid; IR ν_{max} (Nujol)/cm^{-1} 3404, 3324, 3304, 3222, 3125, 2925, 2854, 1730, 1646, 1614, 1547, 1514, 1484, 1460, 1370 and 1228; NMR δ_H (400 MHz, DMSO) 1.25 (3H, t, *J* 7.0 Hz), 3.37 – 3.46 (2H, m), 7.37 (2H, s), 8.47 (1H, s), 8.64 (1H, t, *J* 5.5 Hz).

2-Amino-6-chloro-N-cyclohexyl-9*H*-purine-9-carboxamide

This was prepared from 6-chloro-1*H*-purine-2-amine by method G to give the *title compound* (1.66 g, 53 %) as a white solid; NMR δ_H (400 MHz, $CDCl_3$) 1.29 – 1.41 (1H, m), 1.42 – 1.54 (4H, m), 1.60 – 1.70 (1H, m), 1.74 – 1.86 (2H, m), 2.00 – 2.10 (2H, m), 3.88 – 4.00 (1H, m), 8.13 (1H, d, *J* 6.7 Hz) and 8.81 (1H, s).

2-Amino-9-(2-fluorobenzyl)-9*H*-purine-6-carbonitrile

This was prepared from 6-chloro-9-(2-fluorobenzyl)-9*H*-purine-2-amine by method AH to give the *title compound* (450 mg, 84 %) as a cream solid; NMR δ_H (400 MHz, DMSO) 5.39 (2H, s), 7.12 (2H, br s), 7.1207.45 (4H, m) and 8.41 (1H, s).

Table 2 – Analytical data

HPLC is carried out using the following conditions: Column. Waters Xterra RP 18 (50 x 4.6 mm); Particle size 5 μM; Mobile phase MeOH : 10 mM aq NH_4OAc (pH 7 buffer); Gradient 50:50 isocratic for 1 min. then linear gradient 50:50 to 80:20 over 5 min. then

80:20 isocratic for 3 min.; Flow rate 2.0 mL/min.; Detection wavelength λ = 230 nM. Retention times are provided in Table 2.

Alternatively HPLC is carried out using the following conditions: Column. Supelcosil ABZ+ (170 x 4.6 mm), particle size 5 μM, mobile phase MeOH : 10 mM aq NH_4OAc (80:20), (80:50), (70:30), (60:40) or (50:20) (specified in Table 2), flow rate 1.0 mL/min., detection wavelength λ = 230 nM. Retention times and mobile phase ratio are provided in Table 2.

Example	Method	Yield(%)	Data
1	A	70	mp 105.8 – 106.2 °C; IR ν_{max} (Nujol)/cm^{-1} 3552, 3146, 3892, 3082, 2954, 2924, 2854, 1589, 1566, 1484, 1370, 1319, 1250, 1219 1162, 1095 and 841; NMR δ_H (400 MHz, $CDCl_3$) 0.0 (9H, s), 0.97 (2H, t, *J* 8.3 Hz), 3.66 (2H, t, *J* 8.3 Hz), 5.66 (2H, s), 6.69 – 6.73 (1H, m), 7.82 (1H, s), 7.92 (1H, d, *J* 3.5 Hz) and 8.24 (1H, s); Anal. Calcd for $C_{15}H_{19}ClN_4O_2Si$: C, 51.35; H, 5.46; N, 15.96. Found: C, 51.39; H, 5.45; N, 15.97.
2	B	86	mp 81.5 – 82.2 °C; IR ν_{max} (Nujol)/cm^{-1} 3142, 3109, 2927, 2854, 1601, 1585, 1560, 1465, 1397, 1372 and 1106; NMR δ_H (400 MHz, DMSO) –0.04 (9H, s), 0.95 (2H, t, *J* 8.3 Hz), 3.29 (6H, s), 3.64 (2H, t, *J* 8.3 Hz), 5.51 (2H, s), 6.60 – 6.63 (1H, m), 7.67 (1H, d, *J* 2.5 Hz), 7.73 – 7.74 (1H, m) and 7.87 (1H, s); Anal. Calcd for $C_{17}H_{25}N_5O_2Si$: C, 56.80; H, 7.01; N, 19.47. Found: C, 56.40; H, 6.98; N, 19.27.
3	C	76	IR ν_{max} (Nujol)/cm^{-1} 3132, 3105, 2924, 2854, 1631, 1588, 1563, 1538, 1466, 1401, 1364, 832 and 780; NMR δ_H (400 MHz, DMSO) 3.21 (6H, s), 6.74 - 6.80 (1H, m), 7.77 (1H, d, *J* 2.9 Hz), 8.00 (1H, s), 8.11 (1H, s), 12.76 (1H, s); Anal. Calcd for $C_{11}H_{11}N_5O \cdot 0.1\ H_2O$: C, 57.18; H, 4.89; N, 30.31. Found: C, 57.14; H, 4.81; N, 30.26.
4	B	60	mp 125.9 – 126.4 °C; IR ν_{max} (Nujol)/cm^{-1} 3376, 3327, 2955, 2924, 2854, 1605, 1588, 1537, 1462, 1410, 1367, 1356, 1248, 1094 and 835; NMR δ_H (400 MHz, $CDCl_3$) –0.03 (9H, s), 0.94 (2H, t, *J* 8.3 Hz), 1.21 (1H, d, *J* 6.5 Hz), 3.61 (2H, t, *J* 8.3 Hz), 3.69 (2H, q, *J* 5.6 Hz), 3.90 (2H, q, *J* 4.8 Hz), 5.49 (2H, s), 5.56 – 5.64 (1H, m), 6.62 – 6.66 (1H, m), 7.72 (1H, d, *J* 5.6 Hz) and 7.79 (1H, d, *J* 3.5 Hz).
5	C	86	mp 227.1 – 228.1 °C; IR ν_{max} (Nujol)/cm^{-1} 3428, 3113, 2924, 2854, 1626, 1588, 1576, 1541, 1485, 1457, 1404 and 1371; NMR δ_H (400 MHz, DMSO) 3.41 (2H, q, *J* 6.0 Hz), 3.53 – 3.62 (2H, m), 4.67 – 4.76 (1H, s), 6.74 – 6.79 (1H, m), 6.81 – 6.94 (1H, s), 7.69 – 7.78 (1H, s), 7.98 (1H, s), 8.05 – 8.15 (1H, s) and 12.68 – 12.81 (1H, s).
7	A	48	mp >305 °C dec.; IR ν_{max} (Nujol)/cm^{-1} 3130, 3111, 2925, 2854, 1776, 1755, 1596, 1558, 1467, 1373, 1302, 1288, 1153 and 1135; NMR δ_H (400 MHz, $CDCl_3$) 1.71 (9H, s), 6.63 – 6.72 (1H, m), 7.78 – 7.81 (1H, m), 7.90 (1H, d, *J* 3.5 Hz) and 8.50 (1H, s); Anal. Calcd for $C_{14}H_{13}ClN_4O_3$: C, 52.43; H, 4.09; N, 17.46. Found: C, 52.68; H, 4.08; N, 17.50.

8	A	61	mp >303 °C dec.; IR ν_{max} (Nujol)/cm^{-1} 3101, 3042, 2927, 2854, 1628, 1556, 1448, 1364, 1283, 1166, 1023, 921, 837 and 752; NMR δ_H (400 MHz, DMSO) 6.84 – 6.91 (1H, m), 7.73 – 7.93 (1H, s), 8.13 (1H, s), 8.65 – 8.75 (1H, s) and 13.71 – 13.84 (1H, s); Anal. Calcd for $C_9H_5ClN_4O$: C, 49.00; H, 2.28; N, 25.38. Found: C, 48.78; H, 2.54; N, 25.10.
9	B	64	mp 130.9 – 131.5 °C; IR ν_{max} (Nujol)/cm^{-1} 3526, 3218, 3111, 3070, 2924, 2855, 2733, 1629, 1600, 1560, 1518, 1463, 1375 and 835; NMR δ_H (400 MHz, DMSO) 1.85 – 2.08 (4H, m), 3.42 – 3.66 (3H, m), 3.67 – 3.78 (1H, m), 4.15 – 4.25 (1H, s), 4.81 – 5.09 (1H, s), 6.76 – 6.80 (1H, m), 7.73 – 7.79 (1H, s), 8.01 (1H, s), 8.09 – 8.16 (1H, s) and 12.78 – 12.87 (1H, s); Anal. Calcd for $C_{14}H_{15}N_5O_2$: C, 56.27; H, 5.57; N, 23.44. Found: C, 56.35; H, 5.52; N, 23.18.
10	B	50	mp 206.5 – 207.4 °C; IR ν_{max} (Nujol)/cm^{-1} 3389, 3121, 2924, 2854, 1620, 1590, 1570 1539, 1515, 1465 and 1026; NMR δ_H (400 MHz, DMSO) 3.71 (3H, s), 3.73 (3H, s), 4.49 (2H, d, *J* 5.9 Hz), 6.77 (1H, s), 6.82 – 6.94 (2H, m), 7.06 (1H, s), 7.41 – 7.56 (1H, s), 7.76 (1H, s), 7.98 (1H, s), 8.08 (1H, s) and 12.72 (1H, s); Anal. Calcd for $C_{14}H_{15}N_5O_2 \cdot 0.5\ H_2O$: C, 59.99; H, 5.03; N, 19.43. Found: C, 59.81; H, 4.75; N, 19.07.
11	D	57	mp >230 °C dec.; IR ν_{max} (Nujol)/cm^{-1} 3370, 3134, 3085, 2924, 2854, 2481, 1674, 1616 and 1465; NMR δ_H (400 MHz, DMSO) 6.91 – 6.97 (1H, m), 7.91 (1H, s), 8.25 (1H, s) and 8.71 (1H, s); M/Z 202 (M+H)$^+$.
12	E	32	mp 112.0 – 113.0 °C; IR ν_{max} (Nujol)/cm^{-1} 3113, 2925, 2854, 1775, 1749, 1596, 1460, 1374, 1303, 1139 and 762; NMR δ_H (400 MHz, $CDCl_3$) 1.72 (9H, s), 2.68 (3H, s), 6.63 – 6.68 (1H, m), 7.77 (1H, s), 7.82 (1H, d, *J* 3.6 Hz) and 8.44 (1H, s).
13	F	86	mp 239.5 – 239.9 °C; IR ν_{max} (Nujol)/cm^{-1} 3371, 3044, 2924, 2854, 2703, 1624, 1606, 1584, 1563, 1465, 1307 and 843; NMR δ_H (400 MHz, DMSO) 2.61 (3H, s), 3.93 – 5.45 (2H, s), 6.80 – 6.86 (1H, m), 7.79 (1H, d, *J* 3.6 Hz), 8.07 – 8.09 (1H, m) and 8.53 (1H, s); Anal. Calcd for $C_{10}H_8N_4OS \cdot 0.25\ HCl \cdot 0.5\ H_2O$: C, 48.26; H, 3.71; N, 22.14. Found: C, 47.97; H, 3.72; N, 22.38.
14	A	69	mp 143.2 – 144.1 °C; IR ν_{max} (Nujol)/cm^{-1} 3512, 3394, 3324, 3215, 2955, 2925, 2854, 1769, 1749, 1639, 1587, 1565, 1372, 1298 and 1143; NMR δ_H (400 MHz, $CDCl_3$) 1.68 (9H, s), 5.38 (2H, s), 6.62 – 6.66 (1H, m), 7.71 – 7.73 (1H, m), 7.82 (1H, d, *J* 3.6 Hz) and 8.17 (1H, s); Anal. Calcd for $C_{14}H_{15}N_5O_3$: C, 55.81; H, 5.02; N, 23.23. Found: C, 55.73; H, 5.06; N, 22.84.
15	B	45	mp 185.5 - 186 °C; IR ν_{max} (Nujol)/cm^{-1} 3307, 3141, 3077, 2954, 2924, 2854, 1604, 1542, 1460, 1368, 1247 and 1093; NMR δ_H (400 MHz, $CDCl_3$) 0.00 (9H, s), 0.97 (2H, t, *J* 8.3 Hz), 3.66 (2H, t, *J* 8.3 Hz), 4.19 (2H, t, *J* 5.8 Hz), 5.15 – 5.20 (1H, m), 5.30 – 5.37 (1H, m), 5.54 (2H, s), 5.96 – 6.09 (1H, m), 6.63 – 6.69 (1H, m), 7.74 –7.76 (1H, m), 7.81 (1H, d, *J* 3.5 Hz) and 7.92 (1H, s); Anal. Calcd for $C_{18}H_{25}N_5O_2Si$: C, 58.19; H, 6.78; N, 18.84. Found: C, 58.14; H, 6.80; N, 18.73.
16	B	82	mp 160.1 – 160.8 °C; IR ν_{max} (Nujol)/cm^{-1} 3312, 3143, 3095, 2924, 2854, 1605, 1580, 1552, 1467, 1396, 1367, 1249 and 1092; NMR δ_H (400 MHz, $CDCl_3$) 0.00 (9H, s), 0.99 (2H, t, *J* 8.3 Hz), 3.11 (3H, d, *J* 5.0 Hz), 3.68 (2H, t, *J* 8.3 Hz), 5.21 – 5.29 (1H, s), 5.56 (2H, s), 6.64 – 6.69 (1H, m), 7.74 (1H, s), 7.81 (1H, d, *J* 2.9 Hz) and 7.91 (1H, s); Anal. Calcd for $C_{16}H_{23}N_5O_2Si \cdot 0.2\ H_2O$: C, 55.05; H, 6.76; N, 20.06. Found: C, 55.03; H, 6.60; N, 20.12.
17	C	58	mp 158.7 – 160.1 °C; IR ν_{max} (Nujol)/cm^{-1} 3397, 3528, 3084, 2924, 2854, 1626, 1592, 1536 and 1460; NMR δ_H (400 MHz, DMSO) 3.99 (2H, t, *J* 4.9 Hz), 5.06 (1H, d, *J* 10.2 Hz), 5.21 (1H, d, *J* 18.9 Hz), 5.91 – 6.03 (1H, m), 6.72 – 6.79 (1H, m), 7.12 – 7.20 (1H, s), 7.76 (1H, d, *J* 3.0 Hz), 7.97 (1H, s) and 8.08 (1H, s).

18	C	81	mp 235 - 236 °C dec.; IR ν_{max} (Nujol)/cm^{-1} 3311, 3102, 2924, 2854, 1630, 1587, 1555, 1460, 1400 and 1370; NMR δ_H (400 MHz, DMSO) 2.87 (3H, d, *J* 4.8 Hz), 6.74 – 6.78 (1H, m), 6.90 – 7.01 (1H, s), 7.76 (1H, d, *J* 3.5 Hz), 7.96 (1H, s) and 8.07 (1H, s).
19	A	41	mp 177.6 – 178.2 °C; IR ν_{max} (Nujol)/cm^{-1} 3511, 3406, 3289, 3254, 3164, 3132, 2924, 2854, 1723, 1636, 1600, 1588, 1549, 1467 and 1403; NMR δ_H (400 MHz, DMSO) 1.23 – 1.34 (1H, m), 1.36 – 1.54 (4H, m), 1.58 – 1.68 (1H, m), 1.73 – 1.81 (2H, m), 1.94 – 2.06 (2H, m), 3.73 – 3.84 (1H, m), 6.75 – 6.81 (1H, m), 6.91 (1H, s), 7.76 (1H, d, *J* 2.6 Hz), 7.99 (1H, s), 8.44 (1H, s) and 8.80 (1H, d, *J* 7.5 Hz).
20	A	24	mp >300 °C dec.; IR ν_{max} (Nujol)/cm^{-1} 3368, 3323, 3217, 3140, 3128, 2956, 2925, 2855, 1750, 1641, 1590, 1565, 1468, 1400, 1371, 1274 and 995; NMR δ_H (400 MHz, DMSO) 1.04 (6H, d, *J* 6.3 Hz), 2.03 – 2.19 (1H, m), 4.23 (2H, d, *J* 7.0 Hz), 6.77 – 6.81 (1H, m), 6.85 (2H, s), 7.74 (1H, d, *J* 3.6 Hz), 8.01 (1H, s) and 8.46 (1H, s); Anal. Calcd for $C_{14}H_{15}N_5O_3$: C, 55.81; H, 5.02; N, 23.23. Found: C, 55.84; H, 5.08; N, 23.24.
21	A	73	mp 295 °C dec.; IR ν_{max} (Nujol)/cm^{-1} 3517, 3310, 3269, 3190, 3127, 3082, 2924, 2854, 1734, 1644, 1627, 1603, 1561, 1468 and 1369; NMR δ_H (400 MHz, $CDCl_3$) 1.52 (9H, s), 5.14 (2H, s), 6.62 – 6.70 (1H, m), 7.72 – 7.74 (1H, m), 7.86 (1H, d, *J* 3.5 Hz), 8.47 (1H, s) and 8.59 (1H, s); Anal. Calcd for $C_{14}H_{16}N_6O_3$: C, 55.99; H, 5.37; N, 27.97. Found: C, 55.78; H, 5.35; N, 27.79.
22	A	75	mp >300 °C dec.; IR ν_{max} (Nujol)/cm^{-1} 3499, 3298, 3179, 3117, 2924, 2854, 1790, 1635, 1589, 1373, 1302 and 1193; NMR δ_H (400 MHz, DMSO) 6.78 – 6.82 (1H, m), 6.96 (2H, s), 7.37 – 7.44 (1H, m), 7.44 – 7.50 (2H, m), 7.50 – 7.59 (2H, m), 7.75 – 7.77 (2H, m), 8.02 – 8.03 (1H, m) and 8.65 (1H, s); Anal. Calcd for $C_{16}H_{11}N_5O_3 \cdot 0.25\ H_2O$: C, 58.99; H, 3.56; N, 21.50. Found: C, 58.79; H, 3.32; N, 21.82.
23	A	26	mp >330 °C dec.; IR ν_{max} (Nujol)/cm^{-1} 2924, 2854, 1678, 1613, 1597, 1568, 1355, 1288 and 751; NMR δ_H (400 MHz, DMSO) 6.88 – 6.93 (1H, m), 7.09 (1H, t, *J* 7.4 Hz), 7.41 (2H, t, *J* 8.1 Hz), 7.75 (1H, d, *J* 8.0 Hz), 7.92 (1H, s), 8.24 (1H, s), 8.48 (1H, s), 10.02 (1H, s), 12.35 (1H, s) and 13.42 (1H, s); Anal. Calcd for $C_{16}H_{12}N_6O_2$: C, 60.00; H, 3.78; N, 26.22. Found: C, 59.60; H, 3.75; N, 26.01; M/Z 321 $(M+H)^+$.
24	A	74	mp >280 °C dec.; IR ν_{max} (Nujol)/cm^{-1} 3510, 3292, 3161, 3112, 3053, 2955, 2925, 2854, 1749, 1725, 1645, 1603, 1591, 1567, 1468, 1401, 1372 and 748; NMR δ_H (400 MHz, DMSO) 1.26 (3H, t, *J* 7.2 Hz), 3.38 – 3.49 (2H, m), 6.78 – 6.83 (1H, m), 7.05 (2H, s), 7.77 (1H, d, *J* 3.5 Hz), 8.02 – 8.04 (1H, s), 8.48 (1H, s) and 8.86 (1H, t, *J* 5.5 Hz); Anal. Calcd for $C_{12}H_{12}N_6O_2$: C, 52.94; H, 4.44; N, 30.85. Found: C, 52.94; H, 4.59; N, 30.65.
25	A	21	mp >300 °C dec.; IR ν_{max} (Nujol)/cm^{-1} 3392, 3315, 3193, 3135, 3114, 2924, 2854, 1728, 1641, 1601, 1557, 1509, 1479, 1468, 1405, 1377, 1270, 1240 and 763; NMR δ_H (400 MHz, DMSO) 6.80 – 6.85 (1H, m), 7.23 (1H, t, *J* 7.4 Hz), 7.31 (2H, s), 7.48 (2H, t, *J* 8.0 Hz), 7.78 – 7.85 (3H, m), 8.04 – 8.07 (1H, m), 8.60 (1H, s) and 11.13 (1H, s); Anal. Calcd for $C_{16}H_{12}N_6O_2 \cdot 0.5\ H_2O$: C, 58.36; H, 3.98; N, 25.52. Found: C, 58.38; H, 3.70; N, 25.61.
26	G	84	mp >300 °C dec.; IR ν_{max} (Nujol)/cm^{-1} 3515, 3279, 3187, 3131, 2924, 2854, 1725, 1631, 1600, 1552, 1465, 1400 and 1373; NMR δ_H (400 MHz, DMSO) 4.66 (2H, d, *J* 6.2 Hz), 6.79 – 6.83 (1H, m), 7.06 (2H, s), 7.29 – 7.45 (5H, m), 7.78 (1H, d, *J* 3.5 Hz), 8.03 (1H, s), 8.53 (1H, s) and 9.34 (1H, t, *J* 6.2 Hz); Anal. Calcd for $C_{17}H_{14}N_6O_2 \cdot 0.1\ H_2O$: C, 60.74; H, 4.26; N, 25.00. Found: C, 60.94; H, 4.25; N, 24.67.

27	H	53	mp 238.7 – 239.2 °C; IR ν_{max} (Nujol)/cm^{-1} 3500, 3343, 3221, 3135, 3064, 2925, 2854, 1628, 1593, 1566, 1478, 1383, 1349, 1146 and 1065; NMR δ_H (400 MHz, DMSO) 1.29 (9H, s), 6.65 – 6.79 (1H, m), 7.05 (2H, s), 7.69 (1H, d, *J* 3.5 Hz), 7.73 (2H, d, *J* 9.1 Hz), 7.98 – 8.01 (1H, m), 8.19 (2H, d, *J* 8.6 Hz) and 8.53 (1H, s); Anal. Calcd for $C_{19}H_{19}N_5O_3S \cdot 0.1\ H_2O$: C, 57.16; H, 4.85; N, 17.54. Found: C, 57.04; H, 4.86; N, 17.22.
28	H	65	mp >300 °C dec.; IR ν_{max} (Nujol)/cm^{-1} 3497, 3283, 3158, 3129, 2931, 2854, 1720, 1627, 1593, 1467, 1392, 1360, 1259, 1180 and 746; NMR δ_H (400 MHz, DMSO) 1.23 – 1.35 (1H, m), 1.39 – 1.58 (4H, m), 1.69 – 1.82 (3H, m), 1.95 – 2.04 (2H, m), 3.94 – 4.03 (1H, m), 6.77 – 6.80 (1H, m), 6.91 (2H, m), 7.74 (1H, d, *J* 3.5 Hz), 7.99 – 8.09 (1H, m) and 8.56 (1H, s).
29	I	62	mp >300 °C dec.; IR ν_{max} (Nujol)/cm^{-1} 3414, 3312, 3206, 3137, 3118, 2922, 2854, 1681, 1629, 1588, 1565, 1464, 1403, 1368 and 1194; NMR δ_H (400 MHz, DMSO) 1.82 – 2.01 (4H, m), 3.49 – 3.63 (4H, m), 6.76 – 6.80 (1H, m), 6.81 (2H, s), 7.72 – 7.77 (1H, m), 8.06 (1H, s) and 8.31 (1H,s).
30	G	92	mp >300 °C dec.; IR ν_{max} (Nujol)/cm^{-1} 3550, 3378, 3309, 3242, 3139, 3054, 2924, 2854, 1715, 1640, 1603, 1588, 1543, 1465 and 1377; NMR δ_H (400 MHz, DMSO) 1.32 (6H, d, *J* 6.6 Hz), 3.98 – 4.10 (1H, m), 6.78 – 6.81 (1H, m), 7.08 (2H, s), 7.76 (1H, d, *J* 3.5 Hz), 8.01 – 8.04 (1H, m), 8.47 (1H, s) and 8.79 (1H, d, *J* 7.4 Hz); Anal. Calcd for $C_{13}H_{14}N_6O_2 \cdot 0.9\ H_2O$: C, 51.62; H, 5.26; N, 27.78. Found: C, 51.80; H, 5.04; N, 27.51.
31	A	38	mp 177.4 – 177.8 °C; IR ν_{max} (Nujol)/cm^{-1} 3304, 3126, 3106, 2926, 2854, 1726, 1597, 1567, 1548, 1465, 1377 and 768; NMR δ_H (400 MHz, DMSO) 1.29 – 1.41 (1H, m), 1.44 – 1.53 (4H, m), 1.60 – 1.69 (1H, m), 1.75 – 1.85 (2H, m), 2.00 – 2.10 (2H, m), 3.89 – 4.00 (1H, m), 6.67 – 6.72 (1H, m), 7.80 – 7.82 (1H, m) and 7.94 (1H, d, *J* 3.5 Hz).
33	H	17	mp 175.4 – 176.1 °C; IR ν_{max} (Nujol)/cm^{-1} 3497, 3294, 3173, 3122, 2924, 2854, 1729, 1623, 1595, 1463, 1392, 1376 and 1359; NMR δ_H (400 MHz, $CDCl_3$) 1.09 (6H, d, *J* 6.5 Hz), 2.27 – 2.42 (1H, m), 3.24 (2H, d, *J* 6.3 Hz), 5.16 (1H, s), 6.62 – 6.66 (1H, m), 7.70 – 7.73 (1H, m), 7.82 (1H, d, *J* 3.5 Hz) and 8.48 (1H, s); Anal. Calcd for $C_{14}H_{15}N_5O_2$: C, 58.94; H, 5.30; N, 24.54. Found: C, 58.84; H, 5.30; N, 24.19.
34	H	14	mp >300 °C dec.; NMR δ_H (400 MHz, $CDCl_3$) 2.94 (3H, s), 5.21 (2H, s), 6.62 – 6.69 (1H, m), 7.72 (1H, s), 7.83 (1H, d, *J* 3.6 Hz) and 8.48 (1H, s).
35	G	82	mp 175.5 °C; IR ν_{max} (Nujol)/cm^{-1} 3282, 3131, 3116, 3033, 2924, 2854, 1735, 1587, 1576, 1538, 1478, 1462, 1375, 1294 and 1201; NMR δ_H (400 MHz, $CDCl_3$) 2.35 (3H, s), 4.69 (2H, d, *J* 5.5 Hz), 6.63 – 6.67 (1H, m), 7.33 – 7.44 (5H, m), 7.77 – 7.78 (1H, m), 7.84 (1H, d, *J* 3.4 Hz), 8.65 (1H, s) and 8.96 – 9.03 (1H, s); Anal. Calcd for $C_{18}H_{15}N_5O_2S$: C, 59.17; H, 4.14; N, 19.16. Found: C, 59.00; H, 4.14; N, 18.95.
36	G	100	IR ν_{max} (Nujol)/cm^{-1} 3399, 3317, 3204, 1717, 1643, 1603, 1557, 1510, 1403, 1268 and 1232; NMR δ_H (400 MHz, DMSO) 0.94 (3H, t, *J* 7.3 Hz), 1.39 (2H, sextet, *J* 7.4 Hz), 1.61 (2H, quintet, *J* 7.3 Hz), 3.38 (2H, q, *J* 6.5 Hz), 6.78 (1H, dd, *J* 1.5, 3.5 Hz), 7.02 (2H, br s), 7.74 (1H, dd, *J* 1.0, 3.5 Hz), 8.00 (1H, dd, *J* 1.0, 1.5 Hz), 8.46 (1H, s) and 8.83 (1H, t, *J* 5.4 Hz); Retention time: 4.12 min
37	G	100	NMR δ_H (400 MHz, DMSO) 3.74 (3H, s), 4.55 (2H, d, *J* 5.9 Hz), 6.78 (1H, dd, *J* 1.5, 3.5 Hz), 6.93 (2H, d, *J* 8.5 Hz), 7.01 (2H, br s), 7.33 (2H, d, *J* 8.5 Hz), 7.75 (1H, dd, *J* 1.0, 3.5 Hz), 8.01 (1H, m), 8.49 (1H, s) and 9.23 (1H, t, *J* 6.3 Hz); Retention time: 4.62 min

38	G	97	NMR δ_H (400 MHz, DMSO) 2.29 (3H, s), 4.58 (2H, d, *J* 6.1 Hz), 6.78 (1H, dd, *J* 1.5, 3.5 Hz), 7.01 (2H, br s), 7.17 (2H, d, *J* 8.0 Hz), 7.29 (2H, d, *J* 8.0 Hz), 7.75 (1H, dd, *J* 1.0, 3.5 Hz), 8.01 (1H, dd, *J* 1.0, 1.5 Hz), 8.50 (1H, s) and 9.26 (1H, t, *J* 6.3 Hz); Retention time: 5.55 min
39	G	100	NMR δ_H (400 MHz, DMSO) 4.69 (2H, d, *J* 6.6 Hz), 6.79 (1H, dd, *J* 1.5, 3.5 Hz), 7.00 (2H, br s), 7.36 (2H, m), 7.51 (2H, m), 7.76 (1H, dd, *J* 1.0, 3.5 Hz), 8.02 (1H, m), 8.50 (1H, s) and 9.41 (1H, t, *J* 6.4 Hz); Retention time: 5.63 min
40	G	96	NMR δ_H (400 MHz, DMSO) 6.77 (1H, dd, *J* 1.5, 3.5 Hz), 7.00 (2H, br s), 7.42 – 7.68 (7H, m), 7.75 (1H, dd, *J* 1.0, 3.5 Hz), 8.01 (1H, dd, *J* 1.0, 1.5 Hz), 8.22 (1H, s) and 9.21 (1H, s); Retention time: 6.94 min; (80:50).
41	G	70	NMR δ_H (400 MHz, DMSO) 0.86 (3H, t, *J* 6.8 Hz), 1.22 – 1.39 (10H, m), 3.37 (2H, q, *J* 6.7 Hz), 6.78 (1H, dd, *J* 1.5, 3.5 Hz), 7.02 (2H, br s), 7.74 (1H, dd, *J* 1.0, 3.5 Hz), 8.01 (1H, dd, *J* 1.0, 1.5 Hz), 8.46 (1H, s) and 8.84 (1H, t, *J* 5.7 Hz); Retention time: 6.96 min
42	G	100	NMR δ_H (400 MHz, DMSO) 2.44 (3H, s), 6.81 (1H, dd, *J* 2.0, 3.5 Hz), 7.10 (2H, br s), 7.16 (2H, m), 7.29 (1H, m), 7.34 (1H, m), 7.78 (1H, m), 8.03 (1H, m), 8.60 (1H, s) and 10.64 (1H, s); Retention time: 3.82 min
43	G	90	NMR δ_H (400 MHz, DMSO) 2.37 (3H, s), 6.80 (1H, dd, *J* 1.5, 3.5 Hz), 7.02 (1H, m), 7.32 (3H, m), 7.61 (2H, m), 7.77 (1H, br d, *J* 3.5 Hz), 8.03 (1H, d, *J* 1.0 Hz), 8.57 (1H, s) and 11.05 (1H, s); Retention time: 6.54 min
44	G	91	NMR δ_H (400 MHz, DMSO) 6.81 (1H, dd, *J* 1.5, 3.5 Hz), 7.03 (2H, br s), 7.07 (1H, m), 7.27 (1H, m), 7.46 (1H, m), 7.79 (1H, dd, *J* 1.0, 3.5 Hz), 8.04 (1H, m), 8.27 (1H, m), 8.62 (1H, s) and 11.12 (1H, s); Retention time: 6.65 min
45	G	87	NMR δ_H (400 MHz, DMSO) 1.60 (3H, d, *J* 7.0 Hz), 5.11 (1H, quintet, *J* 7.2 Hz), 6.78 (1H, dd, *J* 1.5, 3.5 Hz), 7.13 (2H, br s), 7.29 (1H, m), 7.38 (2H, m), 7.45 (2H, m), 7.75 (1H, dd, *J* 1.0, 3.5 Hz), 8.02 (1H, m), 8.45 (1H, s) and 9.34 (1H, d, *J* 8.0 Hz); Retention time: 5.11 min
46	G	91	NMR δ_H (400 MHz, DMSO) 1.60 (3H, d, *J* 7.0 Hz), 5.12 (1H, quintet, *J* 7.1 Hz), 6.79 (1H, dd, *J* 1.5, 3.5 Hz), 7.13 (2H, br s), 7.29 (1H, m), 7.38 (2H, m), 7.46 (2H, m), 7.75 (1H, dd, *J* 1.0, 3.5 Hz), 8.01 (1H, dd, *J* 1.0, 1.5 Hz), 8.44 (1H, s) and 9.34 (1H, d, *J* 8.0 Hz); Retention time: 5.14 min
47	G	96	NMR δ_H (400 MHz, DMSO) 2.30 (3H, s), 4.59 (2H, d, *J* 6.2 Hz), 6.79 (1H, dd, *J* 2.0, 3.5 Hz), 7.02 (2H, br s), 7.09 (1H, m), 7.20 (2H, m), 7.26 (1H, m), 7.75 (1H, br d, *J* 3.5 Hz), 8.01 (1H, m), 8.50 (1H, s) and 9.28 (1H, d, *J* 6.1 Hz); Retention time: 5.63 min
48	G	89	NMR δ_H (400 MHz, DMSO) 2.32 (3H, s), 6.80 (1H, dd, *J* 2.0, 3.5 Hz), 7.25 (2H, d, *J* 8.0 Hz), 7.28 (2H, br s), 7.68 (2H, d, *J* 8.0 Hz), 7.77 (1H, br d, *J* 3.1 Hz), 8.03 (1H, m), 8.57 (1H, s) and 11.02 (1H, s); Retention time: 6.66 min

49	G	100	NMR δ_H (400 MHz, DMSO) 3.99 (3H, s), 6.80 (1H, dd, *J* 1.5, 3.5 Hz), 6.89 (2H, br s), 7.01 (1H, m), 7.17 (2H, m), 7.79 (1H, dd, *J* 1.0, 3.5 Hz), 8.04 (1H, m), 8.16 (1H, m), 8.60 (1H, s) and 10.98 (1H, s); Retention time: 6.28 min
50	G	77	NMR δ_H (400 MHz, DMSO) 3.78 (3H, s), 6.80 (1H, dd, *J* 1.5, 3.5 Hz), 7.02 (2H, d, *J* 9.1 Hz), 7.26 (2H, br s), 7.69 (2H, d, *J* 9.0 Hz), 7.77 (1H, dd, *J* 1.0, 3.5 Hz), 8.03 (1H, dd, *J* 1.0, 1.5 Hz), 8.56 (1H, s) and 10.93 (1H, s); Retention time: 5.70 min
51	G	100	NMR δ_H (400 MHz, DMSO) 6.38 (2H, br s), 6.80 (1H, dd, *J* 2.0, 3.5 Hz), 7.52 (2H, d, *J* 9.0 Hz), 7.77 (1H, br d, *J* 3.5 Hz), 7.84 (2H, m, *J* 9.0 Hz), 8.03 (1H, m), 8.58 (1H, s) and 11.21 (1H, s); Retention time: 7.19 min
52	G	62	NMR δ_H (400 MHz, DMSO) 0.90 (3H, t, *J* 7.1 Hz), 1.35 (4H, m), 1.63 (2H, quintet, *J* 7.2 Hz), 3.37 (2H, q, *J* 6.7 Hz), 6.78 (1H, dd, *J* 1.5, 3.5 Hz), 7.02 (2H, br s), 7.74 (1H, dd, *J* 0.5, 3.5 Hz), 8.01 (1H, m), 8.46 (1H, s) and 8.84 (1H, t, *J* 5.8 Hz); Retention time: 5.27 min
53	G	81	NMR δ_H (400 MHz, DMSO) 0.83 (3H, t, *J* 6.8 Hz), 1.19 – 1.38 (18H, m), 1.62 (2H, quintet, *J* 7.0 Hz), 3.37 (2H, q, *J* 6.4 Hz), 6.78 (1H, dd, *J* 1.5, 3.5 Hz), 7.02 (2H, br s), 7.75 (1H, dd, *J* 0.5, 3.5 Hz), 8.01 (1H, m), 8.46 (1H, s) and 8.84 (1H, t, *J* 5.9 Hz); Retention time: 10.76 min
54	K	57	IR ν_{max} (Nujol)/cm^{-1} 3431, 3384, 3334, 3220, 3122, 1665, 1648, 1587, 1564, 1302, 1210 and 1130; NMR δ_H (400 MHz, $CDCl_3$) 1.01 (2H, m), 1.17 – 1.32 (4H, m), 1.66 – 1.82 (7H, m), 4.14 (2H, t, *J* 7.5 Hz), 6.32 (2H, br s), 6.69 (1H, m), 7.81 (1H, m), 7.89 (1H, m) and 7.99 (1H, m); M/Z 312 $(M+H)^+$; Retention time: 5.09 min
55	G	63	IR ν_{max} (Nujol)/cm^{-1} 3320, 3218, 3109, 3029, 2926, 2854, 1734, 1601, 1587, 1550, 1464 and 1375; NMR δ_H (400 MHz, DMSO) 3.31 (6H, s), 4.66 (2H, d, *J* 5.5 Hz), 6.80 – 6.82 (1H,m), 7.30 – 7.36 (1H, m), 7.38 – 7.43 (2H, m), 7.44 – 7.50 (2H,m), 7.80 (1H, d, J 3.5 Hz), 8.05 – 8.07 (1H, m), 8.53 (1H, s) and 9.11 (1H, t, *J* 5.0 Hz); Anal. Calcd for $C_{19}H_{18}N_6O_2 \cdot 0.25\ H_2O$: C, 62.20; H, 5.08; N, 22.91. Found: C, 62.42; H, 5.01; N, 22.58.
56	H	86	mp 212.6 - 213 °C; IR ν_{max} (Nujol)/cm^{-1} 3138, 2925, 2854, 1601, 1555, 1463, 1372, 1352, 1183, 670 and 584; NMR δ_H (400 MHz, DMSO) 2.41 (3H, s), 3.21 (6H, s), 6.76 – 6.80 (1H, m), 7.53 (2H, d, *J* 7.9 Hz), 7.72 (1H, d, *J* 3.5 Hz), 8.02 – 8.04 (1H, m), 8.12 – 8.16 (2H, m) and 8.54 (1H, s); Anal. Calcd for $C_{18}H_{17}N_5O_3S$: C, 56.39; H, 4.47; N, 18.26. Found: C, 56.20; H, 4.48; N, 18.23.
57	K	21	NMR δ_H (400 MHz, $CDCl_3$) 4.89 (2H, dd, *J* 1.5, 6.0 Hz), 5.09 (2H, br s), 6.37 (1H, dt, *J* 6.0, 16.0 Hz), 6.59 (1H, dt, *J* 1.5, 16.0 Hz), 6.64 (1H, dd, *J* 1.5, 3.5 Hz), 7.28 – 7.38 (5H, m), 7.72 (1H, dd, *J* 1.0, 1.5 Hz), 7.82 (1H, dd, *J* 1.0, 3.5 Hz) and 7.84 (1H, s); M/Z 318 $(M+H)^+$; Retention time: 3.82 min
58	K	18	NMR δ_H (400 MHz, $CDCl_3$) 1.74 (3H, m), 4.65 (2H, m), 5.06 (2H, br s), 5.71 (2H, m), 6.63 (1H, dd, *J* 1.5, 3.5 Hz), 7.71 (1H, dd, *J* 1.0, 1.5 Hz), 7.78 (1H, s) and 7.80 (1H, dd, *J* 1.0, 3.5 Hz); M/Z 256 $(M+H)^+$; Retention time: 1.19 min
59	K	40	M/Z 258 $(M+H)^+$; Retention time: 1.40 min
60	K	20	M/Z 270 $(M+H)^+$; Retention time: 1.43 min

61	K	18	M/Z 244 $(M+H)^+$; Retention time: 0.87 min
62	K	34	NMR δ_H (400 MHz, $CDCl_3$) 1.68 (2H, quintet, *J* 7.5 Hz), 1.92 (2H, quintet, *J* 7.5 Hz), 2.67 (2H, t, *J* 7.5 Hz), 4.12 (2H, t, *J* 7.1 Hz), 5.63 (2H, br s), 6.67 (1H, br s), 7.12 – 7.30 (5H, m), 7.77 (2H, m) and 7.89 (1H, m); Retention time: 4.60 min
63	K	43	NMR δ_H (400 MHz, $CDCl_3$) 3.79 (2H, t, *J* 5.0 Hz), 4.30 (2H, t, *J* 4.8 Hz), 4.51 (2H, s), 6.17 (2H, br s), 6.69 (1H, dd, *J* 1.5, 3.5 Hz), 7.20 – 7.32 (5H, m), 7.80 (1H, m), 7.98 (1H, m) and 8.00 (1H, s); Retention time: 1.88 min
64	K	19	NMR δ_H (400 MHz, $CDCl_3$) 0.99 (6H, d, *J* 6.5 Hz), 1.62 (1H, septet, *J* 6.6 Hz), 1.78 (2H, q, *J* 7.4 Hz), 4.13 (2H, t, *J* 7.2 Hz), 5.15 (2H, br s), 6.63 (1H, m), 7.71 (1H, m) and 7.80 (2H, m); Retention time: 2.21 min
65	K	31	NMR δ_H (400 MHz, $CDCl_3$) 1.82 (6H, d, *J* 6.6 Hz), 4.68 (2H, d, *J* 7.0 Hz), 5.41 (1H, t, *J* 7.0 Hz), 6.24 (2H, br s), 6.69 (1H, m), 7.80 (1H, m), 7.87 (1H, m) and 7.98 (1H, m); Retention time: 1.82 min
66	K	22	NMR δ_H (400 MHz, $CDCl_3$) 5.29 (2H, s), 5.85 (2H, br s), 6.63 (1H, m), 7.33 – 7.46 (4H, m), 7.57 – 7.72 (3H, m) and 8.22 (1H, s); Retention time: 1.87 min
67	K	21	NMR δ_H (400 MHz, $CDCl_3$) 5.27 (2H, s), 5.97 (2H, br s), 6.55 (1H, m), 7.29 – 7.60 (6H, m) and 8.21 (1H, s); Retention time: 3.93 min
68	K	23	NMR δ_H (400 MHz, $CDCl_3$) 2.26 (2H, quintet, *J* 7.2 Hz), 2.71 (2H, t, *J* 7.4 Hz), 4.14 (2H, t, *J* 7.3 Hz), 6.72 (1H, m), 6.83 (2H, br s), 7.15 – 7.33 (5H, m), 7.86 (1H, m), 7.92 (1H, m) and 8.06 (1H, m); Retention time: 3.48 min
69	X	55	mp 184.3 – 184.5 °C; IR ν_{max} (Nujol)/cm^{-1} 3458, 3316, 3200, 3069, 2955, 2924, 2854, 1749, 1728, 1631, 1606, 1592, 1462 and 1210; NMR δ_H (400 MHz, DMSO) 1.24 (3H, t, *J* 7.1 Hz), 4.19 (2H, q, *J* 7.1 Hz), 5.01 (2H, s), 6.61 (2H, s), 6.75 – 6.79 (1H, m), 7.75 (1H, d, *J* 2.5 Hz), 7.98 (1H, s) and 8.11 (1H, s); Anal. Calcd for $C_{18}H_{17}N_5O_3S$: C, 56.39; H, 4.47; N, 18.26. Found: C, 56.20; H, 4.48; N, 18.23.
70	L	19	IR ν_{max} (Nujol)/cm^{-1} 3139, 3109, 2924, 2854, 1740, 1610, 1583, 1561, 1547, 1403, 1235 and 1114; NMR δ_H (400 MHz, DMSO) 1.25 (6H, d, *J* 6.1 Hz), 3.21 (6H, s), 5.00 (2H, s), 6.77 – 6.80 (1H, m), 7.76 (1H, d, *J* 3.5 Hz), 7.99 – 8.03 (1H, m) and 8.14 (1H, s); Anal. Calcd for $C_{18}H_{17}N_5O_3S$: C, 56.39; H, 4.47; N, 18.26. Found: C, 56.20; H, 4.48; N, 18.23.
71	B	47	mp 180.6 – 181.8 °C; IR ν_{max} (Nujol)/cm^{-1} 3387, 3139, 3116, 2925, 2854, 1744, 1611, 1588, 1559, 1464, 1401, 1376, 1220, 1008 and 764; NMR δ_H (400 MHz, $CDCl_3$) 1.28 (3H, t, *J* 7.0 Hz), 4.26 (2H, q, *J* 7.0 Hz), 4.86 (2H, s), 6.58 – 6.62 (1H, m), 7.65 – 7.67 (1H, m), 7.71 – 7.73 (1H, m) and 7.79 (1H, s); Anal. Calcd for $C_{15}H_{17}N_5O_3$: C, 57.14; H, 5.43; N, 22.20. Found: C, 56.88; H, 5.43; N, 22.05.

72	A	12	mp 314 °C; IR ν_{max} (Nujol)/cm^{-1} 3142, 3106, 3064, 2924, 2854, 1736, 1587, 1567, 1487, 1402, 1347, 1230 and 768; NMR δ_H (400 MHz, $CDCl_3$) 1.31 (3H, t, *J* 7.0 Hz), 4.30 (2H, q, *J* 7.0 Hz), 5.10 (2H, s), 6.56 – 6.59 (1H, m), 6.66 – 6.68 (1H, m), 7.40 (1H, d, *J* 3.7 Hz), 7.64 – 7.65 (1H, m), 7.79 – 7.81 (1H, m), 7.89 (1H, d, *J* 3.5 Hz) and 8.15 (1H, s); Anal. Calcd for $C_{17}H_{14}N_4O_4$: C, 60.35; H, 4.17; N, 16.56. Found: C, 59.91; H, 4.25; N, 16.36.
73	M	72	mp >300 °C dec.; IR ν_{max} (Nujol)/cm^{-1} 3320, 3129, 3107, 2924, 2854, 2776, 1908, 1690, 1636, 1597, 1465, 1383, 1312, 782, 768, 686 and 676; NMR δ_H (400 MHz, DMSO) 4.90 (2H, s), 6.60 (2H, s), 6.75 – 6.79 (1H, m), 7.73 – 7.75 (1H, m), 7.97 – 7.98 (1H, s), 8.11 (1H, s), 13.02 – 13.48 (1H, s); Anal. Calcd for $C_{11}H_9N_5O_3$: C, 50.97; H, 3.50; N, 27.00. Found: C, 50.75; H, 3.53; N, 26.80.
74	N	67	mp 79.6 °C dec; IR ν_{max} (Nujol)/cm^{-1} 3094, 2924, 2855, 1600, 1466, 1380, 1248, 1092 and 839; NMR δ_H (400 MHz, $CDCl_3$) -0.04 (9H, s), 0.95 (2H, m), 3.65 (2H, m), 4.10 (3H, s), 5.60 (2H, s), 6.65 (1H, dd, *J* 3.5, 1.7 Hz), 7.78 (2H, m) and 8.08 (1H, s). Retention time: 5.82 min (80:50)
75	C	43	IR ν_{max} (Nujol)/cm^{-1} 2924, 2854, 1636, 1599, 1569, 1466, 1357 and 756; NMR δ_H (400 MHz, DMSO) 3.99 (3H, s), 6.81 (1H, dd, *J* 3.5, 1.7 Hz), 7.85 (1H, d, *J* 3.5 Hz), 8.05 (1H, m), 8.38 (1H, s) and 13.3 (1H, br s); M/Z 217 $(M+H)^+$; Retention time: 0.81 min (80:50)
77	G	79	mp > 200 °C dec; IR ν_{max} (Nujol)/cm^{-1} 3458, 3360, 2925, 1721, 1611, 1549, 1465, 1386, 1046 and 702; NMR δ_H (400 MHz, DMSO) 4.64 (2H, d, *J* 6.5 Hz), 6.97 (2H, br s), 7.23 - 7.44 (6H, m), 7.88 (1H, dd, *J* 5.0, 1.5 Hz) 8.53 (1H, s) 8.55 (1H, dd, *J* 3.5, 1.0 Hz) and 9.33 (1H, br t, *J* 6.0 Hz); Retention time: 6.08 min
78	A	5	mp > 250 °C dec; IR ν_{max} (Nujol)/cm^{-1} 3332, 3215, 3144, 2925, 1741, 1651, 1576, 1378, 1292, 1143, 993 and 713; NMR δ_H (400 MHz, DMSO) 1.69 (9H, s), 5.22 (2H, br. s), 7.22 (1H, dd, *J* 5.0, 3.5 Hz), 7.57 (1H, dd, *J* 5.0, 1.0 Hz), 8.10 (1H, s) and 8.58 (1H, dd, *J* 4.5, 1.5 Hz); Retention time: 3.95 min
79	G	59	NMR δ_H (400 MHz, DMSO) 4.61 (2H, d, *J* 5.9 Hz), 6.78 (1H, dd, *J* 1.5, 3.5 Hz), 7.01 (2H, br s), 7.22 (2H, m), 7.45 (2H, m), 7.75 (1H, dd, *J* 1.0, 3.5 Hz), 8.01 (1H, dd, *J* 1.0, 1.5 Hz), 8.50 (1H, s) and 9.31 (1H, t, *J* 6.2 Hz); Retention time: 5.07 min
80	G	100	NMR δ_H (400 MHz, DMSO) 4.63 (2H, d, *J* 6.1 Hz), 6.78 (1H, dd, *J* 1.5, 3.5 Hz), 6.99 (2H, br s), 7.41 (1H, dd, *J* 2.0, 8.5 Hz), 7.63 (1H, d, *J* 8.5 Hz), 7.69 (1H, d, *J* 2.0 Hz), 7.75 (1H, br d, *J* 3.5 Hz), 8.01 (1H, m), 8.49 (1H, s) and 9.35 (1H, t, *J* 6.1 Hz); Retention time: 7.12 min
81	K	66	NMR δ_H (400 MHz, $CDCl_3$) 3.16 (2H, t, *J* 7.0 Hz), 4.35 (2H, t, *J* 7.0 Hz), 5.05 (2H, br s), 6.62 (1H, m), 7.09 (2H, m), 7.22 – 7.31 (3H, m), 7.40 (1H, s), 7.70 (1H, m) and 7.76 (1H, m); Retention time: 2.13 min
82	K	10	NMR δ_H (400 MHz, $CDCl_3$) 1.95 (3H, d, *J* 7.0 Hz), 5.03 (2H, br s), 5.81 (1H, q, *J* 7.0 Hz), 6.63 (1H, dd, *J* 1.5, 3.5 Hz), 7.04 (2H, m), 7.30 (2H, m), 7.71 (1H, m), 7.75 (1H, m) and 7.79 (1H, m); Retention time: 2.94 min
83	K	48	NMR δ_H (400 MHz, $CDCl_3$) 1.23 (6H, d, *J* 6.6 Hz), 2.89 (1H, septet, *J* 6.9 Hz), 5.06 (2H, br s), 5.25 (2H, s), 6.63 (1H, dd, *J* 1.5, 3.5 Hz), 7.21 (4H, s), 7.71 (1H, dd, *J* 1.0, 1.5 Hz), 7.74 (1H, s) and 7.79 (1H, br d, *J* 3.5 Hz); Retention time: 5.23 min

84	K	12	NMR δ_H (400 MHz, $CDCl_3$) 5.06 (2H, br s), 5.19 (2H, s), 6.64 (1H, dd, *J* 1.5, 3.5 Hz), 6.99 – 7.16 (3H, m), 7.72 (1H, m), 7.75 (1H, s) and 7.80 (1H, br d, *J* 3.5 Hz); Retention time: 3.22 min
85	P	31	mp 289.1 – 289.7 °C; IR ν_{max} (Nujol)/cm^{-1} 3499, 3477, 3314, 3264, 3199, 3139, 3076, 2926, 2854, 1673, 1662, 1634, 1606, 1590, 1467 and 750; NMR δ_H (400 MHz, DMSO) 5.03 (2H, s), 6.55 (2H, s), 6.76 – 6.79 (1H, m), 7.09 (1H, t, *J* 7.5 Hz), 7.34 (2H, t, *J* 8.1 Hz), 7.60 (2H, d, *J* 7.6 Hz), 7.76 (1H, d, *J* 4.0 Hz), 7.97 – 7.99 (1H, s), 8.12 (1H, s) and 10.43 (1H, s); Anal. Calcd for $C_{17}H_{14}N_6O_2 \cdot 0.4 H_2O$: C, 59.78; H, 4.37; N, 24.61. Found: C, 59.92; H, 4.08; N, 24.36.
86	Q	66	mp 287.2 – 287.8 °C; IR ν_{max} (Nujol)/cm^{-1} 3479, 3464, 3279, 3182, 3076, 2924, 2854, 1656, 1631, 1608, 1539, 1567 and 1464; NMR δ_H (400 MHz, DMSO) 4.34 (2H, d, *J* 5.9 Hz), 4.86 (2H, s), 6.53 (2H, s), 6.75 – 6.78 (1H, m), 7.24 – 7.39 (5H, m), 7.75 (1H, d, *J* 3.0 Hz), 7.97 (1H, s), 8.09 (1H, s) and 8.72 (1H, t, *J* 5.8 Hz).
87	Q	100	mp 321.5 – 321.6 °C; IR ν_{max} (Nujol)/cm^{-1} 3379, 3296, 3220, 2924, 2854, 1689, 1662, 1593, 1463 and 1378; NMR δ_H (400 MHz, DMSO) 4.75 (2H, s), 6.53 (2H, s), 6.74 – 6.78 (1H, m), 7.29 (1H, s), 7.69 (1H, s), 7.74 (1H, d, *J* 2.5 Hz), 7.96 – 7.98 (1H, m) and 8.05 (1H, s).
88	Q	74	mp 283.6 – 283.7 °C; IR ν_{max} (Nujol)/cm^{-1} 3368, 3332, 3215, 3098, 2925, 2854, 1648, 1585, 1566, 1467, 1408 and 1298; NMR δ_H (400 MHz, DMSO) 1.83 (2H, quin, *J* 6.8 Hz), 1.98 (2H, quin, *J* 6.8 Hz), 3.34 (2H, t, *J* 6.8 Hz), 3.57 (2H, t, *J* 6.8 Hz), 4.97 (2H, s), 6.52 (2H, s), 6.75 – 6.78 (1H, m), 7.75 (1H, d, *J* 2.5 Hz), 7.96 – 7.99 (1H, m) and 8.01 (1H, s); Anal. Calcd for $C_{15}H_{16}N_6O_2 \cdot 0.5 H_2O$: C, 56.07; H, 5.33; N, 26.15. Found: C, 56.26; H, 5.08; N, 26.13.
89	Q	67	mp 290.2 – 291.6 °C; IR ν_{max} (Nujol)/cm^{-1} 3558, 3471, 3324, 3113, 2924, 2854, 1664, 1626, 1598 and 1460; NMR δ_H (400 MHz, DMSO) 2.64 (3H, d, *J* 4.5 Hz), 4.76 (2H, s), 6.53 (2H, s), 6.75 – 6.78 (1H, m), 7.74 (1H, d, *J* 3.2 Hz), 7.96 – 7.98 (1H, m), 8.06 (1H, s) and 8.09 – 8.15 (1H, m).
90	R	21	mp > 200 °C dec; IR ν_{max} (Nujol)/cm^{-1} 3499, 3394, 2925, 1628, 1598, 1455, 1378, 1249, 950, 878 and 628; NMR δ_H (400 MHz, DMSO) 2.73 (3H, s), 6.58 (1H, br s), 8.24 (1H, br s) and 12.76 (1H, br s); M/Z 217 $(M+H)^+$; Retention time: 0.6 min
91	G	72	mp > 250 °C dec; IR ν_{max} (Nujol)/cm^{-1} 3505, 3255, 3089, 2925, 1735, 1622, 1465, 1278, 887 and 725; NMR δ_H (400 MHz, DMSO) 2.73 (3H, s) 4.65 (2H, d, *J* 6.0 Hz), 7.18 - 7.45 (5H, m), 8.56 (1H, s) and 9.22 (1H, br t, *J* 6.0 Hz); Retention time: 1.99 min
92	G	89	NMR δ_H (400 MHz, DMSO) 2.96 (2H, t, *J* 7.2 Hz), 3.63 (2H, q, *J* 6.9 Hz), 6.78 (1H, dd, *J* 1.9, 3.5 Hz), 6.94 (2H, br s), 7.17 – 7.30 (5H, m), 7.74 (1H, br d, *J* 3.5 Hz), 8.01 (1H, dd, *J* 1.0, 1.5 Hz), 8.46 (1H, s) and 8.92 (1H, t, *J* 5.5 Hz); Retention time: 4.89 min
93	G	100	NMR δ_H (400 MHz, DMSO) 4.66 (2H, d, *J* 6.1 Hz), 6.79 (1H, dd, *J* 1.5, 3.5 Hz), 7.00 (2H, br s), 7.44 (1H, m), 7.54 (1H, m), 7.66 (1H, m), 7.75 (1H, dd, *J* 1.0, 3.5 Hz), 8.01 (1H, dd, *J* 1.0, 1.5 Hz), 8.49 (1H, s) and 9.40 (1H, t, *J* 6.1 Hz); Retention time: 7.06 min

94	G	100	NMR δ_H (400 MHz, DMSO) 1.74 (3H, d, *J* 7.0 Hz), 5.92 (1H, quintet, *J* 7.0 Hz), 6.79 (1H, dd, *J* 1.5, 3.5 Hz), 7.16 (2H, br s), 7.47 – 7.68 (5H, m), 7.76 (1H, dd, *J* 1.0, 3.5 Hz), 7.79 – 7.99 (2H, m), 8.02 (1H, dd, *J* 1.0, 1.5 Hz), 8.45 (1H, s) and 9.52 (1H, t, *J* 8.2 Hz); Retention time: 7.12 min
95	G	85	NMR δ_H (400 MHz, DMSO) 1.81 (6H, s), 2.09 (3H, s), 5.08 (1H, m), 5.38 (1H, m), 6.79 (1H, dd, *J* 1.5, 3.5 Hz), 7.09 (2H, br s), 7.37 (3H, m), 7.56 (1H, m), 7.76 (1H, dd, *J* 1.0, 3.5 Hz), 8.02 (1H, dd, *J* 1.0, 1.5 Hz), 8.39 (1H, s) and 9.33 (1H, t, *J* 5.8 Hz); Retention time: 7.14 min
96	Q	84	mp >250 °C dec.; IR ν_{max} (Nujol)/cm^{-1} 3462, 3279, 3212, 3097, 2924, 2854, 1660, 1591 and 1465; NMR δ_H (400 MHz, DMSO) 3.13 – 3.24 (2H, m), 3.41 – 3.49 (2H, m), 4.73 (1H, s), 4.79 (2H, s), 6.53 (2H, s), 6.74 - 6.79 (1H, m), 7.74 (1H, d, *J* 2.6 Hz), 7.97 (1H, s), 8.05 (1H, s) and 8.24 – 8.34 (1H, m).
97	Q	52	mp >270 °C dec.; IR ν_{max} (Nujol)/cm^{-1} 3386, 3327, 3214, 3087, 2924, 2854, 1668, 1640, 1585, 1565, 1466, 1408 and 1376; NMR δ_H (400 MHz, DMSO) 2.24 (3H, s), 2.29 – 2.35 (2H, m), 2.39 – 2.46 (2H, m), 3.44 – 3.51 (2H, m), 3.54 – 3.61 (2H, m), 5.08 (2H, s), 6.52 (2H, s), 6.75 – 6.79 (1H, m), 7.74 (1H, d, *J* 3.7 Hz), 7.97 (1H, s) and 8.01 (1H, s).
98	G	39	NMR δ_H (400 MHz, DMSO) 3.74 (2H, q, *J* 5.9 Hz), 3.85 (2H, t, *J* 6.0 Hz), 6.78 (1H, dd, *J* 1.5, 3.5 Hz), 6.99 (2H, br s), 7.75 (1H, dd, *J* 1.0, 3.5 Hz), 8.02 (1H, dd, *J* 1.0, 1.5 Hz), 8.49 (1H, s) and 9.12 (1H, t, *J* 5.8 Hz); Retention time: 2.16 min
99	G	80	NMR δ_H (400 MHz, DMSO) 2.10 (2H, quintet, *J* 6.7 Hz), 3.52 (2H, q, *J* 6.5 Hz), 3.77 (2H, t, *J* 6.5 Hz), 6.78 (1H, dd, *J* 2.0, 3.5 Hz), 7.02 (2H, br s), 7.74 (1H, dd, *J* 1.0, 3.5 Hz), 8.01 (1H, dd, *J* 1.0, 2.0 Hz), 8.47 (1H, s) and 8.90 (1H, t, *J* 5.7 Hz); Retention time: 3.08 min
100	G	70	NMR δ_H (400 MHz, DMSO) 1.18 (3H, t, *J* 6.9 Hz), 2.70 (2H, t, *J* 6.7 Hz), 3.61 (2H, q, *J* 6.5 Hz), 4.10 (2H, t, *J* 7.2 Hz), 6.78 (1H, dd, *J* 1.5, 3.5 Hz), 6.96 (2H, br s), 7.74 (1H, br d, *J* 3.5 Hz), 8.01 (1H, dd, *J* 1.0, 1.5 Hz), 8.47 (1H, s) and 8.98 (1H, t, *J* 5.8 Hz); Retention time: 2.19 min
101	G	46	NMR δ_H (400 MHz, DMSO) 1.17 (3H, t, *J* 7.0 Hz), 3.19 (1H, dd, *J* 8.5, 14.0 Hz), 3.29 (1H, dd, *J* 6.0, 14.0 Hz), 4.15 (2H, q, *J* 7.0 Hz), 4.70 (1H, m), 6.78 (1H, dd, *J* 1.5, 3.5 Hz), 7.03 (2H, br s), 7.15 – 7.39 (5H, m), 7.74 (1H, dd, *J* 1.0, 3.5 Hz), 8.02 (1H, dd, *J* 1.0, 2.0 Hz), 8.43 (1H, s) and 9.23 (1H, d, *J* 6.9 Hz).
102	S	47	NMR δ_H (400 MHz, $CDCl_3$) 3.34 (2H, t, *J* 6.6 Hz), 4.60 (2H, t, *J* 6.7 Hz), 5.05 (2H, br s), 6.61 (1H, dd, *J* 1.5, 3.5 Hz), 6.98 (1H, d, *J* 7.5 Hz), 7.15 (1H, m), 7.53 (1H, m), 7.53 (1H, s), 7.69 (1H, m), 7.74 (1H, dd, *J* 1.0, 3.5 Hz) and 8.60 (1H, m); Retention time: 0.76 min
103	S	44	NMR δ_H (400 MHz, DMSO) 3.36 – 3.42 (10H, m), 4.49 (2H, m), 6.90 (1H, dd, *J* 1.5, 3.5 Hz), 7.91 (1H, br d, *J* 3.5 Hz), 8.18 (1H, m), 8.52 (1H, s) and 9.62 (2H, br s); Retention time: 0.80 min, (50:20)
104	S	66	NMR δ_H (400 MHz, $CDCl_3$) 1.44 (2H, m), 1.57 (4H, m), 2.45 (4H, m), 2.69 (2H, t, *J* 6.0 Hz), 4.18 (2H, t, *J* 6.0 Hz), 5.02 (2H, br s), 6.63 (1H, m), 7.71 (1H, m), 7.79 (1H, m) and 7.99 (1H, s); M/Z 313 $(M+H)^+$; Retention time: 3.69 min, (50:20)

105	S	53	NMR δ_H (400 MHz, $CDCl_3$) 1.78 (4H, m), 2.58 (4H, m), 2.90 (2H, t, *J* 6.3 Hz), 4.22 (2H, t, *J* 6.2 Hz), 5.03 (2H, br s), 6.63 (1H, dd, *J* 1.5, 3.5 Hz), 7.71 (1H, m), 7.79 (1H, br d, *J* 3.5 Hz) and 7.93 (1H, s); Retention time: 1.50 min, (50:20)
106	T	98	mp 161.7 °C dec; NMR δ_H (400 MHz, $CDCl_3$) 5.36 (2H, br s), 5.52 (2H, s), 6.64 (1H, dd, *J* 3.5, 1.7 Hz), 7.35 - 7.75 (5H, m), 7.72 (1H, mm), 7.81 (1H, m) and 8.26 (1H, s); Retention time: 3.95 min (80:50)
112	G	35	mp 139.3 °C; NMR δ_H (400 MHz, $CDCl_3$) 3.99 (3H, s), 4.70 - 4.71 (2H, s, rotamers), 6.67 (1H, dd, *J* 3.5, 1.7 Hz), 7.27 - 7.44 (5H, m), 7.79 (1H, m), 7.84 (1H, m), 8.66 (1H, s) and 8.95 (1H, br); Retention time: 5.13 min (80:50)
113	S	37	NMR δ_H (400 MHz, $CDCl_3$) 3.14 (2H, t, *J* 6.8 Hz), 4.33 (2H, t, *J* 7.0 Hz), 5.06 (2H, br s), 6.63 (1H, dd, *J* 1.5, 3.5 Hz), 7.02 (2H, d, *J* 8.1 Hz), 7.25 (2H, m), 7.41 (1H, s), 7.72 (1H, m) and 7.76 (1H, br d, *J* 3.5 Hz); Retention time: 4.02 min
114	S	64	NMR δ_H (400 MHz, $CDCl_3$) 2.91 (6H, s), 3.04 (2H, t, *J* 6.8 Hz), 4.29 (2H, t, *J* 6.8 Hz), 5.05 (2H, br s), 6.62 (1H, dd, *J* 2.0, 3.5 Hz), 6.65 (2H, d, *J* 8.6 Hz), 6.95 (2H, d, *J* 8.7 Hz), 7.40 (1H, s), 7.70 (1H, dd, *J* 1.0, 1.5 Hz) and 7.76 (1H, dd, *J* 1.0, 3.5 Hz); Retention time: 2.58 min
115	S	15	NMR δ_H (400 MHz, $CDCl_3$) 4.30 (2H, t, *J* 5.0 Hz), 4.52 (2H, t, *J* 5.0 Hz), 5.08 (2H, br s), 6.64 (1H, dd, *J* 1.5, 3.5 Hz), 6.87 (2H, m), 6.96 (1H, m), 7.27 (2H, m), 7.71 (1H, m), 7.80 (1H, br d, *J* 3.5 Hz) and 7.79 (1H, s); Retention time: 2.37 min
116	S	66	NMR δ_H (400 MHz, $CDCl_3$) 1.01 (2H, m), 1.21 (4H, m), 1.69 (4H, m), 1.89 (1H, m), 3.39 (2H, d, *J* 7.8 Hz), 5.04 (2H, br s), 6.64 (1H, dd, *J* 1.5, 3.5 Hz), 7.71 (1H, m), 7.74 (1H, s) and 7.79 (1H, br d, *J* 3.5 Hz); Retention time: 3.78 min
117	S	84	NMR δ_H (400 MHz, $CDCl_3$) 0.87 (2H, m), 1.09 – 1.27 (6H, m), 1.61 – 1.72 (5H, m), 1.88 (2H, quintet, *J* 7.0 Hz), 4.08 (2H, t, *J* 7.1 Hz), 5.04 (2H, br s), 6.64 (1H, dd, *J* 2.0, 3.5 Hz), 7.72 (1H, dd, *J* 1.0, 2.0 Hz), 7.78 (1H, s) and 7.79 (1H, dd, *J* 1.0, 3.5 Hz); Retention time: 6.06 min
118	I	20	NMR δ_H (400 MHz, $CDCl_3$) 3.04 (3H, s), 4.72 (2H, br s), 5.18 (2H, br s), 6.64 (1H, dd, *J* 3.5, 1.7 Hz), 7.29 - 7.42 (5H, m), 7.72 (1H, m), 7.83 (1H, m), 8.10 (1H, s); M/Z 349 $(M+H)^+$; Retention time: 1.66 min (80:50)
119	Q	85	mp 238.3 – 238.4 °C; IR ν_{max} (Nujol)/cm^{-1} 3444, 3325, 3181, 3083, 2925, 2855, 1651, 1696, 1591, 1567, 1523, 1467, 1414, 1376, 1355, 1300, 1182, 1017 and 754; NMR δ_H (400 MHz, DMSO) 4.41 (2H, d, *J* 5.4 Hz), 4.88 (2H, s), 6.53 (2H, s), 6.72 – 6.77 (1H, m), 7.25 – 7.31 (1H, m), 7.36 (2H, d, *J* 8.0 Hz), 7.72 (1H, d, *J* 3.6 Hz), 7.75 – 7.81 (1H, m), 7.94 (1H, s), 8.08 (1H, s), 8.51 (1H, d, *J* 4.5 Hz) and 8.80 (1H, t, *J* 5.8 Hz).
120	O	12	mp 310.0 - 310.3 °C; IR ν_{max} (Nujol)/cm^{-1} 3322, 2925, 1636, 1586, 1464, 1378, 1297, 1026, 734 and 630; NMR δ_H (400 MHz, DMSO) 6.53 (2H, br s), 7.34 (1H, t, *J* 7.5 Hz), 7.45 (1H, t, *J* 8.0 Hz), 7.72 (1H, d, *J* 8.5 Hz), 7.83 (1H, d, *J* 7.5 Hz), 8.17 (1H, br s), 8.21 (1H, br s) and 12.78 (1H, br s); Retention time: 1.48 min

122	Q	46	mp 242.5 – 243.6 °C; IR ν_{max} (Nujol)/cm^{-1} 3485, 3442, 3312, 3203, 3072, 2924, 2854, 1697, 1652, 1633, 1605, 1580, 1462, 1442, 1412 and 1303; NMR δ_H (400 MHz, DMSO) 5.07 (2H, s), 6.53 (2H, s), 6.73 – 6.77 (1H, m), 7.09 – 7.14 (1H, m), 7.73 (1H, d, *J* 2.8 Hz), 7.77 (1H, t, *J* 7.0 Hz), 7.90 – 8.04 (2H, m), 8.08 (1H, s), 8.35 (1H, d, *J* 4.0 Hz) and 10.96 (1H, s).
123	Q	57	mp 247.3 – 247.4 °C; IR ν_{max} (Nujol)/cm^{-1} 3500, 3308, 3187, 3092, 3022, 2924, 2854, 1656, 1636, 1609, 1590, 1567 and 1466; NMR δ_H (400 MHz, DMSO) 2.72 (2H, t, *J* 7.3 Hz), 3.24 – 3.35 (2H, m), 4.73 (2H,s), 6.49 (2H, s), 6.71 – 6.77 (1H, m), 7.15 – 7.23 (3H, m), 7.25 – 7.32 (2H, m), 7.71 (2H, d, *J* 3.5 Hz), 7.93 – 7.95 (1H, m), 8.01 (1H,s) and 8.29 (1H, t, *J* 5.5 Hz).
124	Q	46	mp 258.7 – 260.1 °C; IR ν_{max} (Nujol)/cm^{-1} 3487, 3470, 3293, 3172, 3098, 2925, 2854, 1659, 1629, 1605, 1594, 1568, 1461 and 1409; NMR δ_H (400 MHz, DMSO) 0.86 (3H, t, *J* 7.6 Hz), 1.39 – 1.48 (2H, m), 3.05 (2H, q, *J* 6.5 Hz), 4.75 (2H, s), 6.49 (2H, s), 6.72 – 6.77 (1H, m), 7.72 (1H, d, *J* 3.5 Hz), 7.93 – 7.96 (1H, m), 8.04 (1H, s) and 8.19 (1H, t, *J* 5.5 Hz).
125	S	12	NMR δ_H (400 MHz, $CDCl_3$) 5.07 (2H, br s), 5.27 (2H, s), 6.64 (1H, dd, *J* 1.5, 3.5 Hz), 7.14 (1H, m), 7.25 – 7.30 (3H, m), 7.72 (1H, m), 7.76 (1H, s) and 7.80 (1H, dd, *J* 1.0, 3.5 Hz); Retention time: 2.66 min
126	S	33	NMR δ_H (400 MHz, $CDCl_3$) 2.32 (3H, s), 5.07 (2H, br s), 5.25 (2H, s), 6.63 (1H, dd, *J* 2.0, 3.5 Hz), 7.06 (2H, m), 7.13 (1H, d, *J* 7.6 Hz), 7.23 (1H, d, *J* 7.6 Hz), 7.71 (1H, m), 7.74 (1H, s) and 7.79 (1H, dd, *J* 1.0, 3.5 Hz); Retention time: 2.12 min
127	S	17	NMR δ_H (400 MHz, $CDCl_3$) 2.34 (3H, s), 5.06 (2H, br s), 5.24 (2H, s), 6.63 (1H, dd, *J* 2.0, 3.5 Hz), 7.16 (4H, m), 7.71 (1H, dd, *J* 1.0, 2.0 Hz), 7.73 (1H, s) and 7.79 (1H, dd, *J* 1.0, 3.5 Hz); Retention time: 2.21 min
128	G	53	mp >250 °C dec; IR ν_{max} (Nujol)/cm^{-1} 3296, 3181, 2925, 1717, 1629, 1467, 1390, 1228 and 754; NMR δ_H (400 MHz, DMSO) 4.66 (2H, d, *J* 6.0 Hz), 7.18 (2H, br s), 7.21 - 7.51 (7H, m), 7.74 (1H, d, J 8.0 Hz), 7.87 (1H, d, *J* 7.5 Hz), 8.25 (1H, s), 8.59 (1H, s) and 9.30 (1H, br t, *J* 6.0 Hz); Retention time: 6.85 min
129	O	36	mp > 250 °C dec; IR ν_{max} (Nujol)/cm^{-1} 3492, 3331, 3196, 2924, 1618, 1569, 1442, 1377, 1301, 1180, 1030 and 784; NMR δ_H (400 MHz, DMSO) 6.39 (2H, br s), 7.27 (1H, d, *J* 4.0 Hz), 8.12 (1H, s), 8.33 (1H, d, *J* 4.0 Hz) and 12.68 (1H, br s); Retention time:2.74 min
130	G	67	mp 194-195 °C dec; IR ν_{max} (Nujol)/cm^{-1} 3460, 3360, 2923, 1722, 1608, 1465, 1386, 1218, 1013 and 792; NMR δ_H (400 MHz, DMSO) 4.64 (2H, d, *J* 6.0 Hz), 7.02 (2H, br s), 7.25 - 7.44 (6H, m), 8.35 (1H, d, *J* 4.0 Hz) and 9.28 (1H, t, *J* 6.0 Hz); Retention time:7.81 min
131	I	17	IR ν_{max} (Nujol)/cm^{-1} 4332, 4258, 3421, 3299, 3193, 3105, 2924, 2854, 1682, 1631, 1596, 1465, 1376, 747; NMR δ_H (400 MHz, $CDCl_3$) 3.09 (2H, m), 3.86 (2H, br m), 4.80 (2H, br m), 5.10 (2H, s), 6.66 (1H, dd, *J* 3.5, 1.7 Hz), 7.18 - 7.25 (4H, m), 7.74 (1H, m), 7.85 (1H, m) and 8.12 (1H, s); Retention time: 2.25 min (80:50)
132	I	81	IR ν_{max} (Nujol)/cm^{-1} 4331, 3293, 3164, 2924, 2854, 1694, 1638, 1467, 746; NMR δ_H (400 MHz, $CDCl_3$) 3.23 (2H, m), 4.33 (2H, m), 5.13 (2H, s), 6.67 (1H, dd, *J* 3.5, 1.7 Hz), 7.10 - 7.31 (4H, m), 7.74 (1H, m), 7.74 (1H, m), 7.86 (1H, m) and 8.16 (1H, s); M/Z 369 $(M+Na)^+$; Retention time: 2.80 min (80:50)

133	A	11	mp >240 °C dec; IR ν_{max} (Nujol)/cm^{-1} 3465, 3321, 2925, 1619, 1567, 1460, 1384, 1304, 1056, 832 and 740; NMR δ_H (400 MHz, DMSO) 4.11 (3H, s), 6.15 (3H, m), 6.98 (1H, t, *J* 2.0 Hz), 7.60 (1H, br s), 7.96 (1H, s) and 12.43 (1H, br s); Retention time: 1.67 min
134	G	68	mp >230 °C dec; IR ν_{max} (Nujol)/cm^{-1} 3465, 3364, 2924, 1722, 1609, 1549, 1462, 1062 and 732; NMR δ_H (400 MHz, DMSO) 4.12 (3H, s), 4.67 (2H, d, *J* 6.0 Hz), 6.20 (1H, dd, *J* 4.0, 2.5 Hz), 6.80 (2H, br s), 7.08 (1H, t, *J* 2.0 Hz), 7.25 - 7.33 (1H, m), 7.34 - 7.43 (4H, m), 7.68 (1H, dd, *J* 4.0, 2.0 Hz), 8.41 (1H, s) and 9.43 (1H, t, *J* 6.0 Hz); Retention time: 5.26 min
137	Y	39	mp 300 °C (dec); IR ν_{max} (Nujol)/cm^{-1} 3423, 3313, 2924, 1622, 1580, 1464, 1389, 1305, 1114, 888 and 633; NMR δ_H (400 MHz, DMSO) 6.46 (2H, br s), 8.15 (1H, s), 9.11 (1H, s), 9.27 (1H, s) and 12.72 (1H, br s); Retention time: 1.24 min
139	G	76	mp 188 °C; IR ν_{max} (Nujol)/cm^{-1} 3313, 3195, 2924, 1718, 1629, 1558, 1467, 1392, 1254, 890, 792 and 702; NMR δ_H (400 MHz, DMSO) 4.65 (2H, d, *J* 6.5 Hz), 7.09 (2H, br s), 7.25 - 7.32 (1H, m), 7.34 - 7.44 (4H, m), 8.59 (1H, s), 9.11 (1H, s), 9.27 (1H, t, *J* 6.5 Hz) and 9.35 (1H, s); Retention time: 4.34 min
140	Q	80	Mp 299.2 – 299.3 °C; IR ν_{max} (Nujol)/cm^{-1} 3483, 3259, 3187, 2923, 2854, 1661, 1631, 1603, 1570, 1537, 1462, 1416 and 1378; NMR δ_H (400 MHz, DMSO) 9.69 (1H, br s), 8.11 (1H, s), 7.95 (1H, s), 7.73 (1H, d, *J* 3.3 Hz), 7.43 (1H, d, *J* 7.6 Hz), 7.23 – 7.07 (3H, m), 6.75 (1H, dd, *J* 3.3, 1.7 Hz), 6.49 (2H, br s), 5.04 (2H, s) and 2.25 (3H, s); Anal. Calcd for $C_{18}H_{16}N_6O_2 \cdot 0.8\ H_2O$: C, 59.59; H, 4.89; N, 20.17. Found: C, 59.43; H, 4.60; N, 20.27.
141	Q	60	Mp 278 °C (dec); IR ν_{max} (Nujol)/cm^{-1} 3480, 3257, 3179, 2924, 2854, 1678, 1661, 1627, 1592, 1545, 1463 and 1415; NMR δ_H (400 MHz, DMSO) 10.59 (1H, br s), 8.10 (1H, s), 7.95 (1H, s), 7.76 – 7.73 (2H, m), 7.45 (1H, d, *J* 9.2 Hz), 7.36 (1H, t, *J* 8.0 Hz), 7.13 (1H, m), 6.75 (1H, dd, *J* 3.6, 2.0 Hz), 6.50 (2H, s) and 5.01 (2H, s); Anal. Calcd for $C_{17}H_{13}ClN_6O_2 \cdot 0.25\ H_2O$: C, 54.70; H, 3.65; N, 23.51. Found: C, 54.53; H, 3.50; N, 23.50.
142	Q	20	Mp 281.3 – 283.2 °C; IR ν_{max} (Nujol)/cm^{-1} 3185, 2923, 2854, 1704, 1638, 1591, 1571, 1541, 1464, 1416, 1377 and 1297; NMR δ_H (400 MHz, DMSO) 10.80 (1H, br s), 8.45 (2H, d, J 5.6 Hz), 8.10 (1H, s), 7.95 (1H, s), 7.73 (1H, d, *J* 3.2 Hz), 7.54 (2H, d, *J* 6.4 Hz), 6.75 (1H, dd, *J* 3.2, 1.6 Hz), 6.51 (2H, br s) and 5.05 (2H, s).
143	Q	63	Mp 285.6 – 286.4 °C; IR ν_{max} (Nujol)/cm^{-1} 3472, 3176, 2924, 2854, 1698, 1640, 1591, 1560, 1460, 1415, 1377 and 1297; NMR δ_H (400 MHz, DMSO) 10.63 (1H, br s), 8.74 (1H, d, J 2.4 Hz), 8.29 (1H, d, J 3.6 Hz), 8.11 (1H, s), 8.00 (1H, m), 7.95 (1H, s), 7.74 (1H, d, *J* 3.2 Hz), 7.36 (1H, dd, *J* 8.4, 4.8 Hz), 6.75 (1H, dd, *J* 3.2, 1.6 Hz), 6.51 (2H, br s) and 5.04 (2H, s); Anal. Calcd for $C_{16}H_{13}N_7O_2 \cdot 2.2\ H_2O$: C, 51.25; H, 4.68; N, 26.15. Found: C, 51.33; H, 4.51; N, 26.18.
144	Q	51	Mp 277 °C (dec); IR ν_{max} (Nujol)/cm^{-1} 3486, 3272, 3181, 2924, 2854, 1650, 1633, 1594, 1555, 1492, 1463, 1412 and 1377; NMR δ_H (400 MHz, DMSO) 8.70 (1H, br t, J 6.0 Hz), 8.07 (1H, s), 7.94 (1H, s), 7.72 (1H, d, *J* 3.2 Hz), 7.42 – 7.30 (4H, m), 6.74 (1H, dd, *J* 3.2, 1.6 Hz), 6.50 (2H, br s), 4.84 (2H, s) and 4.30 (2H, d, J 5.6 Hz).
145	Q	64	Mp 224.0 – 224.1 °C; IR ν_{max} (Nujol)/cm^{-1} 3476, 3317, 3196, 3072, 2924, 2854, 1654, 1628, 1607, 1592, 1570, 1515, 1490, 1458, 1413, 1360, 1304 and 1292; NMR δ_H (400 MHz, DMSO) 8.04 (1H, s), 7.94 (1H, d, J 1.0 Hz), 7.73 (1H, d, *J* 2.9 Hz), 7.48 – 7.25 (5H, m), 6.75 (1H, dd, *J* 3.4, 1.8 Hz), 6.48 (2H, br s), 5.13 (2H, s), 4.53 (2H, s) and 3.07 (3H, s).

146	S	16	NMR δ_H (400 MHz, $CDCl_3$) 3.19 (2H, t, *J* 7.0 Hz), 4.38 (2H, t, *J* 7.0 Hz) 5.08 (2H, br s), 6.63 (1H, dd, *J* 1.5, 3.5 Hz), 7.04 (2H, dd, *J* 1.5, 4.5 Hz), 7.49 (1H, s), 7.72 (1H, dd, *J* 1.0, 2.5 Hz), 7.78 (1H, dd, *J* 1.5, 3.5 Hz) and 8.52 (2H, dd, *J* 1.5, 4.5 Hz); Retention time: 2.71 min, (50:20).
147	S	9	NMR δ_H (400 MHz, $CDCl_3$) 2.52 (4H, t, *J* 4.7 Hz), 2.76 (2H, t, *J* 6.0 Hz), 3.69 (4H, t, *J* 4.7 Hz), 4.10 (2H, t, *J* 6.0 Hz), 5.04 (2H, br s), 6.64 (1H, dd, *J* 1.5, 3.5 Hz), 7.71 (1H, dd, *J* 1.0, 1.5 Hz), 7.79 (1H, dd, *J* 1.0, 3.5 Hz) and 7.94 (1H, s); Retention time: 1.96 min, (50:20).
148	S	17	NMR δ_H (400 MHz, $CDCl_3$) 5.06 (2H, br s), 5.31 (2H, s), 6.63 (1H, dd, *J* 2.0, 3.5 Hz), 7.28 (1H, m), 7.60 (1H, m), 7.72 (1H, dd, *J* 1.0, 2.5 Hz), 7.77 (1H, s), 7.80 (1H, dd, *J* 1.0, 3.5 Hz), 8.59 (1H, dd, *J* 1.5, 5.0 Hz) and 8.67 (1H, d, *J* 2.5 Hz); Retention time: 2.51 min, (50:20).
150	A	10	mp 247 - 248 °C; NMR δ_H (400 MHz, DMSO) 2.66 (3H, s), 6.28 (2H, br s), 7.03 (1H, d, *J* 5.0 Hz), 7.63 (1H, d, *J* 5.0 Hz), 8.03 (1H, s) and 12.57 (1H, br s); Retention time: 2.91 min
151	AA	11	IR ν_{max} (Nujol)/cm^{-1} 3317, 3194, 2923, 2854, 1732, 1456; NMR δ_H (400 MHz, $CDCl_3$) 2.92 (2H, t, *J* 6.4 Hz), 3.67 (3H, s), 4.40 (2H, t, *J* 6.4 Hz), 5.30 (2H, br s), 6.62 (1H, dd, *J* 1.6, 3.4 Hz), 7.70 (1H, dd, *J* 0.7, 1.6 Hz), 7.79 (1H, dd, *J* 0.7, 3.4 Hz and 7.87 (1H, s).
152	M	99	IR ν_{max} (Nujol)/cm^{-1} 3500 – 2800 br, 2923, 2855, 1715, 1644, 1588, 1520, 1465, 1412 and 1378; NMR δ_H (400 MHz, DMSO) 8.07 (1H, s), 7.94 (1H, m), 7.70 (1H, m), 6.73 (1H, dd, *J* 3.5, 1.5 Hz), 6.55 (2H, br s), 4.25 (2H, t) and 2.85 (2H, t, *J* 6.5 Hz); M/Z 274 $(M + H)^+$.
153	AB	30	Mp. 342 °C dec.; IR ν_{max} (Nujol)/cm^{-1} 2925, 2855, 1587, 1463, 1377, 846; NMR δ_H (400 MHz, DMSO) 2.68 (3H, s), 6.78 (1H, m), 7.80 (1H, m), 8.00 (1H, m), 8.40 (1H, m) and 13.32 (1H, br).
154	G	46	Mp 152 °C (dec); IR ν_{max} (Nujol)/cm^{-1} 3273, 3109, 2920, 2854, 1727, 1600, 1588, 1551, 1481, 1455, 1401 and 1374; NMR δ_H (400 MHz, DMSO) 9.26 (1H, t, J 5.9 Hz), 8.92 (1H, s), 8.09 (1H, m), 7.85 (1H, m), 7.30 – 7.50 (5H, m), 6.84 (1H, dd, *J* 3.5, 1.5 Hz), 4.68 (2H, d, *J* 5.9 Hz) and 2.76 (3H, s); Retention time 5.38 min. (80:50)
155	H		NMR δ_H (400 MHz, $CDCl_3$) 8.25 (1H, s), 8.09 (1H, d, J 6.8 Hz), 7.84 (1H, d, *J* 3.6 Hz), 6.66 (1H, dd, *J* 3.6, 1.6 Hz), 5.15 (2H, br s), 4.07 (1H, m) and 1.44 (6H, d, J 6.8 Hz).
156	AC	6	IR ν_{max} (Nujol)/cm^{-1} 2923, 2854, 1588, 1564, 1486, 1462, 1376, 1352, 1309 and 1236; NMR δ_H (400 MHz, $CDCl_3$) 7.96 (1H, s), 7.88 (1H, m), 7.75 (1H, m), 7.20 (4H, m), 6.50 (1H, m), 5.35 (2H, s) and 2.35 (3H, s).

157	AC		NMR δ_H (400 MHz, DMSO) 5.38 (2H, s), 6.56 (2H, br s), 6.75 (1H, dd, *J* 1.5, 3.5 Hz), 7.10 (1H, m), 7.16 (1H, m), 7.25 (1H, m), 7.37 (1H, m), 7.72 (1H, d, *J* 3.5 Hz), 7.95 (1H, dd, *J* 1.0, 2.0 Hz) and 8.15 (1H, s); Retention time: 1.58 min, (80:50).
158	AC	60	mp 284.5 – 285.3 °C; IR ν_{max} (Nujol)/cm^{-1} 3319, 3195, 3139, 3091, 1641, 1590, 1557, 1530, 1463, 1377 and 1349; NMR δ_H (400 MHz, DMSO) 5.47 (2H, s), 6.58 (2H, s), 6.72 - 6.78 (1H, m), 7.65 (1H, dt, *J* 7.5, 1.0 Hz), 7.69 – 7.76 (2H, m), 7.95 (1H, t, *J* 1.0 Hz), 8.15 – 8.16 (1H, m), 8.17 (1H, s), 8.26 (1H, s); Anal. Calcd for $C_{16}H_{12}N_6O_3 \cdot 0.35\ H_2O$: C, 56.09; H, 3.74; N, 24.53. Found: C, 56.10; H, 3.72; N, 24.40.
159	AC	46	mp 212.8 – 212.9 °C; NMR δ_H (400 MHz, DMSO) 5.43 (2H, s), 6.57 (2H, s), 6.73 – 6.78 (1H, m), 7.45 (2H, d, *J* 8.0 Hz), 7.70 – 7.75 (3H, m), 7.95 – 7.97 (1H, m), 8.24 (1H, s); Anal. Calcd for $C_{17}H_{12}N_5OF_3 \cdot 0.1\ H_2O$: C, 56.54; H, 3.41; N, 19.39. Found: C, 56.65; H, 3.62; N, 19.01.
160	H	95	NMR δ_H (400 MHz, DMSO) 6.76 (1H, dd, *J* 2.0, 3.5 Hz), 7.05 (2H, br s), 7.67 (1H, d, *J* 3.5 Hz), 7.99 (2H, m), 8.56 (1H, s), 8.62 (1H, dd, *J* 1.5, 8.0 Hz), 8.72 (1H, d, *J* 8.0 Hz) and 8.89 (1H, t, *J* 2.0 Hz); Retention time: 4.15 min, (80:50).
161	H	55	IR ν_{max} (Nujol)/cm^{-1} 3493, 3405, 3309, 3192, 2924, 2854, 1624, 1586, 1565, 1467 and 1351; NMR δ_H (400 MHz, DMSO) 6.77 (1H, dd, *J* 2.0, 3.5 Hz), 6.86 (2H, br s), 7.70 (1H, d, *J* 3.5 Hz), 7.72 – 7.76 (2H, m), 7.90 (1H, dd, *J* 2.0, 7.0 Hz), 8.00 (1H, d, *J* 1.5 Hz), 8.39 (1H, dd, *J* 2.5, 7.5 Hz) and 8.62 (1H, s); Retention time 4.54 min, (80:50).
162	H	69	IR ν_{max} (Nujol)/cm^{-1} 3503, 3324, 3202, 3115, 2924, 2854, 1634, 1587, 1569, 1467, 1392 and 1350; NMR δ_H (400 MHz, DMSO) 6.76 (1H, dd, *J* 2.0, 3.5 Hz), 7.04 (2H, br s), 7.67 (1H, dd, *J* 0.5, 3.5 Hz), 7.92 (2H, d, *J* 8.5 Hz), 7.98 (1H, dd, *J* 1.0, 2.0 Hz), 8.17 (2H, d, *J* 9.0 Hz) and 8.50 (1H, s); Retention time 2.01 min, (80:50).
163	H	36	IR ν_{max} (Nujol)/cm^{-1} 3505, 3327, 3206, 2924, 2854, 1634, 1593, 1567, 1480, 1465, 1384 and 1348; NMR δ_H (400 MHz, DMSO) 6.76 (1H, dd, *J* 1.5, 3.5 Hz), 7.04 (2H, br s), 7.54 (2H, t, *J* 9.0 Hz), 7.67 (1H, dd, *J* 0.5, 3.5 Hz), 7.98 (1H, dd, *J* 1.0, 2.0 Hz), 8.34 (1H, dd, *J* 5.0, 9.0 Hz) and 8.50 (1H, s); Retention time 3.89 min, (80:50).
164	H	29	IR ν_{max} (Nujol)/cm^{-1} 3484, 3301, 3184, 3107, 2924, 2854, 1661, 1633, 1588, 1465, 1376, 1356 and 1166; NMR δ_H (400 MHz, DMSO) 3.78 (3H, s), 6.78 (1H, dd, *J* 2.0 3.5 Hz), 7.05 (2H, br s), 7.72 (1H, m), 8.01 (1H, m) and 8.31 (1H, s); Retention time 3.22 min, (80:50).
165	H	34	NMR δ_H (400 MHz, DMSO) 0.84 (3H, t, *J* 7.2 Hz), 1.36 (2H, sextet, *J* 7.2 Hz), 1.65 (2H, m), 3.93 (2H, m), 6.78 (1H, dd, *J* 2.0, 3.5 Hz), 7.05 (2H, br s), 7.73 (1H, dd, *J* 1.0, 3.5 Hz), 8.01 (1H, dd, *J* 1.0, 1.5 Hz) and 8.32 (1H, s); Retention time 2.27 (80:50).
166	H	55	NMR δ_H (400 MHz, DMSO) 6.74 (1H, dd, *J* 1.5, 3.5 Hz), 6.76 (2H, br s), 7.66 (2H, m), 7.92 (1H, t, *J* 8.0 Hz), 7.95 (1H, dd, *J* 1.0, 2.0 Hz), 8.48 (1H, dd, *J* 1.5, 8.0 Hz), 8.55 (1H, dd, *J* 1.5, 8.5 Hz), 8.72 (1H, dd, *J* 1.5, 7.5 Hz), 8.75 (1H, s) and 8.95 (1H, dd, *J* 1.5, 4.5 Hz); Retention time 3.29 min, (80:50).

167	H	26	NMR δ_H (400 MHz, DMSO) 2.38 (3H, s), 2.87 (3H, s), 6.77 (1H, dd, *J* 1.5 3.5 Hz), 6.97 (2H, br s), 7.70 (1H, dd, *J* 1.0, 3.5 Hz), 8.00 (1H, dd, *J* 1.0, 1.5 Hz) and 8.57 (1H, s); Retention time: 3.70 min, (80:50).
168	H	58	NMR δ_H (400 MHz, DMSO) 6.76 (1H, dd, *J* 1.5, 3.5 Hz), 7.43 (1H, ddd, *J* 1.0, 5.0, 7.5 Hz), 7.68 (1H, dd, *J* 1.0, 3.5 Hz), 7.93 (1H, dt, *J* 1.5, 7.5 Hz), 7.97 (1H, d, *J* 4.5 Hz), 7.99 (1H, dd, *J* 1.0, 1.5 Hz), 8.09 (1H, dt, *J* 1.0, 8.0 Hz), 8.24 (1H, d, *J* 4.0 Hz), 8.52 (1H, s) and 8.59 (1H, ddd, *J* 1.0, 5.0, 5.5 Hz); Retention time 5.71 min, (80:50).
169	Q		Mp 287.1 – 288.2 °C; IR ν_{max} (Nujol)/cm^{-1} 3200, 2920, 2854, 1666, 1651, 1591, 1568, 1538, 1503, 1453, 1416, 1378, 1282 and 1233; NMR δ_H (400 MHz, DMSO) 9.60 (1H, br s), 8.11 (1H, s), 7.96 (1H, s), 7.73 (1H, d, *J* 3.2 Hz), 7.25 (1H, d, *J* 8.4 Hz), 6.80 (1H, d, *J* 2.8 Hz), 6.76 – 6.71 (2H, m), 6.52 (2H, br s), 5.00 (2H, s), 3.71 (3H, s) and 2.20 (3H, s).
170	Q		NMR δ_H (400 MHz, DMSO) 9.63 (1H, br s), 8.11 (1H, s), 7.95 (1H, s), 7.73 (1H, s), 7.28 (1H, d, *J* 8.0 Hz), 7.03 (1H, s), 6.97 (1H, m), 6.75 (1H, s), 6.52 (2H, br s), 5.02 (2H, s), 2.24 (3H, s) and 2.20 (3H, s).
171	I	57	Mp 134.6 °C; NMR δ_H (400 MHz, DMSO) 8.35 (1H, s), 7.88 (1H, m), 7.65 (1H, m), 7.30 – 7.40 (5H, m), 6.67 (1H, dd, J 3.5, 1.5 Hz), 4.75 (2H, br m), 3.09 (3H, br m) and 2.86 (3H, s); Retention time 2.56 min (80:50)
172	AC	28	mp 245.6 – 246.0 °C; IR ν_{max} (Nujol)/cm^{-1} 3393, 3318, 3189, 3091, 1794, 1740, 1646, 1592, 1519, 1466, 1408, 1342 and 1310; NMR δ_H (400 MHz, DMSO) 5.49 (2H, s), 6.58 (2H, s), 6.74 – 6.79 (1H, m), 7.47 – 7.51 (2H, m), 7.75 (1H, dd, *J* 3.5 Hz, 1.0 Hz), 7.96 – 7.98 (1H, m), 8.19 – 8.24 (2H, m) and 8.26 (1H, s).
173	AH	57	Mp. 196.5 °C dec.; IR ν_{max} (Nujol)/cm^{-1} 2923, 2852, 2243, 1596, 1463, 1378, 1144; NMR δ_H (400 MHz, $CDCl_3$) 2.35 (3H, s), 5.42 (2H, s), 6.69 (1H, dd *J* 1.8, 3.5 Hz), 7.19 (2H, m), 7.25 (2H, m), 7.82 (1H, m), 7.90 (1H, m) and 8.19 (1H, br s).
174	X	43	Mp 160 °C (dec); IR ν_{max} (Nujol)/cm^{-1} 3347, 2924, 2854, 1771, 1718, 1607, 1593, 1562, 1460, 1403 and 1378; NMR δ_H (400 MHz, DMSO) 8.07 (1H, s), 7.93 (1H, d, J 2.0 Hz), 7.80 (4H, s), 7.67 (1H, d, *J* 4.0 Hz), 6.72 (1H, dd, *J* 3.5, 2.0 Hz), 6.19 (2H, br s), 4.37 – 4.30 (2H, m), 4.04 – 3.96 (2H, m) and 3.30 (3H, s).
175	Q		NMR δ_H (400 MHz, DMSO) 10.55 (1H, br s), 8.10 (1H, s), 7.96 (1H, s), 7.74 (1H, s), 7.60 (2H, m), 7.39 (2H, m), 6.76 (1H, s), 6.53 (2H, br s) and 5.01 (2H, s).
176	Q		NMR δ_H (400 MHz, DMSO) 10.72 (1H, br s), 8.10 (1H, s), 7.96 (1H, s), 7.94 (1H, s), 7.74 (1H, s), 7.59 (1H, d, *J* 8.8 Hz), 7.49 (1H, d, J 8.4 Hz), 6.76 (1H, s), 6.54 (2H, s) and 5.02 (2H, s).
177	AC	50	mp 302.5 – 304.8 °C; IR ν_{max} (Nujol)/cm^{-1} 3356, 3314, 3198, 2232, 1636, 1618, 1591, 1557, 1515 and 1463; NMR δ_H (400 MHz, DMSO) 5.38 (2H, s), 6.58 (2H, s), 6.73 – 6.78 (1H, m), 7.54 – 7.61 (2H, m), 7.73 (1H, d, *J* 3.5 Hz), 7.76 – 7.80 (2H, m), 7.94 – 7.96 (1H, m), 8.23 (1H, s); Anal. Calcd for $C_{17}H_{12}N_6O \cdot 0.4\ H_2O$: C, 63.11; H, 3.99; N, 25.98. Found: C, 63.18; H, 3.92; N, 26.02.

178	AC	32	IR ν_{max} (Nujol)/cm^{-1} 1644, 1584, 1463, 1408 and 1377; NMR δ_H (400 MHz, DMSO) 5.44 (3H, s), 6.92 – 6.95 (1H, m), 7.03 – 7.06 (1H, m), 7.32 (1H, dt, *J* 7.5 Hz, 1.5 Hz), 7.39 (1H, dt, *J* 7.5 Hz, 1.5 Hz), 7.55 (1H, dd, *J* 8.0 Hz, 1.0 Hz), 7.98 (1H, d, *J* 3.5 Hz), 8.23 (1H, s) and 8.51 (1H, s).
179	H	38	NMR δ_H (400 MHz, DMSO) 4.67 (2H, d, *J* 5.5 Hz), 6.76 (1H, dd, *J* 1.5, 3.5 Hz), 7.05 (2H, br s), 7.23 (1H, d, *J* 4.0 Hz), 7.55 (2H, d, *J* 8.5 Hz), 7.67 (1H, d, *J* 3.5 Hz), 7.87 (1H, d, *J* 8.5 Hz), 7.99 (1H, dd, *J* 1.0 1.5 Hz), 8.10 (1H, d, *J* 4.5 Hz), 8.48 (1H, s) and 9.34 (1H, t, *J* 6.0 Hz); Retention time 5.38 min, (80:50).
180	H	34	NMR δ_H (400 MHz, DMSO) 6.75 (1H, dd, *J* 2.0, 3.5 Hz), 6.95 (2H, br s), 7.66 (1H, dd, *J* 1.0, 3.5 Hz), 7.89 (1H, dd, *J* 7.0, 9.0 Hz), 7.97 (1H, dd, *J* 1.0, 1.5 Hz), 8.55 (1H, dd, *J* 1.0, 9.0 Hz) and 8.64 (2H, t, *J* 3.5 Hz); Retention time 3.82 min, (80:50).
181	H		IR ν_{max} (Nujol)/cm^{-1} 3480, 3317, 3203, 2923, 2854, 1723, 1626, 1588, 1566, 1466, 1378, 1350 and 1268; NMR δ_H (400 MHz, DMSO) 3.83 (3H, s), 6.77 (1H, dd, *J* 1.5, 3.5 Hz), 6.89 (2H, br s), 7.70 (1H, dd, *J* 1.5, 3.5 Hz), 7.80 (1H, d, *J* 5.5 Hz), 7.99 (1H, dd, *J* 0.5, 1.5 Hz), 8.12 (1H, d, *J* 5.0 Hz) and 8.49 (1H, s); Retention time 2.71 min, (80:50).
182	H	61	NMR δ_H (400 MHz, DMSO) 4.39 (2H, br s), 6.77 (1H, dd, *J* 1.5, 3.5 Hz), 7.20 (1H, d, *J* 2.0 Hz), 7.69 (1H, dd, *J* 1.0, 3.5 Hz), 7.87 (1H, d, *J* 4.0 Hz), 8.01 (1H, dd, *J* 1.0, 1.5 Hz), 8.29 (1H, d, *J* 4.0 Hz), 8.54 (1H, s) and 8.76 (1H, d, *J* 2.0 Hz); Retention time 4.72 min , (80:50).
183	H		IR ν_{max} (Nujol)/cm^{-1} 3424, 3323, 3208, 2924, 2854, 1634, 1586, 1565, 1502, 1464, 1378 and 1352; NMR δ_H (400 MHz, DMSO) 2.56 (3H, s), 3.75 (3H, s), 6.76 (1H, dd, *J* 1.5, 3.5 Hz), 6.88 (2H, br s), 7.69 (1H, dd, *J* 1.0, 3.5 Hz), 7.99 (1H, dd, *J* 0.5, 2.0 Hz) and 8.49 (1H, s); Retention time 2.98 min, (80:50).
184	H	47	NMR δ_H (400 MHz, DMSO) 2.62 (3H, s), 6.76 (1H, dd, *J* 1.5, 0.5 Hz), 7.06 (2H, br s), 7.68 (1H, dd, J 1.0, 3.5 Hz), 7.99 (1H, dd, *J* 1.0, 1.5 Hz), 8.18 (2H, d, *J* 8.5 Hz), 8.38 (2H, d, *J* 8.5 Hz) and 8.54 (1H, s); Retention time 3.27 min, (80:50).
185	H	59	IR ν_{max} (Nujol)/cm^{-1} 3493, 3334, 2924, 2854, 1627, 1591, 1565, 1469, 1378, 1346, 1156 and 1145; NMR δ_H (400 MHz, DMSO) 6.76 (1H, dd, *J* 1.5, 3.5 Hz), 6.98 (2H, br s), 7.46 – 7.53 (3H, m), 7.70 (1H, dd, *J* 1.0, 3.5 Hz), 7.73 (1H, d, *J* 15.5 Hz), 7.81 (2H, dd, *J* 1.5, 8.5 Hz), 7.99 (1H, dd, *J* 1.0, 2.0 Hz), 8.06 (1H, *J* 15.5 Hz) and 8.39 (1H, s); Retention time 4.77 min, (80:50).
186	H	18	NMR δ_H (400 MHz, DMSO) 1.25 (3H, t, *J* 7.5 Hz), 3.92 (2H, q, *J* 7.5 Hz), 6.78 (1H, dd, *J* 1.5, 3.5 Hz), 7.05 (2H, br s), 7.73 (1H, d, *J* 3.5 Hz), 8.01 (1H, dd, *J* 1.0, 2.0 Hz) and 8.32 (1H, s); Retention time 1.0, (80:50).
187	S	34	NMR δ_H (400 MHz, $CDCl_3$) 5.10 (2H, br s), 5.42 (2H, s), 6.64 (1H, dd, *J* 1.5, 3.5 Hz), 7.20 (1H, d, *J* 8.0 Hz), 7.23 (1H, m), 7.65 (1H, dt, *J* 2.0, 7.5 Hz), 7.71 (1H, m), 7.82 (1H, d, *J* 4.0 Hz), 7.96 (1H, s) and 8.58 (1H, m); Retention time 2.66 min, (50:20).
188	S	30	IR ν_{max} (Nujol)/cm^{-1} 3315, 3190, 2924, 1586, 1567, 1513, 1462, 1408 and 1377; NMR δ_H (400 MHz, $CDCl_3$) 1.75 (2H, br s), 5.11 (2H, br s), 6.65 (1H, dd, *J* 1.5, 2.5 Hz), 7.12 (2H, dd, *J* 1.0, 4.5 Hz), 7.73 (1H, dd, *J* 1.0, 2.0 Hz), 7.78 (1H, s), 7.83 (1H, dd, *J* 1.0, 3.5 Hz), and 8.60 (2H, dd, *J* 1.5, 4.5 Hz); Retention time 2.27 min, (50:20).

189	S	43	IR ν_{max} (Nujol)/cm^{-1} 3501, 3303, 3176, 3150, 2933, 2855, 1640, 1604, 1587, 1568, 1515, 1466, 1411 and 1378; NMR δ_H (400 MHz, $CDCl_3$) 2.26 (2H, quintet, *J* 7.5 Hz), 2.69 (2H, t, *J* 7.5 Hz), 4.16 (2H, t, *J* 7.0 Hz), 5.12 (2H, br s), 6.64 (1H, dd, *J* 1.5, 3.5 Hz), 7.24 (1H, ddd, *J* 1.0, 5.0, 8.0 Hz), 7.51(1H, dt, *J* 2.0, 8.0, 8.1 Hz), 7.74 (1H, dd, *J* 1.0, 2.0 Hz), 7.76 (1H, s), 7.80 (1H, dd, *J* 1.0, 3.5 Hz), 8.48 (1H, dd, *J* 1.5, 5.0 Hz) and 8.51 (1H, d, *J* 2.0 Hz); Retention time 4.15 min, (50:20).
190	S	31	NMR δ_H (400 MHz, $CDCl_3$) 2.26 (2h, quintet, *J* 7.5 Hz), 2.68 (2H, t, *J* 7.5 Hz), 4.16 (2H, t, *J* 7.0 Hz), 5.08 (2H, br s), 6.64 (1H, dd, *J* 1.5, 3.5 Hz), 7.12 (2H, dd, *J* 1.5, 4.5 Hz), 7.72 (1H, dd, *J* 1.0, 1.5 Hz), 7.75 (1H, s), 7.80 (1H, dd, *J* 1.0, 3.5 Hz) and 8.52 (2H, dd, *J* 1.5, 4.5 Hz); Retention time 3.95 min, (50:20).
191	G	39	NMR δ_H (400 MHz, DMSO) 1.58 (3H, d, *J* 7.0 Hz), 5.09 (1H, m), 6.78 (1H, dd, *J* 1.5, 3.5 Hz), 7.13 (2H, br s), 7.42 (2H, d, *J* 8.5 Hz), 7.57 (2H, d, *J* 8.5 Hz), 7.75 (1H, dd, *J* 1.0, 3.5 Hz), 8.01 (1H, dd, *J* 1.0, 2.0 Hz), 8.44 (1H, s) and 1.33 (1H, d, *J* 7.5 Hz); Retention time 6.28 min, (80:50).
192	AD	22	IR ν_{max} (Nujol)/cm^{-1} 3404, 3347, 3137, 3089, 1661, 1647, 1628, 1535, 1517, 1466, 1420 and 1377; NMR δ_H (400 MHz, DMSO) 3.51 – 4.43 (6H, s), 5.37 (2H, s), 6.84 – 6.86 (1H, m), 7.03 (1H, s), 7.16 (2H, t, *J* 10.0 Hz), 7.40 (1H, t, *J* 7.5 Hz), 7.86 (1H, d, *J* 3.0 Hz), 8.10 (1H, s) and 8.42 (1H, s).
193	AC	36	mp 216.5 – 216.6 °C; IR ν_{max} (Nujol)/cm^{-1} 3483, 3298, 3186, 3096, 1721, 1624, 1595, 1514, 1489, 1456, 1409, 1379, 1287 and 1202; NMR δ_H (400 MHz, DMSO) 3.83 (3H, s), 5.40 (2H, s), 6.74 – 6.76 (1H, m), 7.49 – 7.58 (2H, m), 7.72 – 7.74 (1H, m), 7.85 – 7.91 (2H, m), 7.96 (1H, t, *J* 1.0 Hz) and 8.25 (1H, s); Anal. Calcd for $C_{18}H_{15}N_5O_3$: C, 61.89; H, 4.33; N, 20.55. Found: C, 61.77; H, 4.38; N, 19.96.
194	AC	45	IR ν_{max} (Nujol)/cm^{-1} 3309, 3089, 2231, 1645, 1517, 1466, 1410, 1378 and 1304; NMR δ_H (400 MHz, DMSO) 5.45 (2H, s), 6.85 – 6.90 (1H, m), 7.45 (2H, d, *J* 8.5 Hz), 7.84 (2H, d, *J* 8.5 Hz), 7.88 (1H, d, *J* 3.5 Hz), 8.15 (1H, s) and 8.48 (1H, s).
195	Y	21	mp >190 °C (dec); IR ν_{max} (Nujol)/cm^{-1} 3492, 3302, 3085, 2918, 1629, 1580, 1456, 1031, 817 and 627; NMR δ_H (400 MHz, DMSO) 2.40 (3H, s), 6.42 - 6.31 (3H, m), 7.66 (1H, d, *J* 3.0 Hz), 8.02 (1H, s) and 12.54 (1H, br s); Retention time (80:50) 0.65 min
196	H	27	NMR δ_H (400 MHz, DMSO) 0.82 (3H, t, J 7.5 Hz), 1.15 – 1.30 (6H, m), 1.66 (2H, quintet, *J* 7.5 Hz), 3.92 (2H, t, *J* 7.5 Hz), 6.78 (1H, dd, *J* 1.5, 3.5 Hz), 7.05 (2H, br s), 7.72 (1H, d, *J* 3.5 Hz), 8.01 (1H, dd, *J* 1.0, 1.5 Hz) and 8.32 (1H, s); Retention time 7.72 (80:50).
197	AC	74	mp 290.6 – 290.7 °C; NMR δ_H (400 MHz, DMSO) 5.69 (2H, s), 6.58 (2H, s), 6.75 – 6.79 (1H, m), 6.87 (1H, d, *J* 7.5 Hz), 7.60 (1H, t, *J* 7.5 Hz), 7.68 (1H, d, *J* 3.5 Hz), 7.97 (1H, s) and 8.14 – 8.20 (2H, m).
198	AC	17	mp 19.7 – 201.5 °C; NMR δ_H (400 MHz, DMSO) 3.72 (3H, s), 5.28 (2H, s), 6.56 (2H, s), 6.73 – 6.76 (1H, m), 6.79 – 6.91 (3H, m), 7.25 (1H, t, *J* 7.5 Hz), 7.72 (1H, dd, *J* 3.5 Hz, 1.0 Hz), 7.94 – 7.96 (1H, m) and 8.20 (1H, s).

199	M	77	mp 294.0 – 294.3 °C; NMR δ_H (400 MHz, DMSO) 5.40 (2H, s), 5.75 (1H, s), 6.57 (2H, s), 6.74 – 6.77 (1H, m), 7.45 – 7.56 (2H, m), 7.74 (1H, dd, *J* 3.5, 1.0 Hz), 7.80 – 7.83 (1H, m), 7.86 (1H, dt, *J* 7.5, 1.5 Hz), 7.95 – 7.97 (1H, m), 8.25 (1H, s) and 12.83 – 13.12 (1H, s).
201	G	62	Mp. 350 °C dec.; NMR δ_H (400 MHz, $CDCl_3$) 4.62 (2H, m), 6.45 (2H, m), 6.80 (1H, m), 7.05 (1H, br s), 7.63 (1H, m), 7.77 (1H, m), 8.03 (1H, m), 8.50 (1H, s) and 9.20 (1H, m).
202	G	20	Mp 296.3 °C; IR ν_{max} (Nujol)/cm^{-1} 3291, 3167, 3119, 2927, 1715, 1633, 1596, 1567, 1401 and 1376; NMR δ_H (400 MHz, DMSO) 9.32 (1H, t, J 6.0 Hz), 8.46 (1H, s), 8.05 (1H, m), 7.75 (1H, m), 7.45 (1H, m), 7.15 (1H, m), 7.00 (3H, m), 6.78 (1H, m) and 4.79 (2H, d, J 6.0 Hz); Retention time 3.52 min (80:50)
203	AC	20	mp 218.2 – 218.5 °C; IR ν_{max} (Nujol)/cm^{-1} 3335, 3201, 1654, 1586, 1520, 1470 and 1412; NMR δ_H (400 MHz, DMSO) 5.35 (2H, s), 6.57 (2H, s), 6.74 – 6.77 (1H, m), 7.07 – 7.17 (3H, m), 7.36 – 7.44 (1H, m), 7.73 (1H, d, *J* 3.5 Hz), 7.96 (1H, s) and 8.22 (1H, s).
204	G	50	Mp 160 °C (dec); IR ν_{max} (Nujol)/cm^{-1} 3540, 3300, 3188, 3123, 2920, 2854, 1708, 1628, 1603, 1584, 1561, 1461, 1395 and 1377; NMR δ_H (400 MHz, DMSO) 9.33 (1H, br t, J 6.5 Hz), 8.47 (1H, s), 7.70 (1H, d, *J* 3.5 Hz), 7.44 – 7.33 (4H, m), 7.32 – 7.25 (1H, m), 7.00 (2H, br s), 6.42 (1H, dd, *J* 3.5, 1.0 Hz), 4.63 (2H, d, J 6.5 Hz) and 2.42 (3H, s).
205	AF	43	IR ν_{max} (Nujol)/cm^{-1} 3516, 3294, 3170, 3144, 3075, 1655, 1629, 1589, 1540, 1464, 1410 and 1368; NMR δ_H (400 MHz, DMSO) 1.98 (3H, s), 5.30 (2H, s), 6.55 (2H, s), 6.74 – 6.77 (1H, m), 6.92 (1H, d, *J* 8.5 Hz), 7.23 – 7.31 (2H, m), 7.54 (1H, d, *J* 8.0 Hz), 7.72 – 7.75 (1H, m), 7.95 – 7.96 (1H, m), 8.18 (1H, s) and 9.88 (1H, s).
206	AC	19	mp 201.9 – 203.0 °C; NMR δ_H (400 MHz, DMSO) 3.18 (3H, s), 5.45 (2H, s), 6.57 (2H, s), 6.47 – 6.77 (1H, m), 7.48 (2H, d, *J* 8.5 Hz), 7.74 (1H, d, *J* 3.5 Hz), 7.90 (2H, d, *J* 8.5 Hz), 7.95 – 7.97 (1H, m) and 8.25 (1H, s).
207	AD	92	IR ν_{max} (Nujol)/cm^{-1} 3314, 1644, 1464, 1378, 1311, 1256 and 1117; NMR δ_H (400 MHz, DMSO) 5.31 (2H, s), 6.84 – 6.89 (1H, m), 6.91 – 7.01 (1H, m), 7.14 (2H, t, *J* 7.5 Hz), 7.24 (1H, t, *J* 7.0 Hz), 7.87 (1H, d, *J* 3.5 Hz), 8.14 (1H, s), 8.47 (1H, s).
208	AC	34	Mp 210 – 220 °C (dec); NMR δ_H (400 MHz, DMSO) 7.77 (1H, d, *J* 3.0 Hz), 7.69 (1H, s), 7.19 – 7.12 (4H, m), 6.26 –6.22 (1H, m), 5.22 (2H, s), 5.04 (2H, br s), 2.49 (3H, s) and 2.33 (2H, s).
209	Y	58	Mp 300 °C (dec); NMR δ_H (400 MHz, DMSO) 8.24 (1H, s), 8.02 (1H, s), 7.82 (1H, s), 6.31 (2H, br s) and 4.11 (3H, s).
210	AF	16	mp 254.7 – 255.3 °C; NMR δ_H (400 MHz, DMSO) 3.12 (3H, s), 5.42 (2H, s), 6.53 (2H, s), 6.74 – 6.77 (1H, m), 6.96 (1H, d, *J* 7.0 Hz), 7.21 (1H, dt, *J* 7.5 Hz, 1.0 Hz), 7.34 (1H, dt, *J* 7.0 Hz, 1.5 Hz), 7.39 – 7.43 (1H, m), 7.74 (1H, dd, *J* 3.5 Hz, 1.0 Hz), 7.96 – 7.97 (1H, m), 8.17 (1H, s).

211	AC	53	IR ν_{max} (Nujol)/cm^{-1} 3325, 3194, 1650, 1589, 1519, 1467, 1411, 1377, 1305 and 1016; NMR δ_H (400 MHz, DMSO) 5.37 (2H, s), 6.51 (2H, s), 6.72 – 6.75 (1H, m), 7.15 (2H, t, *J* 8.0 Hz), 7.43 – 7.52 (1H, m), 7.69 (1H, dd, *J* 3.5 Hz, 1.0 Hz), 7.93 – 7.95 (1H, m) and 8.05 (1H, s).
212	S	43	NMR δ_H (400 MHz, $CDCl_3$) 2.55 (3H, s), 5.08 (2H, br s), 5.37 (2H, s), 6.63 (1H, dd, *J* 1.5, 3.5 Hz), 6.93 (1H, d, *J* 7.8 Hz), 7.07 (1H, d, *J* 7.8 Hz), 7.52 (1H, t, *J* 7.5 Hz), 7.71 (1H, dd, *J* 1.0, 1.5 Hz), 7.81 (1H, dd, *J* 1.0, 3.5 Hz) and 7.98 (1H, s); Retention time 4.68 min, (50:20).
213	S	11	NMR δ_H (400 MHz, $CDCl_3$) 5.05 (2H, br s), 5.14 (2H, s), 6.38 (1H, dd, *J* 1.0, 2.0 Hz), 6.63 (1H, dd, *J* 2.0, 3.0 Hz), 7.41 (1H, dd, *J* 1.5, 2.0 Hz), 7.48 (1H, dd, *J* 1.0, 2.0 Hz), 7.71 (1H, dd, *J* 1.0, 2.0 Hz), 7.76 (1H, s) and 7.79 (1H, dd, *J* 1.0, 3.5 Hz); Retention time 0.88 min, (80:50).
214	H	17	NMR δ_H (400 MHz, DMSO) 5.27 (2H, s), 6.78 (1H, dd, *J* 1.5, 3.5 Hz), 7.12 – 7.18 (3H, m), 7.33 – 7.38 (2H, m), 7.68 (1H, dd, *J* 1.0, 3.5 Hz), 7.96 (1H, s) and 8.04 (1H, dd, *J* 1.0, 1.5 Hz); Retention time 2.16 min, (80:50).
215	AC	56	mp 219.5 – 219.7 °C; NMR δ_H (400 MHz, DMSO) 3.86 (3H, s), 5.42 (2H, s), 6.57 (2H, s), 6.74 – 6.77 (1H, m), 7.36 (2H, d, *J* 8.0 Hz), 7.74 (1H, d, *J* 3.0 Hz), 7.91 – 7.98 (3H, m) and 8.23 (1H, s).
216	M	98	mp 301.1 – 302.1 °C; NMR δ_H (400 MHz, DMSO) 5.42 (2H, s) 6.80 – 6.84 (1H, m), 7.36 (2H, d, *J* 8.5 Hz), 7.82 (1H, d, *J* 3.0 Hz), 7.93 (2H, d, *J* 8.0 Hz), 8.06 (1H, s) and 8.38 (1H, s).
217	AF	95	mp 171.2 – 171.3 °C; NMR δ_H (400 MHz, DMSO) 5.42 (2H, s), 6.80 – 6.84 (1H, m), 7.36 (2H, d, *J* 8.5 Hz), 7.82 (1H, d, *J* 3.0 Hz), 7.89 – 7.94 (2H, m), 8.06 (1H, s) and 8.38 (1H, s).
218	Q	52	mp 276.4 – 276.9 °C; NMR δ_H (400 MHz, DMSO) 4.31 (2H, d, *J* 5.5 Hz), 4.81 (2H, s), 6.29 (1H, d, *J* 3.5 Hz), 6.41 (1H, m), 6.52 (2H, s), 6.74 – 6.76 (1H, m), 7.59 – 7.61 (1H, m), 7.73 (1H, d, *J* 3.0 Hz), 7.95 (1H, s), 8.06 (1H, s) and 8.72 (1H, t, *J* 5.5 Hz).
219	AC	45	mp 205.3 – 205.4 °C; IR ν_{max} (Nujol)/cm^{-1} 3571, 3384, 3328, 3215, 3081, 1645, 1394, 1523, 1480, 1466, 1409, 1364 and 1312; NMR δ_H (400 MHz, DMSO) 3.69 (6H, s), 5.22 (2H, s), 6.41 – 6.46 (2H, m), 6.56 (2H, s), 6.73 – 6.76 (1H, m), 7.72 (1H, d, *J* 2.5 Hz), 7.95 (1H, d, *J* 1.0 Hz) and 8.19 (1H, s).
220	AF	10	NMR δ_H (400 MHz, DMSO) 2.12 (3H, s), 5.27 (2H, s), 6.55 (2H, s), 6.74 – 6.77 (1H, m), 6.90 (1H, d, *J* 7.0 Hz), 7.13 (1H, t, *J* 7.5 Hz), 7.28 (1H, dt, *J* 7.5 Hz, 1.0 Hz), 7.36 – 7.41 (1H, m), 7.73 (1H, d, *J* 3.5 Hz), 7.95 – 7.97 (1H, m), 8.10 (1H, s) and 9.69 (1H, s); Retention time 0.87 min (80:20)
221	AG	48	IR ν_{max} (Nujol)/cm^{-1} 4328, 1643, 1463, 1410, 1378 and 1284; NMR δ_H (400 MHz, DMSO) 5.27 (2H, s), 6.66 (1H, t, *J* 1.5 Hz), 6.68 – 6.74 (2H, m), 6.90 – 6.96 (1H, m), 7.15 (1H, t, *J* 7.5 Hz), 7.97 (1H, d, *J* 3.5 Hz), 8.23 (1H, s) and 8.59 (1H, s).

222	S	4	NMR δ_H (400 MHz, CD_3OD) 3.83 (2H, t, *J* 5.6 Hz), 4.43 (2H, t, *J* 5.6 Hz), 6.69 (1H, dd, J 1.5, 3.5 Hz), 7.63 (2H, dd, *J* 1.5, 4.5 Hz), 7.66 (1H dd, *J* 1.0, 3.5 Hz), 7.82 (1H, dd, *J* 1.0, 2.0 Hz), 8.06 (1H, s) and 8.63 (2H, dd, *J* 2.0, 4.5 Hz).
223	S	9	IR ν_{max} (Nujol)/cm^{-1} 3336, 3204, 3090, 2923, 2854, 1651, 1588, 1567, 1519, 1468, 1408, 1376 and 1302; NMR δ_H (400 MHz, $CDCl_3$) 5.07 (2H, br s), 5.29 (2H, s), 6.63 (1H, dd, *J* 1.5, 3.5 Hz), 7.04 (1H, dd, *J* 1.5, 5.0 Hz), 7.22 (1H, dd, *J* 1.0, 3.0 Hz), 7.33 (1H, dd, *J* 3.0, 5.0 Hz), 7.71 (1H, dd, *J* 1.0, 1.5 Hz), 7.75 (1H, s) and 7.80 (1H, dd, *J* 1.0, 3.5 Hz); Retention time 1.47 min, (80:50).
224	S	15	NMR δ_H (400 MHz, $CDCl_3$) 5.01 (2H, br s), 5.24 (2H, s), 5.33 (2H, s), 6.62 (1H, dd, *J* 2.0, 3.5 Hz), 6.85 (2H, dd, *J* 1.5, 8.0 Hz), 6.93 (1H, d, *J* 1.5 Hz), 7.10 (1H, d, *J* 1.5 Hz), 7.12 – 7.21 (3H, m), 7.69 (1H, dd, *J* 1.0, 1.5 Hz), 7.73 (1H, dd, *J* 1.0, 3.5 Hz) and 7.82 (1H, s); Retention time 1.07 min, (80:50).
225	AD	86	IR ν_{max} (Nujol)/cm^{-1} 4330, 4259, 1642, 1579, 1513, 1464 and 1378; NMR δ_H (400 MHz, DMSO) 5.34 (2H, s), 6.84 – 6.87 (1H, m), 7.25 (2H, d, *J* 8.5 Hz), 7.35 (2H, d, *J* 8.5 Hz), 7.88 (1H, d, *J* 3.5 Hz), 8.12 (1H, s) and 8.45 (1H, s).
226	P	92	mp 243.2 – 243.8 °C; IR ν_{max} (Nujol)/cm^{-1} 3481, 3266, 3190, 1639, 1626, 1544, 1514, 1463, 1409 and 1378; NMR δ_H (400 MHz, DMSO) 4.47 (2H, d, *J* 6.0 Hz), 5.37 (2H, s), 6.54 (2H, s), 6.75 (1H, s), 7.19 – 7.25 (1H, m), 7.26 – 7.33 (4H, m), 7.37 – 7.49 (2H, m), 7.73 (1H, d, *J* 2.5 Hz), 7.79 – 7.83 (2H, m), 7.95 (1H, s), 8.22 (1H, s) and 8.99 – 9.05 (1H, m).
227	P	47	mp 170.0 – 171.9 °C; IR ν_{max} (Nujol)/cm^{-1} 3474, 3286, 3179, 1634, 1591, 1548, 1462, 1408, 1377 and 1308; NMR δ_H (400 MHz, DMSO) 4.46 (2H, d, *J* 6.0 Hz), 5.38 (2H, s), 6.56 (2H, s), 6.73 – 6.77 (1H, m), 7.19 – 7.26 (1H, m), 7.28 – 7.36 (5H, m), 7.73 (1H, d, J 3.0 Hz), 7.86 (2H, d, J 8.5 Hz), 7.96 (1H, s), 8.23 (1H, s) and 8.96 (1H, t, *J* 6.0 Hz); Anal. Calcd for $C_{24}H_{20}N_6O_2 \cdot 1.0\ H_2O$: C, 65.15; H, 5.01; N, 18.99. Found: C, 65.51; H, 4.66; N, 18.63.
228	H	54	IR ν_{max} (Nujol)/cm^{-1} 3504, 3299, 3184, 3138, 1630, 1596, 1468, 1376 and 1353; NMR δ_H (400 MHz, DMSO) 2.39 (3H, s), 6.76 (1H, s), 7.01 (2H, s), 7.50 (2H, d, *J* 7.0 Hz), 7.67 (1H, s), 7.98 (1H, s), 8.13 (2H, d, *J* 7.0 Hz), 8.49 (1H, s); Anal. calcd for $C_{16}H_{13}N_5O_3S \cdot 0.8\ H_2O$: C, 51.97; H 3.98; N, 18.94. Found: C, 52.21; H, 3.79; N, 18.60. M/Z 355 $(M+H)^+$.
229	AC	40	mp 282.4 – 282.6 °C; NMR δ_H (400 MHz, DMSO) 2.19 (3H, s), 2.49 (3H, s), 5.08 (2H, s), 6.53 (2H, s), 6.73 – 6.75 (1H, m), 6.70 (1H, d, *J* 2.5 Hz), 7.94 (1H, s) and 8.16 (1H, s).
230	P	3	IR ν_{max} (Nujol)/cm^{-1} 3390, 3325, 3215, 1640, 1586, 1518, 1467, 1410 and 1379; NMR δ_H (400 MHz, DMSO) 2.85 (3H, s), 2.95 (3H, s), 5.35 (2H, s), 6.57 (2H, s), 6.73 – 6.76 (1H, m), 7.29 – 7.35 (3H, m), 7.41 (1H, t, *J* 7.0 Hz), 7.73 (1H, d, *J* 3.5 Hz), 7.94 – 7.97 (1H, m) and 8.23 (1H, s).
231	Q	45	mp 278.5 – 280.4 °C; IR ν_{max} (Nujol)/cm^{-1} 3458, 3273, 3185, 1679, 1604, 1551, 1495, 1466 and 1378; NMR δ_H (400 MHz, DMSO) 3.71 (3H, s), 5.00 (2H, s), 6.53 (2H, s), 6.65 (1H, dd, *J* 8.0 Hz, 2.0 Hz), 6.74 – 6.77 (1H, m), 7.11 (1H, d, *J* 8.0 Hz), 7.23 (1H, t, *J* 8.5 Hz), 7.28 (1H, t, *J* 2.0 Hz), 7.74 (1H, d, *J* 4.0 Hz), 7.95 – 7.96 (1H, m), 8.10 (1H, s) and 10.41 (1H, s); Anal. calcd for $C_{18}H_{16}N_6O_3 \cdot 0.7\ H_2O$: C, 57.35; H, 4.65; N, 22.29. Found: C, 57.27; H, 4.30; N, 22.29.

232	AF	10	IR ν_{max} (Nujol)/cm^{-1} 3466, 3331, 3210, 1705, 1634, 1591, 1515, 1465, 1408, 1378, 1331, 1225 and 1152; NMR δ_H (400 MHz, DMSO) 2.95 (3H, s), 5.26 (2H, s), 6.55 (2H, s), 6.73 – 6.76 (1H, m), 7.17 (2H, d, *J* 8.5 Hz), 7.27 (2H, d, *J* 8.5 Hz), 7.72 (1H, d, *J* 3.0 Hz), 7.95 (1H, d, *J* 1.0 Hz), 8.18 (1H, s) and 9.73 (1H, s).
233	P	45	mp 223.1 – 226.9 °C; NMR δ_H (400 MHz, DMSO) 2.87 (3H, s), 2.94 (3H, s), 5.36 (2H, s), 6.57 (2H, s), 6.73 – 6.77 (1H, m), 7.30 (2H, d, *J* 8.5 Hz), 7.37 (2H, d, *J* 3.5 Hz), 7.73 (1H, d, *J* 3.5 Hz), 7.94 – 7.97 (1H, m) and 8.20 – 8.24 (1H, m); Anal. calcd for $C_{19}H_{18}N_6O_3 \cdot 1.2\ H_2O$: C, 59.43; H, 5.35; N, 21.89. Found: C, 59.70; H, 5.16; N, 21.50.
234	AF	8	NMR δ_H (400 MHz, DMSO) 0.83 (4H, d, *J* 6.0 Hz), 5.28 (2H, s), 6.54 (2H, s), 6.74 – 6.77 (1H, m), 6.85 (1H, d, *J* 7.5 Hz), 7.12 (1H, t, *J* 7.5 Hz), 7.27 (1H, t, *J* 7.5 Hz), 7.42 (1H, d, *J* 3.5 Hz), 7.74 (1H, d, J 3.5 Hz), 7.94 – 7.98 (1H, m), 8.10 (1H, s) and 9.93 (1H, s); Anal. calcd for $C_{20}H_{18}N_6O_2 \cdot 0.8\ H_2O$: C, 61.78; H, 5.08; N, 21.61. Found: C, 61.92; H, 4.81; N, 21.60.
235	AF	48	mp 253.1 – 257.1 °C; NMR δ_H (400 MHz, DMSO) 3.68 (3H, s), 5.36 (2H, s), 6.59 (2H, s), 6.72 – 6.80 (1H, m), 6.97 (1H, d, *J* 7.5 Hz), 7.08 – 7.15 (1H, m), 7.19 – 7.23 (2H, m), 7.74 (1H, d, *J* 3.5 Hz), 7.77 (1H, s), 7.84 (1H, s), 7.97 (1H, s), 8.08 (1H, s) and 10.42 (1H, s).
236	Q	54	mp 279.9 – 281.0 °C; NMR δ_H (400 MHz, DMSO) 3.83 (3H, s), 4.30 (2H, d, *J* 4.5 Hz), 4.82 (2H, s), 6.23 (2H, s), 6.72 (1H, s), 6.88 – 7.00 (2H, m), 7.24 (1H, s), 7.70 (1H, s), 7.89 (1H, s), 8.03 (1H, s) and 8.32 (1H, s).
237	Q	60	mp 291.9 – 292.1 °C; NMR δ_H (400 MHz, DMSO) 4.35 (2H, s), 4.83 (2H, s), 6.52 (2H, s), 6.74 (1H, s), 7.13 – 7.23 (2H, m), 7.27 – 7.43 (2H, m), 7.72 (1H, s), 7.95 (1H, s), 8.06 (1H, s) and 8.71 (1H, s).
238	AF	52	mp 265.6 – 266.0 °C; NMR δ_H (400 MHz, DMSO) 5.27 (2H, s), 6.56 (2H, s), 6.76 (1H, s), 6.94 (2H, t, *J* 6.5 Hz), 7.13 – 7.28 (3H, m), 7.51 – 7.55 (1H, m), 7.72 – 7.76 (1H, m), 7.95 – 8.00 (2H, m), 8.03 (1H, s) and 10.39 (1H, s); Anal. calcd for $C_{20}H_{16}N_6O_3S_2 \cdot 1.0\ H_2O$: C, 51.05; H, 3.86; N, 17.86. Found: C, 50.72; H, 3.48; N, 17.98.
239	AF	50	mp 251.4 – 253.1 °C; NMR δ_H (400 MHz, DMSO) 2.13 (3H, s), 2.31 (3H, s), 5.37 (2H, s), 6.52 (2H, s), 6.74 – 6.77 (1H, m), 6.90 – 6.94 (1H, m), 6.99 – 7.03 (1H, m), 7.25 – 7.32 (2H, m), 7.74 (1H, d, *J* 3.5 Hz), 7.97 (1H, s), 8.08 (1H, s) and 10.28 (1H, s).
240	AC	19	mp 210.9 – 211.5 °C; NMR δ_H (400 MHz, DMSO) 5.42 (2H, s), 6.61 (2H, s), 6.72 – 6.78 (1H, m), 6.99 – 7.03 (2H, m), 7.71 (1H, d, *J* 3.5 Hz), 7.95 (1H, t, *J* 1.0 Hz) and 8.19 (1H, s); Anal. Calcd for $C_{14}H_{10}ClN_5OS$: C, 50.68; H, 3.04; N, 21.10. Found: C, 50.57; H, 3.15; N, 21.11. M/Z 332 $(M+H)^+$.
241	Z	35	mp 246.2-248.0 °C; IR ν_{max} (Nujol)/cm^{-1} 3410, 3325, 2924, 1689, 1463, 1377, 1289, 654 and 620; NMR δ_H (400 MHz, DMSO) 2.49 (3H, s), 7.76 (1H, br s), 8.02 (1H, d, *J* 8.5 Hz), 8.76 (1H, s), 8.81 (1H, d, *J* 7.5 Hz) and 8.87 (1H, s); Retention time (20/50): 1.89 min
242	AF	42	IR ν_{max} (Nujol)/cm^{-1} 4330, 4259, 3239, 1716, 1665, 1597, 1518, 1464, 1404 and 1378; NMR δ_H (400 MHz, DMSO) 1.11 (3H, s), 1.12 (3H, s), 1.15 (3H, s), 1.16 (3H, s), 5.36 (2H, s), 6.79 – 6.83 (1H, m), 7.16 – 7.22 (1H, m), 7.22 – 7.26 (1H, m), 7.30 (2H, d, *J* 3.5 Hz), 7.36 – 7.40 (1H, m), 7.84 (1H, d, *J* 3.0 Hz), 8.05 (1H, s), 8.56 (1H, s), 9.71 (1H, s), 10.71 (1H, s).

243	AC	33	Mp 299.8 °C; IR ν_{max} (Nujol)/cm^{-1} 3470, 3356, 2922, 2854, 1621, 1607, 1592, 1567, 1492, 1462 and 1377; NMR δ_H (400 MHz, DMSO) 9.20 – 7.85 (3H, br m), 7.46 – 7.36 (1H, m), 7.33 – 7.16 (3H, m), 7.11 (2H, br s), 5.48 (2H, s) and 2.45 (3H, s).
244	AJ	12	mp 259.2 °C; NMR δ_H (400 MHz, DMSO) 3.29 (3H, s), 5.40 (2H, s), 6.69 (2H, br s), 7.06 - 7.20 (2H, m), 7.21 - 7.31 (1H, m), 7.33 - 7.42 (1H, m), 7.56 (1H, s) and 8.23 (1H, s); Retention time (80:50): 2.15 min
245	AK	46	IR ν_{max} (Nujol)/cm^{-1} 3643, 3464, 3263, 3099, 1636, 1601, 1567, 1413, 1311, 1221 and 1170; NMR δ_H (400 MHz, DMSO) 4.17 (2H, br s), 4.76 (2H, s), 6.52 (2H, br s), 6.74 (1H, dd, *J* 1.5, 3.5 Hz), 7.15 – 7.24 (5H, m), 7.72 (1H, dd, *J* 1.0, 3.5 Hz), 7.94 (1H, dd, *J* 1.0, 1.5 Hz) and 8.08 (1H, s); Retention time: 0.70 min.
246	Q	42	IR ν_{max} (Nujol)/cm^{-1} 3486, 3281, 3182, 3075, 1657, 1605, 1563, 1460, 1409 and 1377; NMR δ_H (400 MHz, DMSO) 0.85 (3H, t, *J* 7.5 Hz), 1.05 (3H, d, *J* 6.5 Hz), 1.37 – 1.46 (2H, m), 3.63 – 3.72 (1H, m), 4.74 (2H, s), 6.48 (2H, s), 6.75 (1H, s), 7.72 (1H, d, *J* 2.5 Hz), 7.95 (1H, s) and 8.02 – 8.09 (2H, m).
247	Q	13	NMR δ_H (400 MHz, DMSO) 1.05 (3H, t, *J* 7.0 Hz), 3.07 – 3.16 (2H, m), 4.74 (2H, s), 6.50 (2H, s), 6.74 – 6.76 (1H, m), 7.72 (1H, dd, *J* 3.5 Hz, 1.0 Hz), 7.94 – 7.95 (1H, m), 8.04 (1H, s) and 8.20 (1H, t, *J* 5.0 Hz); Anal. calcd for $C_{13}H_{14}N_6O_2 \cdot$ 0.35 H_2O: C, 53.36; H, 5.06; N, 28.72. Found: C, 53.39; H, 5.03; N, 28.38.
248	Q	42	NMR δ_H (400 MHz, DMSO) 3.70 – 3.77 (2H, m), 4.80 (2H, s), 5.10 (1H, d, *J* 9.5 Hz), 5.21 (1H, d, *J* 17.0 Hz), 5.75 – 5.88 (1H, m), 6.50 (2H, s), 6.75 (1H, s), 7.72 (1H, d, *J* 2.5 Hz), 7.95 (1H, s), 8.05 (1H, s) and 8.39 (1H, t, *J* 5.0 Hz); Anal. calcd for $C_{14}H_{14}N_6O_2 \cdot$ 0.7 H_2O: C, 54.08; H, 4.99; N, 27.03. Found: C, 53.96; H, 4.64; N, 26.78.
249	Q	43	NMR δ_H (400 MHz, DMSO) 5.01 (2H, s), 6.54 (2H, s), 6.76 (1H, s), 7.27 – 7.33 (1H, m), 7.36 – 7.45 (1H, m), 7.70 – 7.77 (2H, m), 7.96 (1H, s), 8.10 (1H, s) and 10.66 (1H, s); Anal. calcd for $C_{17}H_{12}N_6O_2F_2 \cdot$ 1.8 H_2O: C, 50.70; H, 3.90; N, 20.87. Found: C, 50.87; H, 3.75; N, 20.58.
250	AF	54	IR ν_{max} (Nujol)/cm^{-1} 3552, 3397, 3336, 3224, 1644, 1589, 1567, 1464, 1409, 1377, 1331 and 1300; NMR δ_H (400 MHz, DMSO) 2.15 (3H, s), 2.35 (3H, s), 5.28 (2H, s), 6.74 – 6.77 (1H, m), 6.92 (1H, s), 6.97 (1H, d, *J* 8.0 Hz), 7.04 (1H, d, *J* 7.5 Hz), 7.28 (1H, t, *J* 8.0 Hz) 7.74 (1H, d, *J* 3.0 Hz), 7.96 (1H, s), 8.14 (1H, s) and 10.46 (1H, s).
251	AL	45	mp 247 - 252 °C; IR ν_{max} (Nujol)/cm^{-1} 3328, 2922, 1661, 1586, 1464, 1378 and 767; NMR δ_H (400 MHz, DMSO) 1.28 (3H, d, *J* 6.5 Hz), 3.74 - 3.87 (1H, m), 4.30 (1H, dd, *J* 14, 5.5 Hz), 4.43 (1H, dd, *J* 14, 7.5 Hz), 6.91 - 6.95 (1H, m), 7.99 (1H, d, *J* 3.5 Hz), 8.23 (1H, s) and 8.46 - 8.60 (4H, m); Retention time (50:20): 0.81 min
252	Q	44	IR ν_{max} (Nujol)/cm^{-1} 3480, 3275, 3189, 3086, 1660, 1608, 1568, 1462, 1414, 1378 and 1359; NMR δ_H (400 MHz, DMSO) 2.20 (6H, s), 2.37 (2H, t, *J* 6.0 Hz), 3.21 (2H, q, *J* 6.0 Hz), 4.76 (2H, s), 6.50 (2H, s), 6.73 – 6.77 (1H, m), 7.72 (1H, d, *J* 3.0 Hz), 7.95 (1H, s), 8.04 (1H, s), 8.19 (1H, t, *J* 5.0 Hz); Anal. calcd for $C_{15}H_{19}N_7O_2 \cdot$ 0.6 H_2O: C, 52.96; H, 5.99; N, 28.82. Found: C, 52.84; H, 5.83; N, 28.58.

253	AC	42	IR ν_{max} (Nujol)/cm^{-1} 2923, 1651, 1463; NMR δ_H (400 MHz, DMSO) 8.37 (1H, s), 8.07 - 8.06 (1H, m), 7.81 - 7.79 (1H, m), 7.40 - 7.35 (2H, m), 7.21 - 7.16 (2H, m), 6.83 - 6.81 (1H, m) and 5.32 (2H, s).
254	AL	37	IR ν_{max} (Nujol)/cm^{-1} 3500 – 2500 br, 2923, 2853, 1659, 1585, 1463 and 1378; NMR δ_H (400 MHz, DMSO) 8.52 (1H, s), 8.48 (2H, br s), 8.21 (1H, s), 7.96 (1H, d, *J* 3.5 Hz), 6.91 (1H, d, J 3.5 Hz), 4.42 (1H, dd, J 14.5, 7.5 Hz), 4.29 (1H, dd, J 14.5, 5.5 Hz), 3.88 – 3.73 (1H, m) and 2.33 (2H, s).
255	X	32	Mp 181.6 – 181.7 °C; NMR δ_H (400 MHz, DMSO) 7.98 – 7.95 (1H, m), 7.95 – 7.93 (1H, m), 7.71 (1H, d, *J* 3.5 Hz), 7.00 – 6.94 (1H, br m), 6.74 (1H, dd, *J* 3.5, 2.0 Hz), 6.49 (2H, br s), 4.10 (2H, br t, J 5.5 Hz), 3.34 (2H, br q, J 6.0 Hz) and 1.33 (9H, s).
256	AC	12	IR ν_{max} (Nujol)/cm^{-1} 3318, 2922, 2854, 1604, 1588, 1538, 1456, 1406, 1377, 1356 and 1308; NMR δ_H (400 MHz, DMSO) 8.20 (1H, s), 7.97 – 7.94 (1H, m), 7.70 (1H, d, *J* 3.5 Hz), 7.25 (2H, d, J 8.0 Hz), 7.18 (2H, d, *J* 8.0 Hz), 7.06 (4H, d, J 8.0 Hz), 6.76 – 6.71 (1H, m), 5.21 (2H, s), 4.50 (2H, br d, J 6.0 Hz), 2.26 (3H, s) and 2.25 (3H, s).
257	F	99	Mp 190 °C (dec); IR ν_{max} (Nujol)/cm^{-1} 3379, 2923, 2854, 1679, 1649, 1626, 1600, 1585, 1462 and 1377; NMR δ_H (400 MHz, DMSO) 8.49 (1H, s), 8.39 – 8.25 (3H, m), 8.19 (1H, s), 7.93 (1H, d, *J* 3.5 Hz), 6.90 (1H, dd, *J* 3.5, 1.5 Hz), 4.42 (2H, t, *J* 6.0 Hz) and 3.41 – 3.31 (2H, m).
258	AC	2	NMR δ_H (400 MHz, DMSO) 7.74 (1H, s), 7.71 (1H, s), 7.57 (1H, d, *J* 3.5 Hz), 7.22 (5H, d, *J* 7.5 Hz), 7.15 – 7.01 (7H, m), 6.57 (1H, dd, *J* 3.5, 1.5 Hz), 5.17 (2H, s), 4.93 (4H, br s), 2.33 (6H, s) and 2.31 (3H, s).
259	AC	29	IR ν_{max} (Nujol)/cm^{-1} 3324, 3189, 3085, 1649, 1587, 1568, 1527, 1463, 1411, 1377 and 1347; NMR δ_H (400 MHz, DMSO) 5.48 (2H, s), 6.58 (2H, s), 6.73 – 6.77 (1H, m), 7.57 (1H, t, *J* 9.5 Hz), 7.72 (1H, d, *J* 2.5 Hz), 7.96 (1H, s), 8.06 – 8.10 (1H, m), 8.21 (1H, s) and 8.26 – 8.31 (1H, m).
260	AG	55	IR ν_{max} (Nujol)/cm^{-1} 2924, 2854, 1587, 1516, 1462; NMR δ_H (400 MHz, DMSO) 9.44 (1H, s), 8.17 (1H, s), 7.96 - 7.95 (1H, m), 7.73 - 7.72 (1H, m), 7.15 (2H, d, *J* 8.5 Hz), 6.76 - 6.74 (1H, m), 6.72 (2H, d, *J* 8.5 Hz), 6.60 (2H, br s) and 5.17 (2H, s).
261	AC	70	IR ν_{max} (Nujol)/cm^{-1} 3290, 2922, 2854, 1644, 1514, 1464; NMR δ_H (400 MHz, DMSO) 8.17 (1H, s), 7.95 - 7.94 (1H, m), 7.72 - 7.70 (1H, m), 7.26 (2H, d, *J* 8.5 Hz), 6.90 (2H, d, *J* 8.5 Hz), 6.75 - 6.73 (1H, m), 6.54 (2H, br s), 5.23 (2H, s) and 3.72 (3H, s).
262	AM	46	Mp 257 - 259 °C; IR ν_{max} (Nujol)/cm^{-1} 3326, 3147, 3111, 1654, 1640, 1615, 1587, 1461, 1415 and 1376; NMR δ_H (400 MHz, DMSO) 8.62 (1H, s), 8.10 (1H, d, J 2.0 Hz), 7.48 (1H, d, *J* 2.5 Hz), 7.45 – 7.36 (1H, m), 7.31 – 7.24 (2H, m), 7.23 – 7.16 (1H, m) and 5.43 (1H, s).
263	AM	28	NMR δ_H (400 MHz, DMSO) 5.65 (2H, s), 7.18 - 7.31 (2H, m), 7.36 - 7.45 (1H, m), 7.55 - 7.62 (1H, m), 8.64 (1H, s), 8.87 (1H, s) and 9.58 (2H, s); Retention time: 0.98 min (80:50)

264	AM	76	IR ν_{max} (Nujol)/cm^{-1} 3500 – 2500 br, 2921, 1650, 1609, 1584, 1526, 1462, 1415 and 1377; NMR δ_H (400 MHz, DMSO) 8.75 (1H, s), 8.14 (1H, d, J 2.0 Hz), 7.51 (1H, d, J 2.5 Hz), 7.43 (1H, t, J 8.0 Hz), 7.29 – 7.20 (3H, m) and 5.43 (2H, s).
265	AO	7	IR ν_{max} (DR)/cm^{-1} 3311, 2919, 1646, 1463, 1378, 999 and 738; NMR δ_H (400 MHz, DMSO) 8.74 (1H, s), 7.69 - 7.59 (1H, m), 7.58 - 7.51 (1H, m), 7.48 (1H, t, J 7.5 Hz), 7.34 - 7.24 (4H, m), 5.42 (2H, s) and 2.40 (3H, s).
266	AC	26	NMR δ_H (400 MHz, DMSO) 8.16 (1H, s), 7.67 (1H, d, J 3.5 Hz), 7.25 (1H, t, J 8.0 Hz), 6.91 - 6.83 (2H, m), 6.81 (1H, d, J 7.5 Hz), 6.54 (2H, br s), 6.38 (1H, d, J 3.5 Hz), 5.26 (2H, s), 3.72 (3H, s) and 2.40 (3H, s).
267	AC	38	mp 190.5 - 190.6 °C; IR ν_{max} (DR)/cm^{-1} 3502, 3306, 3192, 3089, 2710, 1766, 1633 and 1228; NMR δ_H (400 MHz, DMSO) 9.29 (1H, s), 9.12 (1H, s), 8.24 (1H, s), 7.43 - 7.33 (1H, m), 7.28 - 7.21 (1H, m), 7.20 - 7.10 (2H, m), 6.61 (2H, br s) and 5.40 (2H, s).
268	AC	37	mp 183.0 – 183.1 °C. ; IR ν_{max} (DR)/cm^{-1} 3328, 3209, 3091, 2855, 1598, 1519, 1466; NMR δ_H (400 MHz, DMSO) 8.21 (1H, s), 7.96 - 7.95 (1H, m), 7.80 - 7.76 (1H, m), 7.75 - 7.74 (1H, m), 7.35 (1H, d, J 7.5 Hz), 7.00 (1H, d, J 7.5 Hz), 6.76 - 6.75 (1H, m), 6.53 (2H, br s), 5.97 - 5.87 (1H, m), 5.41 (2H, s), 5.31 - 5.25 (1H, m), 5.18 - 5.14 (1H, m), 4.50 (2H, s) and 4.05 - 4.03 (2H, m); Anal. Calcd for $C_{19}H_{18}N_6O_2 \cdot 0.1\ H_2O$: C, 62.66; H, 5.04; N, 23.08. Found: C, 62.45; H, 4.98; N, 22.91.
269	AC	75	IR ν_{max} (Nujol)/cm^{-1} 3332, 3204, 2923, 1648, 1588, 1515, 1464, 1342, 1166, 1010, 842 and 738; NMR δ_H (400 MHz, DMSO) 5.40 (2H, s), 6.57 (2H, s), 6.75 – 6.76 (1H, m), 7.26 (1H, dd, J 8.5, 1.5 Hz), 7.38 – 7.41 (1H, m), 7.74 (1H, d, J 3.5 Hz), 7.94 – 7.98 (2H, m) and 8.24 (1H, s); Anal. calcd for $C_{17}H_{14}N_6O_3 \cdot 0.5\ H_2O$: C, 56.82; H, 4.21; N, 23.39. Found: C, 57.07; H, 4.13; N, 22.99.
270	AC	29	mp 190.4 – 190.5 °C; IR ν_{max} (Nujol)/cm^{-1} 3457, 3311, 2923, 1724, 1586, 1456, 1348, 1129, 849, 757, 523 and 516; NMR δ_H (400 MHz, DMSO) 8.15 (1H, s), 8.02 (1H, d, J 8.5 Hz), 7.97 - 7.94 (1H, m), 7.72 (2H, t, J 3.5 Hz), 7.29 (1H, t, J 7.5 Hz), 7.04 (1H, d, J 7.0 Hz), 6.95 (1H, d, J 4.0 Hz), 6.75 - 6.72 (1H, m), 6.57 (2H, s), 5.56 (2H, s) and 1.64 (9H, s).
271	AQ	69	mp 305.4 - 306.8 °C; IR ν_{max} (Nujol)/cm^{-1} 3324, 3209, 2923, 1639, 1592, 1465, 1411, 1303, 1166, 1015, 851 and 750; NMR δ_H (400 MHz, DMSO) 11.21 (1H, s), 8.10 (1H, s), 7.96 - 7.94 (1H,m), 7.71 (1H, d, J 4.0 Hz), 7.35 (2H, t, J 3.0 Hz), 7.03 (1H, t, 7.5 Hz), 6.78 (1H, d, J 8.0 Hz), 6.75 - 6.72 (1H, m), 6.56 (3H, s) and 5.53 (2H, s).
272	AQ	62	IR ν_{max} (DR)/cm^{-1} 3482, 3201, 1595, 1461, 1208, 1141, 1100, 1023, 949, 887, 840, 793, 738, 655, 595 and 505; NMR δ_H (400 MHz, DMSO) 11.08 (1H, s), 8.17 (1H, s), 7.95 - 7.93 (1H, m), 7.71 (1H, d, J 4.0 Hz), 7.48 (1H, s), 7.35 - 7.32 (2H, m), 7.09 (1H, d, J 8.0 Hz), 6.75 - 6.73 (1H, m), 6.54 (2H, s), 6.39 (1H, s) and 5.34 (2H, s).
273	AC	82	NMR δ_H (400 MHz, DMSO) 8.29 (1H, s), 8.07 (1H, s), 7.81 (1H, d, J 8.5 Hz), 7.57 (2H, d, J 4.0 Hz), 7.51 (1H, d, J 3.5 Hz), 7.39 (1H, s), 6.79 - 6.76 (1H, m), 6.66 (1H, s), 6.52 (1H, s), 6.44 (1H, d, J 3.5 Hz), 5.50 (2H, s) and 1.59 (9H, d, J 7.5 Hz).

Adenosine Receptor Binding

Binding Affinities at hA_{2A} Receptors

The compounds were examined in an assay measuring *in vitro* binding to human adenosine A_{2A} receptors by determining the displacement of the adenosine A_{2A} receptor selective radioligand [^{3}H]-CGS 21680 using standard techniques. The results are summarised in Table 3.

Table 3

Example	K_i (nM)
Example 3	23
Example 13	12
Example 26	1
Example 36	7
Example 37	4
Example 38	1
Example 39	1
Example 45	2
Example 47	1
Example 52	5
Example 57	12
Example 68	9
Example 79	1
Example 80	5
Example 83	13
Example 92	6
Example 93	4
Example 106	1
Example 112	8

Example 118	3
Example 125	6
Example 126	7
Example 127	9
Example 141	36
Example 157	4
Example 159	10
Example 162	8
Example 185	7
Example 189	21
Example 192	24
Example 198	7
Example 201	2
Example 202	1
Example 208	6
Example 211	3
Example 212	35
Example 235	4
Example 240	7
Example 244	7
Example 259	11

Evaluation of potential anti-Parkinsonian activity *in vivo*

Haloperidol-induced hypolocomotion model

It has previously been demonstrated that adenosine antagonists, such as theophylline, can reverse the behavioural depressant effects of dopamine antagonists, such as haloperidol, in rodents (Mandhane S.N. *et al.*, Adenosine A_2 receptors modulate haloperidol-induced catalepsy in rats. *Eur. J. Pharmacol.* 1997, **328**, 135 - 141). This approach is also

considered a valid method for screening drugs with potential antiparkinsonian effects. Thus, the ability of novel adenosine antagonists to block haloperidol-induced deficits in locomotor activity in mice can be used to assess both *in vivo* and potential antiparkinsonian efficacy.

Method
Female TO mice (25-30g) obtained from TUCK, UK, are used for all experiments. Animals are housed in groups of 8 [cage size ~ 40 (width) x 40 (length) x 20 (height)cm] under 12hr light/dark cycle (lights on 08:00hr), in a temperature (20 ± 2°C) and humidity (55 ± 15%) controlled environment. Animals have free access to food and water, and are allowed at least 7 days to acclimatize after delivery before experimental use.

Drugs
Liquid injectable haloperidol (1 ml Serenance ampoules from Baker Norton, Harlow, Essex, each containing haloperidol BP 5 mg, batch # P424) are diluted to a final concentration of 0.02 mg/ml using saline. Test compounds are typically prepared as aqueous suspensions in 8% Tween. All compounds are administered intraperitoneally in a volume of 10 ml/kg.

Procedure
1.5 hours before testing, mice are administered 0.2 mg/kg haloperidol, a dose that reduces baseline locomotor activity by at least 50%. Test substances are typically administered 5-60 minutes prior to testing. The animals are then placed individually into clean, clear polycarbonate cages [20 (width) x 40 (length) x 20 (height) cm , with a flat perforated, Perspex lid]. Horizontal locomotor activity is determined by placing the cages within a frame containing a 3 x 6 array of photocells linked to a computer, which tabulates beam breaks. Mice are left undisturbed to explore for 1 hour, and the number of beams breaks made during this period serves as a record of locomotor activity which is compared with data for control animals for statistically significant differences.

6-OHDA Model

Parkinson's disease is a progressive neurodegenerative disorder characterised by symptoms of muscle rigidity, tremor, paucity of movement (hypokinesia), and postural instability. It has been established for some time that the primary deficit in PD is a loss of dopaminergic

neurones in the substantia nigra which project to the striatum, and indeed a substantial proportion of striatal dopamine is lost (ca 80-85%) before symptoms are observed. The loss of striatal dopamine results in abnormal activity of the basal ganglia, a series of nuclei which regulate smooth and well co-ordinated movement (Blandini F. *et al.*, Glutamate and Parkinson's Disease. *Mol. Neurobiol.* 1996, **12**, 73 - 94). The neurochemical deficits seen in Parkinson's disease can be reproduced by local injection of the dopaminergic neurotoxin 6-hydroxydopamine into brain regions containing either the cell bodies or axonal fibres of the nigrostriatal neurones.

By unilaterally lesioning the nigrostriatal pathway on only one-side of the brain, a behavioural asymmetry in movement inhibition is observed. Although unilaterally-lesioned animals are still mobile and capable of self maintenance, the remaining dopamine-sensitive neurones on the lesioned side become supersenstive to stimulation. This is demonstrated by the observation that following systemic administration of dopamine agonists, such as apomorphine, animals show a pronounced rotation in a direction contralateral to the side of lesioning. The ability of compounds to induce contralateral rotations in 6-OHDA lesioned rats has proven to be a sensitive model to predict drug efficacy in the treatment of Parkinson's Disease.

<u>Animals</u>

Male Sprague-Dawley rats, obtained from Charles River, are used for all experiments. Animals are housed in groups of 5 under 12hr light/dark cycle (lights on 08:00hr), in a temperature (20 ± 2°C) and humidity (55 ± 15%) controlled environment. Animals have free access to food and water, and are allowed at least 7 days to acclimatize after delivery before experimental use.

<u>Drugs</u>

Ascorbic acid, desipramine, 6-OHDA and apomorphine (Sigma-Aldrich, Poole, UK). 6-OHDA is freshly prepared as a solution in 0.2% ascorbate at a concentration of 4 mg/mL prior to surgery. Desipramine is dissolved in warm saline, and administered in a volume of 1 ml/kg. Apomorphine is dissolved in 0.02% ascorbate and administered in a volume of 2 mL/kg. Test compounds are suspended in 8%Tween and injected in a volume of 2 mL/kg.

Surgery

15 minutes prior to surgery, animals are given an intraperitoneal injection of the noradrenergic uptake inhibitor desipramine (25 mg/kg) to prevent damage to non-dopamine neurones. Animals are then placed in an anaesthetic chamber and anaesthetised using a mixture of oxygen and isoflurane. Once unconscious, the animals are transferred to a stereotaxic frame, where anaesthesia is maintained through a mask. The top of the animal's head is shaved and sterilised using an iodine solution. Once dry, a 2 cm long incision is made along the midline of the scalp and the skin retracted and clipped back to expose the skull. A small hole is then drilled through the skill above the injection site. In order to lesion the nigrostriatal pathway, the injection cannula is slowly lowered to position above the right medial forebrain bundle at -3.2 mm anterior posterior, -1.5 mm medial lateral from bregma, and to a depth of 7.2 mm below the duramater. 2 minutes after lowing the cannula, 2 µL of 6-OHDA is infused at a rate of 0.5 µL/min over 4 minutes, yeilding a final dose of 8 µg. The cannula is then left in place for a further 5 minutes to facilitate diffusion before being slowly withdrawn. The skin is then sutured shut using Ethicon W501 Mersilk, and the animal removed from the strereotaxic frame and returned to its homecage. The rats are allowed 2 weeks to recover from surgery before behavioural testing.

Apparatus

Rotational behaviour is measured using an eight station rotameter system provided by Med Associates, San Diego, USA. Each station is comprised of a stainless steel bowl (45 cm diameter x 15 cm high) enclosed in a transparent Plexiglas cover running around the edge of the bowl, and extending to a height of 29 cm. To assess rotation, rats are placed in cloth jacket attached to a spring tether connected to optical rotameter positioned above the bowl, which assesses movement to the left or right either as partial (45°) or full (360°) rotations. All eight stations are interfaced to a computer that tabulated data.

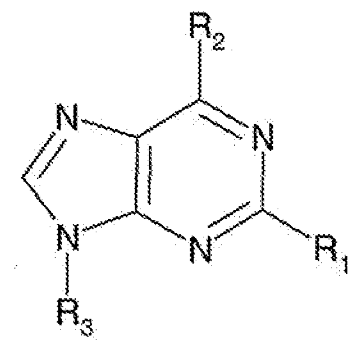
Procedure

To reduce stress during drug testing, rats are initially habituated to the apparatus for 15 minutes on four consecutive days. On the test day, rats are given an intraperitoneal injection of test compound 30 minutes prior to testing. Immediately prior to testing, animals are given a subcutaneous injection of a subthreshold dose of apomorphine, then placed in the harness and the number of rotations recorded for one hour. The total number of full

contralatral rotations during the hour test period serves as an index of antiparkinsonian drug efficacy.

CLAIMS

1. Use of a compound of formula (I):



(I)

wherein

R_1 is selected from alkyl, aryl, alkoxy, aryloxy, thioalkyl, thioaryl, CN, halo, NR_5R_6, NR_4COR_5, $NR_4CONR_5R_6$, $NR_4CO_2R_7$ and $NR_4SO_2R_7$;

R_2 is selected from N, O or S-containing heteroaryl groups, wherein the heteroaryl group is attached via an unsaturated carbon atom which is adjacent to one or two N, O or S-heteroatom(s), other than ortho,ortho-disubstituted heteroaryl groups;

R_3 is selected from H, alkyl, COR_8, $CONR_9R_{10}$, $CONR_8NR_9R_{10}$, CO_2R_{11} and SO_2R_{11};

R_4, R_5 and R_6 are independently selected from H, alkyl and aryl or where R_5 and R_6 are in an (NR_5R_6) group then R_5 and R_6 may be linked to form a heterocyclic ring;

R_7 is selected from alkyl and aryl;

R_8, R_9 and R_{10} are independently selected from H, alkyl and aryl, or R_9 and R_{10} may be linked to form a heterocyclic ring, or where R_8, R_9 and R_{10} are in a ($CONR_8NR_9R_{10}$) group, R_8 and R_9 may be linked to form a heterocyclic group; and

R_{11} is selected from alkyl and aryl,

or a pharmaceutically acceptable salt thereof or prodrug thereof, in the manufacture of a medicament for the treatment or prevention of a disorder in which the blocking of purine receptors may be beneficial.

2. Use according to claim 1 wherein R_1 is selected from NR_5R_6, alkoxy, thioalkyl and alkyl.

3. Use according to claim 1 wherein R_1 is selected from NH_2.

4. Use according to claim 1 wherein R_1 is selected from NR_4COR_5, $NR_4CONR_5R_6$, $NR_4CO_2R_7$ and $NR_4SO_2R_7$, and R_4 is selected from H and alkyl.

5. Use according to claim 1 wherein R_1 is selected from $NHCOR_5$, $NHCONR_5R_6$, $NHCO_2R_7$ and $NHSO_2R_7$.

6. Use according to any preceding claim wherein R_2 is unsubstituted at either ortho position.

7. Use according to any preceding claim R_2 is an unsubstituted heteroaryl group.

8. Use according to any preceding claim wherein R_2 is selected from 2-furyl, 2-thienyl, 2-pyridyl, 2-thiazolyl and 3-pyrazolyl.

9. Use according to any preceding claim wherein R_2 is 2-furyl.

10. Use according to any preceding claim wherein R_3 is selected from H, alkyl and $CONR_9R_{10}$.

11. Use according to claim 10 wherein R_3 is selected from H, substituted alkyl and $CONR_9R_{10}$ wherein said substituted alkyl is selected from arylalkyl and alkyl substituted by $CONR_9R_{10}$.

12. Use according to any of claims 1 to 9 wherein R_3 is selected from COR_8 and R_8 is selected from alkyl and aryl.

13. Use according to any of claims 1 to 9 wherein R_3 is selected from $CONR_9R_{10}$ and R_9 is hydrogen.

14. Use according to claim 13 wherein R_3 is selected from $CONR_9R_{10}$, R_9 is hydrogen and

R_{10} is selected from alkyl.

15. Use according to claim 13 wherein R_3 is selected from $CONR_9R_{10}$, R_9 is hydrogen and R_{10} is selected from alkyl substituted by aryl.

16. Use according to claim 13, 14 or15 wherein R_3 is selected from $CONR_9R_{10}$, R_9 is hydrogen and R_{10} is selected from methyl substituted by aryl.

17. Use according to claim 15 or 16 wherein said aryl isselected from phenyl, thienyl, furyl and pyridyl.

18. Use according to any of claims 1 to 9 wherein R_3 is selected from lower alkyl.

19. Use according to any of claims 1 to 9 wherein R_3 is alkyl substituted by a substituent R_{12} wherein R_{12} is selected from hydroxy, alkoxy, dialkylamino, NH_2, aryloxy, CN, halo, cycloalkyl, aryl, non-aromatic heterocyclyl, CO_2R_{13}, $CONR_{14}R_{15}$, $CONR_8NR_9R_{10}$, $C(=NR_{13})NR_{14}R_{15}$, $NR_{13}COR_{14}$, $NR_{13}CO_2R_{11}$, trialkylsilyl and phthalimido, wherein R_{13}, R_{14} and R_{15} are selected from hydrogen, alkyl and aryl, or where R_{14} and R_{15} are in an $(NR_{14}R_{15})$group, R_{14} and R_{15} may be linked to form a heterocyclic ring.

20. Use according to claim 19 wherein R_{12} is selected from aryl and $CONR_{14}R_{15}$.

21. Use according to claim 19 wherein R_{12} is selected from phenyl, thienyl, furyl, indolyl and pyridyl.

22. Use according to claim 19 or 21 wherein R_{12} is aryl substituted by NR_5R_6, alkyl, alkoxy, halogen, NO_2, CN, hydroxy, NHOH, CHO, $CONR_5R_6$, CO_2R_5, NR_4COR_5, $NR_4CO_2R_7$, $NR_4SO_2R_7$, OCO_2R_7 and aryl.

23. Use according to claim 19 or 21 wherein R_{12} is aryl substituted by NR_5R_6, alkyl and halogen.

24. Use according to claim 19 or 21 wherein R_{12} is aryl substituted by substituted alkyl selected from from alkoxyalkyl, hydroxyalkyl, aminoalkyl and haloalkyl.

25. Use according to claim 19 or 21 wherein R_{12} is aryl substituted by unsubstituted alkyl, NH_2 and fluoro.

26. Use according to claim 19 wherein R_{12} is $CONR_{14}R_{15}$ and R_{14} is hydrogen.

27. Use according to claim 19 or 26 wherein R_{12} is $CONR_{14}R_{15}$ and R_{15} is selected from alkyl substituted by one or more substituent group(s) selected from hydroxy, alkoxy and dialkylamino.

28. Use according to according to any preceding claim wherein R_4 and R_{13} are independently selected from H and alkyl.

29. Use according to claim 1 wherein R_1 is NH_2, R_2 is 2-furyl and R_3 is arylalkyl, preferably arylmethyl.

30. Use according to claim 1 wherein the compound of formula (I) is selected from:

N,N-Dimethyl-6-(2-furyl)-1*H*-purine-2-amine;
6-(2-Furyl)-1*H*-purine-2-amine;
6-(2-Furyl)-2-methylthio-1*H*-purine;
2-Amino-N-benzyl-6-(2-furyl)-9*H*-purine-9-carboxamide;
2-Amino-N-*n*-butyl-6-(2-furyl)-9*H*-purine-9-carboxamide;
2-Amino-6-(2-furyl)-N-(4-methoxybenzyl)-9*H*-purine-9-carboxamide;
2-Amino-6-(2-furyl)-N-(4-methylbenzyl)-9*H*-purine-9-carboxamide;
2-Amino-N-(2-chlorobenzyl)-6-(2-furyl)-9*H*-purine-9-carboxamide;
(1S)-2-Amino-6-(2-furyl)-N-(1-phenylethyl)-9*H*-purine-9-carboxamide;
2-Amino-6-(2-furyl)-N-(3-methylbenzyl)-9*H*-purine-9-carboxamide;
2-Amino-6-(2-furyl)-N-*n*-pentyl-9*H*-purine-9-carboxamide;
6-(2-Furyl)-9-(1-phenyl-1-propene-3-yl)-9*H*-purine-2-amine;
6-(2-Furyl)-9-(3-phenylpropyl)-9*H*-purine-2-amine;
2-Amino-N-(4-fluorobenzyl)-6-(2-furyl)-9*H*-purine-9-carboxamide;

2-Amino-N-(3,4-dichlorobenzyl)-6-(2-furyl)-9*H*-purine-9-carboxamide;
6-(2-Furyl)-9-(4-isopropylbenzyl)-9*H*-purine-2-amine;
2-Amino-6-(2-furyl)-N-(2-phenylethyl)-9*H*-purine-9-carboxamide;
2-Amino-N-(2,4-dichlorobenzyl)-6-(2-furyl)-9*H*-purine-9-carboxamide;
Benzyl 2-amino-6-(2-furyl)-9*H*-purine-9-carboxylate;
N-Benzyl-2-methoxy-6-(2-furyl)-9*H*-purine-9-carboxamide;
2-Amino-N-benzyl-6-(2-furyl)-N-methyl-9*H*-purine-9-carboxamide;
9-(3-Chlorobenzyl)-6-(2-furyl)-9*H*-purine-2-amine;
6-(2-Furyl)-9-(3-methylbenzyl)-9*H*-purine-2-amine;
6-(2-Furyl)-9-(4-methylbenzyl)-9*H*-purine-2-amine;
2-Amino-N-(3-chlorophenyl)-6-(2-furyl)-9*H*-purine-9-acetamide;
9-(2-Fluorobenzyl)-6-(2-furyl)-9*H*-purine-2-amine;
6-(2-Furyl)-9-(4-trifluoromethylbenzyl)-9*H*-purine-2-amine;
9-(4-Bromophenyl)sulphonyl-6-(2-furyl)-9*H*-purine-2-amine;
6-(2-Furyl)-9-(2-phenylethenyl)sulphonyl-9*H*-purine-2-amine;
6-(2-Furyl)-9-(3-(3-pyridyl)propyl)-9*H*-purine-2-amine;
9-(3-Aminobenzyl)-6-(2-furyl)-9*H*-purine-2-amine;
6-(2-Furyl)-9-(3-methoxybenzyl)-9*H*-purine-2-amine;
2-Amino-6-(2-furyl)-N-(2-furylmethyl)-9*H*-purine-9-carboxamide;
2-Amino-6-(2-furyl)-N-(2-thienylmethyl)-9*H*-purine-9-carboxamide;
9-(4-Methylbenzyl)-6-(5-methyl-2-furyl)-9*H*-purine-2-amine;
9-(2,6-Difluorobenzyl)-6-(2-furyl)-9*H*-purine-2-amine;
6-(2-Furyl)-9-(6-methyl-2-pyridyl)methyl-9*H*-purine-2-amine;
6-(2-Furyl)-9-(2-(1-methyl-1*H*-imidazol-4-ylsulphonylamino)benzyl)-9*H*-purine-2-amine;
9-(5-Chloro-2-thienylmethyl)-6-(2-furyl)-9*H*-purine-2-amine;
9-(2-Fluorobenzyl)-6-(4-methyl-2-thiazolyl)-9*H*-purine-2-amine; and
9-(2-Fluoro-5-nitrobenzyl)-6-(2-furyl)-9*H*-purine-2-amine.

31. A method of treating or preventing a disorder in which the blocking of purine receptors may be beneficial comprising administration to a subject in need of such treatment an effective dose of a compound as set out in any one of claims 1 to 30 or a pharmaceutically acceptable salt thereof.

32. A use or method according to any preceding claim wherein the disorder is caused by the hyperfunctioning of purine receptors.

33. A use or method according to any preceding claim wherein the purine receptors are adenosine receptors.

34. A use or method according to claim 33 wherein the adenosine receptors are A_{2A} receptors.

35. A use or method as set out in any one of claims 1 to 34 wherein said disorder is a movement disorder.

36. A use or method according to claim 35 wherein the movement disorder is Parkinson's disease.

37. A use or method according to claim 36 for treatment of drug-induced Parkinsonism, post-encephalitic Parkinsonism, Parkinsonism induced by poisoning or post-traumatic Parkinson's disease.

38. A use or method according to claim 35 wherein the movement disorder is progressive supernuclear palsy, Huntingtons disease, multiple system atrophy, corticobasal degeneration, Wilsons disease, Hallerrorden-Spatz disease, progressive pallidal atrophy, Dopa-responsive dystonia-Parkinsonism, spasticity or other disorders of the basal ganglia which result in dyskinesias.

39. A use or method according to any one of claims 35 to 38 wherein the compound of formula (I) is in combination with one or more additional drugs useful in the treatment of movement disorders, the components being in the same formulation or in separate formulations for administration simultaneously or sequentially.

40. A use or method according to claim 39 wherein said additional drug(s) useful in the treatment of movement disorders is/are a drug useful in the treatment of Parkinson's disease.

41. A use or method according to claim 39 or 40 wherein the or one of the additional drugs is L-DOPA or a dopamine agonist.

42. A use or method according to any one of claims 1 to 34 wherein said disorder is depression, cognitive or memory impairment, acute or chronic pain, ADHD or narcolepsy.

43. A use or method according to claim 42 wherein said cognitive or memory impairment disorder is Alzheimer's disease.

44. Use of a compound as set out in any one of claims 1 to 30 or a pharmaceutically acceptable salt thereof in the manufacture of a medicament for neuroprotection in a subject.

45. A method of neuroprotection comprising administration to a subject in need of such treatment an effective dose of a compound as set out in any one of claims 1 to 30 or a pharmaceutically acceptable salt thereof.

46. A use or method according to claim 44 or 45 wherein said medicament or said method is for neuroprotection in a subject suffering from or at risk from a neurodegenerative disorder.

47. A use or method according to claim 46 wherein said neurodegenerative disorder is a movement disorder.

48. A use or method according to claim 47 wherein said movement disorder is a disorder as set out in claim 36, 37 or 38.

49. A use or method according to any one of claims 1 to 48 wherein the subject is human.

50. A compound according to claim 1 or a pharmaceutically acceptable salt or prodrug thereof, for use in therapy, other than:

(i) compounds wherein R_1 is halogen or aryl and R_3 is benzyl, and preferably other than compounds wherein R_1 is halogen or aryl; and

(ii) compounds wherein R_3 is H, R_1 is NH_2 and R_2 is thienyl, preferably other than compounds wherein R_3 is H and R_1 is NH_2, and preferably other than compounds wherein R_3 is H.

51. A compound according to claim 1 or a pharmaceutically acceptable salt or prodrug thereof, for use in therapy wherein:

R_1 is selected from NR_5R_6, alkoxy, thioalkyl and alkyl, preferably wherein R_1 is selected from NR_5R_6, and more preferably wherein R_1 is NH_2, and

R_3 is selected from alkyl and $CONR_9R_{10}$, preferably wherein R_3 is selected from substituted alkyl and $CONR_9R_{10}$, more preferably wherein R_3 is selected from substituted alkyl and $CONR_9R_{10}$ wherein said substituted alkyl is selected from arylalkyl and alkyl substituted by $CONR_9R_{10}$.

52. A compound according to claim 50 or 51, *per se*.

INTERNATIONAL SEARCH REPORT

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A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D473/00 A61K31/52 A61P25/14 A61P25/16

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 C07D A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	K. ALARCON ET AL.: "Diaminopurine-acridine Heterodimers for Specific Recognition of Abasic Site Containing DNA. Influence on the Biological Activity of the Position of the Linker on the Purine Ring" BIOORG. MED. CHEM. LETT., vol. 11, 2001, pages 1855-1858, XP002192660 * Compound of formula 13 *	50-52
P,X	WO 01 02400 A (EISAI CO., LTD.) 11 January 2001 (2001-01-11) claims 1-36	1-52

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[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

° Special categories of cited documents :

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"O" document referring to an oral disclosure, use, exhibition or other means

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"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

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Date of the actual completion of the international search	Date of mailing of the international search report
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Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Herz, C

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